10/706,027 Page 1

=> d his

L3

(FILE 'HOME' ENTERED AT 11:04:04 ON 28 JUN 2006)

FILE 'REGISTRY' ENTERED AT 11:04:18 ON 28 JUN 2006

L1 STRUCTURE UPLOADED

L2 50 S L1

FILE 'HOME' ENTERED AT 11:10:43 ON 28 JUN 2006

FILE 'REGISTRY' ENTERED AT 11:14:02 ON 28 JUN 2006

STRUCTURE UPLOADED

L4 50 S L3

L5 13057 S L3 FULL

L6 STRUCTURE UPLOADED

L7 2438 SEARCH L6 SSS SUB=L5 FULL

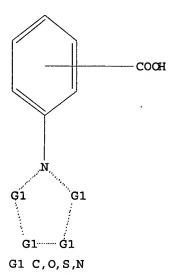
FILE 'CAPLUS' ENTERED AT 11:23:11 ON 28 JUN 2006

L8 428 S L7

L9 185 S L8 AND THU/RL

=> d que 19 stat

L3 STR

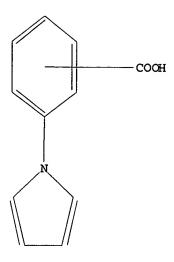


Structure attributes must be viewed using STN Express query preparation.

L5 13057 SEA FILE=REGISTRY SSS FUL L3

L6 STR

10/706,027



Structure attributes must be viewed using STN Express query preparation. L7 2438 SEA FILE=REGISTRY SUB=L5 SSS FUL L6

L7 L8

428 SEA FILE=CAPLUS ABB=ON PLU=ON L7 185 SEA FILE=CAPLUS ABB=ON PLU=ON L8 AND THU/RL L9

=> d 19 1-185 bib abs hitstr

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ANSWER 1 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:577803 CAPLUS Preparation of N-acylanthranilic acid derivatives or salts thereof as inhibitor for production of matrix metalloproteinase (MMP-13) Yokotani, Junichi; Taniguchi, Yokotani, Hara, Elji, Akitsu, Hitoshi; Tada,
      IN
                           Yukie
                          Yukie
Toyama Chemical Co., Ltd., Japan
PCT Int. Appl., 278 pp.
CODEN: PIXXD2
      DT Patent
LA Japanese
FAN.CNT 1
PATENT NO.
FAN. CNT 1

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

PI W0 200662093

A1 20066615

W0 2005-JP22367

20051206

W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HW, HW, ID, IL, IN, IS, JP, KE, KG, MM, KN, KW, KK, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MK, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, DS, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, NC, NL, PL, PT, RO, SE, SI, SK, TR, FR, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, CM, KE, LS, HW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2004-353725

A 20041207

AB The title compds. [I, wherein R1 = H, a carboxy-protecting group; R2 = each (un) substituted Ph, cycloalkyl, cycloalkenyl, or monocyclic or bicyclic heterocyclic group; X1 = CO or SOZ; X2 = a bond; each (un) substituted elements of the complex of the left side bond is linked to R3) (wherein X5 = G, S) (un)protected NM, SO, SOZ, a bond; X6 = each (un) substituted alkylene, alkenylene, or alkynylene; X3 = 0, S, a bond; X4 = -X5-X6- or -X6-X5- (the left side bond is linked to R3) (wherein X5 = G, S) (un)protected NM, SO, SOZ, a bond; X6 = each (un)substituted alkylene, alkenylene, or alkynylene)) or salts thereof are prepared These compds have an NMP-13 production inhibitory activity and are hence useful as therapeutic agents for articular rheumatism, osteoarthritis, cancer, etc. Thus, Me 2-(benzoylamino)-4-(3-methoxynenyl)benzoic acid in hinded by asponification and acidification with 1.0 M aqueous KGl Solution to give

2-(benzoylamino)-4-(3-methoxynenyl)benzoic acid inhibited the IL-IB-stimulated production of MOP-13 in human cartilage-derived SW1353 cells by 95 and 998, resp., at
                                                                                                         KIND DATE
                                                                                                                                                                                      APPLICATION NO.
                                                                                                                                                                                                                                                                                     DATE
                          of MMP-13 in human cartilage-derived SW1353 cells by 95 and 99%, resp.,
    at

30 µM.

IT INDEXING IN PROGRESS

IT 22106-33-0, 4-(1H-Pyrrol-1-yl)benzoic acid 61471-45-2

RL: RCT (Reactant): RACT (Reactant or reagent)

(preparation of N-acylanthranilic acid derivs, as inhibitors for production of
                  matrix metalloproteinase (MMP-13))
22106-33-8 CAPLUS
                        ANSWER 2 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:493830 CAPLUS 145:8166 Preparation of benzimidazoles as gonadotropin releasing hormone receptor antagonists for treating disorders associated with excessive GnRH
                        activity
Garrick, Lloyd Michael; Green, Daniel Michael; Jetter, James Winfield;
Kao, Wenling; Kees, Kenneth Lewis; Pelletier, Jeffrey Claude; Rogers,
                       Francis
Wyeth, John, and Brother Ltd., USA
U.S. Pat. Appl. Publ., 72 pp.
CODEN: USXXCO
Patent
English
CMT 1
                                     NT 1
PATENT NO.
                        US 2006111355
WO 2006058012
      PRAI US 2004-630282P
       * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
                         The present invention relates to gonadotropin releasing hormone (GnRH) (also known as LH releasing hormone) receptor antagonists, processes for preparing them and to pharmaceutical compns. containing them. The
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preparing them and to pharmaceutical compns. containing them. The antagonists
are of general formula I wherein: A is cycloalkyl, aryl, heteroaryl, or diaryl substituted alkyl, each optionally substituted; B is aryl or heteroaryl, each optionally substituted: R1 is H, the tautomeric form, or optionally substituted alkyl: R2, R3, and R4 are, independently, H, optionally substituted alkyl: R2, R3, and R4 are, independently, H, R10, R11, R12, R13, R14, R15, and R16, are, independently, H, alkyl, alkenyl, or alkynyl, each alkyl, alkenyl, or alkynyl being optionally substituted. For example, II was prepared by reacting 4(Dimethylamino)benzoic acid with the appropriate phenylenediamine (Optional alkyl) and R10 and R10 are phenylenediamine

(preparation given). All I tested in an in vitro assay involving COS cell membranes containing human GnRH receptors had IC50's between 1 and 10,000 nM.

17 22106-33-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzimidazoles as gonadotropin releasing hormone receptor

ANSWER 1 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



61471-45-2 CAPLUS Benzoic acid, 3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 2

L9 ANSWER 2 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) antagonists for treating disorders assocd. with excessive GnRH receptor

activity) 22106-33-8

Benzoic acid, 4-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



10/706,027 Page 4

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ANSWER 3 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:471734 CAPLUS 144:488692
                          144:488692
Tricyclic guanidine derivatives as sodium-proton exchange inhibitors and
their preparation, pharmaceutical compositions and use for treatment of
                         their preparation, pharmaceutical compositions and use for treatme various diseases
Lal, Bansi: Bal-Tembe, Swati: Ghosh, Usha; Jain, Arun Kumar; More, Tulsidas; Ghate, Anil; Trivedi, Jacqueline; Parikh, Sapna Nicholas Piramal India Limited, India PCT Int. Appl., 282 pp.
CODEN: PIKKD2
      IN
       PA
SO
                          Patent
English
    LA Eng.
FAN.CNT 1
PATENT NO.
PI WO 2006051476

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CM, CO, CR, CU, CZ, DE, DX, DM, DZ, EC, EE, EG, ES, FI, MZ, LC, LK, LR, LS, LT, LJ, LV, LY, LY, AR, MD, MG, MK, MY, IMZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, NO, NU, SC, SC, SK, SL, SM, SY, TJ, TM, TM, TR, TT, TT, TZ, UA, UG, US, CY, TY, TU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CT, CZ, DE, DK, EE, ES, FI, FR, GB, GR, FR, LS, TT, LT, LU, LV, MC, NL, PT, PT, NC, SE, SI, SK, TR, EC, CF, CC, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG, EG, GM, KE, LS, MW, ME, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AR, LS, MD, RU, TU, TM

PRAI IN 2004-R01225

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                                                                                                                                                                                                                                                                               20051108
                                                                                                                                                                                                                                                                      GR, HU,
TR, BF,
TG, BW,
AM, AZ,
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

*STRUCTURE DIAGRAM TOO LARGE FOR DISELAY - AVAILABLE VIA OFFLINE PRINT *

AB Guanidine derivs. having a condensed tricyclic ring of formula I, their preparation, pharmaceutical composition and use as sodium-proton exchange inhibitors are disclosed. These derivs. are sodium-proton exchange inhibitors and are useful as medicaments for the treatment of, for example, organ disorders associated with ischemia and reperfusion, cardiac arrhythmia, cardiac hypertrophy, hypertension, cell proliferative disorders and diabetes. Compds. of formula I where in RI-R8 are independently H, halo, OH, hydroxyalkyl, formula I where in RI-R8 are independently H, halo, OH, hydroxyalkyl, formyl, alkylacrboxyl, aryloxya. playl, alkenyl, cycloalkyl, (un)aubstituted (heterolaryl, aryloxycarbonyl, alkylaminoalkyl, aminocarbonyl, CN, No2, amidino, sulfonyl choride, sulfonyl hydrazide, alkyl-MHSO2, arylalkyl, (un)aubstituted heterocyclyl, unlonamide, alkyl-MHSO2, arylalkyl, (un)aubstituted heterocyclyl, cycloalkyl, or alkyl; U is CO, CRaRb, O, NRA, S, SO, or SO2; Rais H, alkyl-cycloalkyl, via CBaRb, or NRA; H is S, SO, or SO2; Rais H, alkyl-cycloalkyl, cycloalkyl, and deriva.

V is CRaRb or NRa; W is S, SO, or SO2; Ra is H, alkyl cycloalkyl,

ANSWER 3 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 3 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) or arylalkyl; Rb is H alkyl, OH, ORa, or OCORa; and their stereoisomers, tautomers, mixts. thereof in all ratios, pharmaceutically acceptable salts, solvates, polymorphs, and prodruga as well as the process for prepg. compds. of formula I are claimed. Example compd. II-MeSO3H were prepd. by nitration of compd. III to give the 4-chloro-6-methyl-2-nitro-10,10-dioxo-10,11-dihydro-5-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-16-the-10-dibydro-10-oxa-10-dibydro-10-d

(intermediate; preparation of tricyclic guanidine deriva. as sodium-proton
exchange inhibitors and their use for treatment of various diseases)
RN 887508-19-2 CAPLUS
CN 11H-Dibenzo[b, f][[1,4] oxathiepin-8-carboxylic acid, 7-(1H-pyrrol-1-yl)-,
10,10-dioxide (9CI) (CA INDEX NAME)

887508-21-6 CAPLUS 11H-Dibenzo[b,f][1,4]oxathiepin-8-carboxylic acid, thyl-7-(1H-pyrrol-1-yl)-, 10,10-dioxide (9CI) (CA INDEX NAME)

887509-87-7 CAPLUS Dibenzo[b,e][1,4]thiazepine-8-carboxylic acid, -dhlydro-7-(lH-pyrrol-1-yl)-, 10,10-dioxide (9CI) (CA INDEX NAME)

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ANSWER 4 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:386429 CAPLUS 144:432797
                                       Preparation of diaryl substituted pyrazoles and analogs for nonsense
                                  Preparation of diaryl substituted pyrazoles and analogs for nonsense suppression
Almstead, Neil; Karp, Gary M.; Wilde, Richard; Welch, Ellen; Campbell, Jeffrey A.; Ren, Hongyu; Chen, Guangming
PTC Therapeutics, Inc., USA
PCT Int. Appl., 286 pp.
CODEN: PIXXD2
Patent
            IN
PI WO 2006044502 A2 20060427 WO 2005-U336761 20051013
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KN, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, LT, HA, HD, MG, MK, NM, HM, MZ,
NA, NG, NI, NO, NS, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
SK, SL, SN, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, FT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GG, GM, ML, NR, NE, SN, TD, TG, EW, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
PRAI US 2004-617633P P 20041013
US 2004-617653P P 20041013
US 2004-617653P P 20041013
US 2004-617653P P 20041013
US 2004-617657P P 20041013
US 2004-617657P P 20041013
US 2004-617657P P 20041013
US 2004-624170P
GI
            DT
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The present invention relates to methods, compds., and compns. for treating or preventing diseases associated with nonsense mutations in an AR

by administering the compds. I [Al = C, CH, or N; V and X = N or C; W =

NH21:

;
R1 = carboxy, cyano, or carbonyl which is optionally substituted with alkoxy; R2 = absent or nitro; Ar1 = (un)substituted alkyl, aryl, 5-10 membered heterocyclyl; or Ar1 together with Ar2 form a ring; or Ar1 together with Ar3 form a ring; Ar2 is absent or together with Ar1 form a ring; Ar3 is absent or together with Ar1 form a ring; Ar3 is absent or together with Ar1 form a ring; Ar4 is absent or is alkyl, alkoxy, thioalkyl, any of which together with Al forms a 4-7

ANSWER 4 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) membered carbocycle or heterocycle) or compns. comprising I. More particularly, the present invention relates to methods, compds., and compns. for suppressing premature translation termination assocd. with a nonsense mutation in an mRNA. Over 470 compds. I were prepd. E.g., a multi-step synthesis of 3-II-(4-trifluoromethylphenyl)-IH-pyrrol-3-yl]benzoic acid, starting from 1-(triisopropylsilyl)pyrrole-3-boronic

acid and Me 4-iodobenzoate, was given. Compds. I were tested for nonsense suppression activity from a cell-based luciferase reporter assay (data

IT

given). 885016-17-19 885016-39-79
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological atudy); PREP (Preparation); USES (Uses)

(preparation of diaryl pyrazoles and analogs for suppressing premature translation termination associated with nonsense mutation in an mRNA and

useful in treating and preventing diseases-associated with nonsense mutations in an mRNA) 885016-17-1 CAPLUS Benzoic acid, 3-[3-[4-(1-methylethyl)phenyl]-1H-pyrrol-1-yl]- {9CI} (CA INDEX NAME)

885016-39-7 CAPLUS Benzoic acid, 4,4'-(1H-pyrrole-1,3-diyl)bis- (9CI) (CA INDEX NAME)

ANSWER 5 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:367034 CAPLUS 144:412543 Freparation of quinoxalines as B Raf inhibitors Aquila, Brian; Dakin, Les; Deegan, Tracey; Ioannidis, Stephanos; Lee, Stephen; Lyne, Paul; Pontz, Timothy; Su, Mei Astrazeneca AB, Swed.; Astrazeneca UK Ltd. PCT Int. Appl., 9 pp. CODEN: PIXXD2 Patent English CNT I PATENT NO. KIND DATE APPLICATION NO. DATE AN DN TI IN PA SO DT LA FAN DATE KIND

The title compds. I (A = carbocyclyl or heterocyclyl; R1 is a substituent on carbon and is selected from halo, nitro, cyano, etc.; n = 0-4; Z = CONN, NHCO, CHZNH; R2 = H, halo, nitro, etc.: R3 = halo, hydroxy, Me, methoxy or hydroxymethyl; X = NR18CO, NR19, NR2OCH2: R4-R8 = H, halo, nitro, etc.: R18-R20 = H, alkyl, alkanoyl, etc.] which possess B Raf inhibitory activity and are accordingly useful for their anti cancer activity, were prepared Thus, amidation of N-(5-amino-2-methylphenyl)quinoxaline-6-carboxamide (preparation given) with 3-(methyllthio)benzoic acid afforded 73t N-(2-methyl-5-[13-(methyllthio)benzoyl]amino)phenyl)quinoxaline-6-carboxamide. The compds.

exhibited activity less than 30 µM when tested in B-Raf in vitro ELISA assay. The invention also relates to processes for the manufacture of

compds.

I, to pharmaceutical compns. containing them and to their use in the manufacture of

L9 ANSWER 4 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 5 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) medicaments of use in the prodm. of an anti-cancer effect in a warm blooded animal such as man. 25180-28-9, 3-(2,5-0imethyl-1H-pyrrol-1-yl)benzoic acid 61471-45-2, 3-(1H-Pyrrol-1-yl)benzoic acid RL: RCT (Reactant) RACT (Reactant) regent) (preparation of quinoxalines as B Raf inhibitors for treating cancer) 26180-28-9 CAPLUS Benzoic acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

61471-45-2 CAPLUS Benzoic acid, 3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

(Continued)

```
ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:361235 CAPLUS 144:412361
                       144:412361
Preparation of indole derivatives for treatment of Altheimer's disease
Slade, Rachel; Klimova, Yevgeniya; Halter, Robert J.; Yungai, Ashantai
                        Weiner, Warren S.; Walton, Ruth J.; Willardsen, Jon Adam; Anderson, Mark
                      Melner, warren S.; Walton,
B.; Zavitz, Kenton
Myriad Genetics, Inc., USA
PCT Int. Appl., 300 pp.
CODEN: PIXXD2
Patent
English
DT Pau
LA English
FAN.CNT 1
PATENT NO.
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2006041874 A2 20060420 WO 2005-US35747 20051004

W: AZ, AG, AL, AM, AT, AL, AZ, BA, BB, BG, BR, BY, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, CD,
GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LK, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MZ,
NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SC,
SK, SL, SK, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LIT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GF, ML, MR, NE, SN, TD, TG, BF, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRAI US 2004-616152 P 2 20041004
US 2005-660479P P 20050309
US 2005-660479P P 20050309
                                                                                                                               DATE
                                                                                                     KIND
                                                                                                                                                                                 APPLICATION NO.
                                                                                                                                                                                                                                                                            DATE
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ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

(CH2) n

The invention provides novel indoles I and II [R1-R5 = independently H, OH, halo, CN, NO2, L-CO2H, L-CH:CHCO2H, optionally substituted alkyl, alkoxy, amino, L-CO0NH2, L-SO2 (C1-3alkyl), L-SO2NH2, L-phosphono, L-tetrazolyl, etc.; R6-R10 = independently H, OH, halo, CN, NO2, optionally substituted alkyl, alkoxy, amino, CONH2, SO2-alkyl, SO2NH2, etc.; adjacent R6-R9 may form 4-7 membered, optionally substituted ring; R11 = optionally substituted alkyl, alkoxy, amino, CONH2, SO2-alkyl, SO2NH2, etc.; adjacent R6-R9 may form 4-7 membered, optionally substituted ring; R11 = optionally substituted ring; R12 = op

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Benzoic acid, 3-(2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

Benzoic acid, 3-{5-(1,1-dimethylethyl)-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl}- (9CI) (CA INDEX NAME)

883895-55-4 CAPLUS
Benzoic acid, 3-(4,5,6,7-tetrahydro-2,5-diphenyl-1H-indol-1-yl)- (9CI)
(CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
883896-23-5P 883896-30-8P 883896-32-0P
883896-32-6P 883896-37-5P 883896-38-6P
883896-33-7P 883896-40-0P 883896-41-1P
883896-43-5P 883896-40-7P 883896-44-4P
883896-45-5P 883896-47-7P 883896-44-6P
883896-55-7P 883896-57-PP 883896-54-6P
883896-63-6P 883896-61-5P 883896-52-6P
883896-60-0P 883896-61-5P 883896-62-6P
883896-60-0P 883896-61-5P 883896-62-6P
883896-60-7P 883896-61-5P 883896-62-P
883896-61-61-5P 883896-63-PP
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883896-61-61-5P 883896-63-PP
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883896-7P
883896-7P 883896-71-5P 883896-79-5P
883896-80-3P 883896-73-9P 883896-79-6P
883896-80-3P 883896-71-5P
883896-80-3P 883897-10-5P
883896-80-3P 883897-10-6P
883897-3P-0P
883898-3P-0P
883897-3P-0P
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883898-3P-0P

(uses)
[prepn. of indole derivs. for treatment of Alzheimer's disease)
53597-27-6 CAPUUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

363607-41-4 CAPLUS Benzoic acid, 3-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)- (9CI) (CA INDEX NAME)

883895-58-7 CAPLUS Benzoic acid, 3-(2-phenyl-3H-benz[e]indol-3-yl)- (9CI) (CA INDEX NAME)

883895-62-3 CAPLUS Benzoic acid, 3-(4,5,6,7-tetrahydro-4-methyl-2-phenyl-1H-indol-1-yl)-(9CI) (CA INDEX NAME)

883895-48-5 CAPLUS

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883895-66-7 CAPLUS
Benzolc acid, 3-[2-(3-thienyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883895-71-4 CAPLUS Benzoic acid, 3-[4,5-dihydro-2-(3-phenyl-5-isoxazolyl)-3H-benz[e]indol-3-yll- (9C1) (CA INDEX NAME)

883895-72-5 CAPLUS Benzoic acid, 3-[2-(3-pyridinyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883895-76-9 CAPLUS Benzoic acid, 3-|2-(2-benzoiuranyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883895-77-0 CAPLUS
Benzolc acid, 3-[2-(2-benzofuranyl)-4,5-dihydro-3H-benz[e]indol-3-yl]-(SCI) (CA INDEX NAME)

883895-82-7 CAPLUS
Benzoic acid, 3-[2-(4-carboxyphenyl)-4,5-dihydro-3H-benz[e]indol-3-yl](SCI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883895-73-6 CAPLUS Benzoic acid, 3-[4,5-dihydro-2-(3-pyridinyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX RAME)

883895-74-7 CAPLUS Benzoic acid, 3-[2-(2-pyridinyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883895-75-8 CAPLUS
Benzoic acid, 3-[4,5-dihydro-2-(2-pyridinyl)-3H-benz[e]indol-3-yl]- (9CI)
(CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 883895-84-9 CAPLUS Benzolc acid, 3-[4,5-dihydro-2-(2-methoxyphenyl)-3H-benz[e]indol-3-yl]-(9CI) (CA INDEX NAME)

883895-85-0 CAPLUS Benzoic acid, 3-[2-(2-methoxyphenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883895-96-3 CAPLUS
Benzoic acid, 3-[5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-2-phenyl-lH-indol-1-yl}- (9CI) (CA INDEX NAME)

RN 883895-97-4 CAPLUS
CN Benzoic acid,
3-[4,5,6,7-tetrahydro-2-phenyl-5-(trifluoromethyl)-1H-indol1-yl]- (9CI) (CA INDEX NAME)

(Continued)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883895-99-6 CAPLUS Benzoic acid, 3-(5-ethyl-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl)-CN (9CI) (CA INDEX NAME)

883896-02-4 CAPLUS 1H-Indole-5-carboxylic acid, 1-(3-carboxyphenyl)-4,5,6,7-tetrahydro-2-phenyl-, 5-ethyl ester (9CI) (CA INDEX NAME)

883896-03-5 CAPLUS
Benzoic acid, 3-[5-[{(1,1-dimethylethyl)dimethylsilyl]oxy]-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{t-Bu-} \stackrel{\text{gi-}}{\text{o}} \\ \text{Me} \end{array} \qquad \begin{array}{c} \text{Ph} \\ \text{N} \\ \text{CO}_2 \text{H} \end{array}$$

883896-04-6 CAPLUS Benzoic acid, 3-[4,5-dihydro-2-(4-methoxyphenyl)-3H-benz[e]indol-3-yl]-[9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

883896-05-7 CAPLUS Benzoic acid, 3-[2-(4-methoxyphenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883896-06-8 CAPLUS Benzoic acid, 3-[2-(2,4-dimethoxyphenyl)-3H-benz[e]indol-3-yl]- (9CI) INDEX NAME)

883896-07-9 CAPLUS
1H-Indole-5-carboxylic acid, 1-(3-carboxyphenyl)-4,5,6,7-tetrahydro-2-phenyl+ (9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883896-08-0 CAPLUS
Benzoic acid, 3-[2-(4-chlorophenyl)-4,5-dihydro-3H-benz[e]indol-3-yl](SCI) (CA INDEX NAME)

883896-09-1 CAPLUS
Benzoic acid, 3-[2-(3-chlorophenyl)-4,5-dihydro-3H-benz[e]indol-3-yl]-(SCI) (CA INDEX NAME)

883896-14-8 CAPLUS Benzoic acid, 3-(4,5,6,7-tetrahydro-6,6-dimethyl-2-phenyl-1H-indol-1-yl)-(9CI) (CA INDEX NAME)

CN Benzoic acid, 3-{(6R)-4,5,6,7-tetrahydro-6-methyl-2-phenyl-1H-indol-1-yl}-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883896-25-1 CAPLUS
Benzoic acid, 3-(4,5,6,7-tetrahydro-2-phenyl-5-propyl-1H-indol-1-yl)-(9CI) (CA INDEX NAME)

883896-28-4 CAPLUS
Benzoic acid, 3-[2-phenyl-5-(trifluoromethyl)-1H-indol-1-yl]- (9CI) (CA
INDEX NAME)

883896-29-5 CAPLUS Benzoic acid, 3-(5-ethyl-2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

883896-30-8 CAPLUS Benzoic acid, 3-(6-methyl-2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN L9

RN CN

883896-32-0 CAPLUS Benzoic acid, 3-(4,5,6,7-tetrahydro-5-hydroxy-2-phenyl-1H-indol-1-yl)-(9CI) (CA INDEX NAME)

883896-36-4 CAPLUS Benzoic acid, 3-[2-(3-methoxyphenyl)-3H-benz[e]indol-3-yl]- [9CI) (CA INDEX NAME)

883896-37-5 CAPLUS
Benzoic acid, 3-[2-(3-hydroxyphenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA
INDEX NAME)

883896-38-6 CAPLUS Benzoic acid, 3-[2-(4-fluorophenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883896-42-2 CAPLUS Benzoic acid,

CN Benzoic acid,
3-[4,5,6,7-tetrahydro-2-phenyl-4-(trifluoromethyl)-1H-indol1-yl]- (9CI) (CA INDEX NAME)

883896-43-3 CAPLUS Benzoic acid,

CN Benzoic acid, 3-[4,5,6,7-tetrahydro-2-phenyl-6-(trifluoromethyl)-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

RN 883896-44-4 CAPLUS
CN Benzoic acid,
3-[4-[4-(ethoxycarbonyl)phenyl]-4,5,6,7-tetrahydro-2-phenyl1H-indol-1-yl)- (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883896-39-7 CAPLUS
CN Benzoic acid,
3-[2-[3,5-bis(firitluoromethyl)phenyl]-3H-benz[e]indol-3-yl][9CI) (CA INDEX NAME)

883896-40-0 CAPLUS Benzoic acid, 3-{2-(3-chlorophenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883896-41-1 CAPLUS
Benzoic acid, 3-[2-[4-(trifluoromethyl)phenyl]-3H-benz[e]indol-3-yl][9CI] (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883896-45-5 CAPLUS Benzolc acid, -[4-(ethoxycarbonyl)phenyl]-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

883896-47-7 CAPLUS
Benzoic acid, 3-12-(3-phenyl-5-isoxazolyl)-3H-benz[e]indol-3-yl]- (9CI)
(CA INDEX NAME)

883896-49-9 CAPLUS Benzoic acid, [2-(2-benzofuranyl)-4,5,6,7-tetrahydro-5-{trifluoromethyl}-lH-indol-1-yl]- (9CI) (CA INDEX NAME)

883896-50-2 CAPLUS
Benzoic acid, 3-{2-{3,4-dichlorophenyl}-4,5,6,7-tetrahydro-5-(trifluoromethyl}-1H-indol-1-yl}- (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 888896-52-4 CAPLUS
CN Benzoic acid, 3-{2-(3,4-dichlorophenyl)-3H-benz{e}indol-3-yl}- (9CI) (CA INDEX NAME)

RN 883896-54-6 CAPLUS
CN Benzoic acid, 3-(4,5,6,7-tetrahydro-5-oxo-2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

RN 883896-55-7 CAPLUS CN Benzoic acid, 3-(1',4',6',7'-tetrahydro-2'-phenylspiro[1,3-dioxolane-2,5'-[5H]indol]-1'-yl)- (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

N 883896-57-9 CAPLUS N Benzoic acid, 3-[2-(4-chlorophenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

RN 883896-59-1 CAPLUS
CN Benzoic acid, 2-[3-(3-carboxyphenyl)-3H-benz[e]indol-2-yl]-, 1-methyl ester (9C1) (CA INDEX NAME)

RN 883896-60-4 CAPLUS CN Benzoic acid, 3-[2-(2-chlorophenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883896-61-5 CAPLUS
CN Benzoic acid, 3-(5-cyclohexyl-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl)(9C1) (CA INDEX NAME)

RN 883896-62-6 CAPLUS
CN 2-furancarboxylic acid,
5-[1-(3-carboxyphenyl)-4,5,6,7-tetrahydro-2-phenyl1H-indol-4-yl]-, 2-ethyl ester (9CI) (CA INDEX NAME)

RN 883896-63-7 CAPLUS
CN 2-Furancarboxylic acid,
5-[1-(3-carboxyphenyl)-4,5,6,7-tetrahydro-2-phenyl1H-indol-6-yll-, 2-ethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883896-64-8 CAPLUS
CN Benzolc acid, 3-{2-{3,4-dichlorophenyl}-4,5,6,7-tetrahydro-4-(trifluoromethyl)-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

RN 883896-65-9 CAPLUS
CN Benzoic acid, 3-{2-(3,4-dichlorophenyl)-4,5,6,7-tetrahydro-6-(trifluoromethyl)-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

RN 883896-66-0 CAPLUS
CN Benzolc acid, 3-[2-[3-(trifluoromethyl)phenyl]-3H-benz[e]indol-3-yl](9C1) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883896-67-1 CAPLUS
CN Benzoic acid, 3-[2-[4-(trifluoromethoxy)phenyl]-3H-benz[e]indol-3-yl](9CI) (CA INDEX NAMZ)

RN 883896-68-2 CAPLUS
CN Benzoic acid, 3-{2-{4-cyanophenyl}-3H-benz{e}indol-3-yl}- {9CI} (CA INDEX
NAME)

RN 883896-72-8 CAPLUS
CN Benzoic acid, 3-(4,5,6,7-tetrahydro-5-methoxy-2-phenyl-1H-indol-1-yl)(9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883896-79-5 CAPLUS
CN Benzoic acid, 3-{2-{4-{1-pyrrolidinyl}phenyl}-3H-benz[e]indol-3-yl}(9CI)
(CA INDEX NAME)

RN 883896-80-8 CAPLUS
CN Benzoic acid, 3-[2-{3,4-dichlorophenyl}-5-(1,1-dimethylethyl)-4,5,6,7-tetrahydro-lH-indol-1-yl]- (9CI) (CA INDEX NAME)

RN 883896-81-9 CAPLUS CN Benzolc acid, 3-[2-(2,5-dichlorophenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME) L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883896-73-9 CAPLUS
CN Benzoic acid, 3-{5-{1,1-dimethylpropyl}-4,5,6,7-tetrahydro-2-phenyl-lH-indol-1-yl]-6-hydroxy- (SCI) (CA INDEX NAME)

RN 883896-74-0 CAPLUS
CN Benzoic acid, 2-chloro-5-[5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl}- (9CI) (CA INDEX NAME)

RN 883896-76-2 CAPLUS
CN Benzoic acid, 3-(6-ethyl-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl)(9CI)
(CA INDEX NAME)

RN 883896-77-3 CAPLUS
CN Benzoic acid,
3-[2-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 883896-82-0 CAPLUS CN Benzoic acid, 5-[2-(2-benzofuranyl)-3H-benz[e]indol-3-yl]-2-chloro- (9CI) (CA INDEX NAMEZ)

RN 883896-83-1 CAPLUS
CN Benzoic acid, 3-[2-(2-benzofuranyl)-3H-benz[e]indol-3-yl]-4-hydroxy(9CI)
(CA INDEX NAME)

PAGE 1-A

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

883896-85-3 CAPLUS
Benzoic acid, 3-[5-[1,1-dimethylethyl)-4,5,6,7-tetrahydro-2-[4{trifluoromethyl)phenyl}-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

RN 883896-86-4 CAPLUS
CN Benzoic acid,
3-[4,5,6,7-tetrahydro-2-phenyl-5-(phenylmethoxy)-lH-indol-1-yl]- (9CI) (CA INDEX NAME)

883896-89-7 CAPLUS
Benzoic acid, 3-[2-(2-benzofuranyl)-1-[(dimethylamino)methyl]-3H-benz[e]indol-3-yl]- [9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883896-93-3 CAPLUS
Benzoic acid, 3-{2-{2,4-dimethoxyphenyl}-4,5,6,7-tetrahydro-5-(trifluoromethyl)-1H-indol-1-yl}- (9CI) (CA INDEX NAME)

883896-96-6 CAPLUS
Benzoic acid, 3-[4,5,6,7-tetrahydro-2-[4-(4-morpholinyl)phenyl]-5-(trifluoromethyl)-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

883896-97-7 CAPLUS
Benzoic acid, 3-[4,5,6,7-tetrahydro-5-(trifluoromethyl)-2-[2,3,4-trimethoxyphenyl)-1H-indol-1-yl)- [9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883896-90-0 CAPLUS
CN Benzoic acid,
3-[4-(3-cyanopropy])-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1yl}- (9CI) (CA INDEX NAME)

RN 883896-91-1 CAPLUS CN Benzoic acid, 3-[6-(3-cyanopropy)]-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-y1]- (9CI) (CA INDEX NAME)

883896-92-2 CAPLUS
Benzoic acid, 3-[2-(3,4-dimethoxyphenyl)-4,5,6,7-tetrahydro-5-(trifluoromethyl)-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883896-99-9 CAPLUS
Benzoic acid, 3-[3-[(dimethylamino)methyl]-5-(1,1-dimethylethyl)-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

883897-01-6 CAPLUS
Benzoic acid, 2-chloro-5-[2-(4-chlorophenyl)-3H-benz[e]indol-3-yl]- (9CI)
(CA INDEX NAME)

883897-03-8 CAPLUS
Benzolc acid, 3-[5-(diethylamino)-4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883897-04-9 CAPLUS
CN Benzoic acid,
3-[4,5,6,7-tetrahydro-5-(4-morpholinyl)-2-phenyl-1H-indol-1-yl]- (9CI) (CA INDEX NAMZ)

RN 883897-06-1 CAPLUS CN Benzoic acid, 3-{4,5,6,7-tetrahydro-2-phenyl-5-(1-pyrrolidinyl)-1H-indol-1-yl)- (SCI) (CA INDEX NAME)

883897-09-4 CAPLUS
Benzoic acid, 3-[2-(4-chlorophenyl)-5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

$$\mathbb{R}$$

883897-13-0 CAPLUS
Benzoic acid, 3-[5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-2-(4-(trifluoromethyl)phenyl)-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

883897-16-3 CAPLUS
Benzolc acid, 3-{2-(3-chlorophenyl)-5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-lH-indol-1-yl]- (SCI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883897-11-8 CAPLUS
Benzoic acid, 3-[5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-2-[3-(trifluoromethyl)phenyl)-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

883897-12-9 CAPLUS
Benzoic acid, 3-{5-(1,1-dimethylpropyl)-2-(4-fluorophenyl)-4,5,6,7-tetrahydro-lH-indol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

883897-17-4 CAPLUS
Benzoic acid, 3-[2-(3,4-dichlorophenyl)-5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-lH-indol-1-yl]- (9CI) (CA INDEX NAME)

883897-18-5 CAPLUS
Benzoic acid, 3-{2-(1,1-dimethylethyl)-5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

883897-19-6 CAPLUS Benzolc acid, 3-(2-phenyl-5-(phenylmethoxy)-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

(Continued)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 883897-21-0 CAPLUS
CN Benzoic acid,
3-{4,5,6,7-cetrahydro-2-{4-nitrophenyl}-5-(trifluoromethyl)-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

883897-23-2 CAPLUS
Benzoic acid, 3-[4,5-dihydro-2-(3-methoxyphenyl)-3H-benz[e]indol-3-yl]-(9CI) (CA INDEX NAME)

883897-25-4 CAPLUS
Benzoic acid, 3-[2-(4-hydroxyphenyl)-3H-benz[e]indol-3-yl]- (9CI) (CA
INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883897-33-4 CAPLUS
Benzoic acid, 3-[4,5-dihydro-2-(4-methylphenyl)-3H-benz[e]indol-3-yl]-(9CI) (CA INDEX NAME)

HO₂C

883897-39-0 CAPLUS
Benzoic acid, 3-[2-(1,3-benzodioxol-5-yl)-4,5-dihydro-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883897-40-3 CAPLUS Benzoic acid, 3-[2-(1,3-benzodioxol-5-yl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

883897-27-6 CAPLUS
Benzoic acid, 3-amino-5-[4,5,6,7-tetrahydro-2-phenyl-5-(trifluoromethyl)-1H-indol-1-yl]- (GC1 NOEX NAME)

RN 883897-29-8 CAPLUS
CN Benzoic acid,
3-{2-(4-aminophenyl)-4,5,6,7-tetrahydro-5-(trifluoromethyl)-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

803897-32-3 CAPLUS Benzoic acid, -(2,4-dimethoxyphenyl)-4,5-dihydro-3H-benz[e]indol-3-yl]-(9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

883897-48-1 CAPLUS Benzoic acid, 3-(4,5-dihydro-2-(4-pyridinyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883897-50-5 CAPLUS Benzoic acid, 3-[2-(4-pyridinyl)-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

RN 883897-52-7 CAPLUS
CN Benzoic acid,
3-[2-(5-methyl-3-phenyl-4-isoxazolyl)-3H-benz[e]indol-3-yl](9CI) (CA INDEX NAME)

ANSWER 6 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN L9 (Continued)

883897-69-6 CAPLUS

Benzoic acid, 3-[2-(2-benzofuranyl)-5-(1,1-dimethylpropyl)-4,5,6,7-tetrahydro-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

883897-79-8 CAPLUS Benzoic acid, 3-[2-[5-(2,4-dichlorophenyl)-2-furanyl]-3H-benz[e]indol-3-yl]- (9CI) (CA INDEX NAME)

883897-83-4 CAPLUS Benzoic acid, 3-[4,5,6,7-tetrahydro-2-phenyl-6-(2-pyridinyl)-lH-indol-1-yll- [9C] (CA INDEX NAME)

ANSWER 7 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) pharmaceutically acceptable salts, deriva., tautomers, or solvates set. thereof

of were prepd. as tubulin inhibitors for the treatment of proliferative diseases or cancer (no data). For example, 4-amino-3,5-dichlorobenzoic acid was reacted with 1-(3-chlorobenzoil-piperazine in DMF at 50 °C in the presence of TBTU to give II (47 %). The title compds. showed inhibitory activity with ICSO < 10 µM in vitro cytotoxicity assay. Formulations as tablets, coated tablets, capsules, or ampoules were

described.
10333-68-3, 2-(1-Pyrrolyl)-benzoic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylpiperazine derivs. as tubulin inhibitors for

cment
of proliferation or cancer)
10333-68-3 CAPIUS
Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:335893 CAPLUS 144:390943 L9 AN DN TI 144:390943
Preparation of arylpiperazine derivatives as tubulin inhibitors for treatment of proliferation or cancer
Betzemeier, Bodo: Krist, Bernd: McConnell, Darryl: Steurer, Steffens Impagnatieslo, Maria; Weyer-Czernilofsky, Ulrike; Hilberg, Frank: Brueckner, Ralph: Daimmann, Georg: Heckel, Armin; Kley, Joerg: Lehmann-Lintz, Thorsten: Roth, Gerald
Boehringer Ingelheim International G.m.b.H., Germany
Eur. Pat. Appl., 55 pp.
CODEN: EPKKDN
Patent PA SO DT Patent LA English FAN.CNT 1 APPLICATION NO. PATENT NO. KIND DATE DATE EP 1645556 A1 20060412 EP 2004-23926 20041007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, JU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, ΡI PRAT EP 2004-23926 20041007 MARPAT 144:390943

The title arylpiperazine derivs. I [wherein A = mono- or bicyclic aryl: and R2 = independently H, halo, CN, (un)substituted alkyl, alkoxy, etc.; R3 = H, halo, CN, alkyl, or alkoxy; or R2 and R3 = (un)substituted -0-(CH2)p-0-ring; R4 and R5 = independently H or alkyl; R6-R10 = independently H, halo, NO2, CN, (un)substituted alkyl, NN2, alkoxy, etc. X and Y = independently CH, CF, or N; n and p = independently 1 or 21, or

ANSWER 8 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:332235 CAPLUS 144:330539 Preparation of pyrrolecarboxamide derivatives as mineralocorticoid receptor antegonists for use against cancer and other disorders Canne Bannen, Lynner Chen, Jeff; Dalrymple, Lisas Esther; Flatt, Brenton T.; Forsyth, Timothy Patrick; Gu, Xiao-Hu; Mac, Morrison B.; Mann, Larry W.; Mann, Grace; Martin, Richard; Mohan, Raju; Murphy, Brett; Nyman, Michael Charles; Stevens, William C., Jr.; Wang, Tie-Lin; Wong, Yong; Wu, Jason H. IN

Alchael Chaffes; Seven.
Jason H.
PA Exelixis, Inc., USA
SO PCT Int. Appl., 477 pp.
CODEN: PIXMO2
DT Patent
LA English
FAN.ChT 1
PATENT NO. KIN APPLICATION NO. KIND DATE DATE

C (0) NR6R7

MARPAT 144:350539

Pyrrolecarboxamide derivs. (shown as I; other Markush structures for pyrrolecarboxamides are defined in the claims; variables defined below; e.g. 1-(4-flucro-2-(trifluoromethyl)phenyl)-2,5-dimethyl-1H-pyrrole-3-carboxylic acid N-{4-(sulfamoyl)phenyl]amide (III), compns. and methods for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or ameliozation of ≥1 symptoms of disease or disorder directly or indirectly related to the activity of the receptors. Semiquent. IC50 values for antagonist activity of 23 examples of I are tabulated and compared to the activity of the Spironolactone control. For I: R1 and R2 = H, halo, cyano, or (un)substituted alkyl, alkenyl, alknyl, eycloalkyl, eycloalkylalkyl, aryl, aralkyl, heteroaralkyl, heteroaralkyl, heterocytyl, or heterocyclylatyl, or -OR9, -SR9, -N(R9)2, -C(O)OR9 or -C(O)N(R9)2; R3 =

ANSWER 8 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
H, halo, cyano, (un)substituted alkyl, (un)substituted alkenyl or
(un)substituted alkynyl; R4 is H, -C(O[9R), -S(O[2R), or (un)substituted
alkyl, alkenyl or alkynyl, or R4 is (un)substituted cycloalkyl,
cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl,
heteroaryl or heteroaralkyl; R6 is H or (un)substituted alkyl; R7 is
(un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heteroaryl or
heteroaralkyl; addnl. details are given in the claims. Although the
methods of prepn. are not claimed, prepns. and/or characterization data
for many examples of I are included. For example, II was prepd. In 5
steps (50, 37, 62, 64, and 66 % yields, resp.) starting with prepn. of
1-[4-fluoro-2-(trifluoromethyl)aniline and 2.5-hexanedione, followed by
prepn. of the following intermediates: 1-(4-fluoro-2trifluoromethylphenyl)-2,5-dimethyl-IH-pyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)-Hpyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)-Ryrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)-Ryrrole-3-carboxylic acid and 1-[4-fluoro-2-(trifluoromethyl)-Ryrrole-3-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); TMU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyrrolecarboxamide derivs. as
mineralocorticoid receptor antagonists for use against cancer and

other

other
disorders)
RN 880779-34-0 CAPLUS
CN Benzoic acid,
2-[2,5-dimethyl-3-[[(4-(methylsulfonyl)phenyl]amino]carbonyl
}-lK-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 9 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:315138 CAPLUS 144:480399 144:480399 144:480399 15scovery of novel biaryl heterocyclic EP1 receptor antagonists Hall, Adrian; Bit, Rino A.; Brown, Susan H.; Chaignot, Helene M.; Chessell, Iain P.; Coleman, Tanya; Giblin, Gerard M. P.; Hurst, Devid N.; Kilford, Ian R.; Lewell, Xiao Q.; Michel, Anton D.; Mohamed, Shlyam: Naylor, Alan: Novelli, Riccardo; Skinner, Lee; Spalding, David J.; Tang, Sac P.; Wilson, Richard J.
Neurology and Gastrointestinal Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, CH19 SAW, UK
Bioorganic & Medicinal Chemistry Letters (2006), 16(10), 2666-2671 CODEN: BMCLE8; ISSN: 0960-094X Elsevier B.V.
Journal

so

English
We describe the generation of novel EP1 receptor antagonists by
investigation of thiophene isosteres. In addition, we disclose

preliminary
in vitro and in vivo DMPK for selected compds.

17 632621-54-69 632621-55-79 854195-37-29
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TMU
(Therapoutio use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Discovery of novel biaryl heterocyclic EP1 receptor antagonists)
RN 622621-54-6 CAPLUS
CN Benzoic acid,
3-{2-{5-chloro-2-(phenylmethoxy)phenyl}-5-methyl-1H-pyrrol-1-y1|- (9CI) (CA INDEX NAME)

HOSE Ph-CH2-O

RN 632621-55-7 CAPLUS
CN Benzoic acid,
3-[2-(5-bromo-2-(phenylmethoxy)phenyl)-5-methyl-1H-pyrrol-1-yl]- (SCI) (CA INDEX NAME)

L9 ANSWER 8 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 9 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 854195-37-2 CAPLUS Benzoic acid, 3-[2-[5-chloro-2-(phenylmethoxy)phenyl]-lH-pyrrol-1-yl]-(9CI) (CA INDEX NAME)

RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 10 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:273658 CAPLUS 144:331457
                Preparation of substituted pyrazolo[1,5-a]pyrimidines and methods of
              use as antiproliferative agents
Wang, Yanong Daniel; Gopalsamy, Ariamala; Honores, Erick Eduardo;
Jennings, Lee Dalton; Johnson, Steven Lawrence; Powell, Dennis William;
Sum, Fuk-Wah; Tsou, Hwei-Ru; Wu, Biqi; Zhang, Nan
their
PA
SO
               U.S. Pat. Appl. Publ., 83 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1
PATENT NO.
                                                                               KIND
                                                                                                    DATE
                                                                                                                                            APPLICATION NO.
                                                                                                                                                                                                                      DATE
                                                                                 A1
A2
                                                                                                    20060323
                                                                                                                                                                                                                       20050909
              US 2006063784
WO 2006033795
                                                                                                                                           US 2005-221846
WO 2005-US31087
ΡI
                                                                                                                                                                                                                        20050901
                           2006033795

A2 20060330 WO 2005-US31087 20050901

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, EW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EZ, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JF, KE, KG, NH, KF, KR, KZ, LC, LK, IK, LS, LT, LU, LV, MA, HD, MG, MK, MN, MK, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SZ, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NI, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG, EW, GH, CM, KE, LS, MM, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

2004-610550P P 20040917
RG, KZ, MI
PRAI US 2004-610550P
OS MARPAT 144:331457
GI
                                                                                                      20040917
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ANSWER 10 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 10 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The invention is related to novel methods of use of pyrazolo[1,5-a]pyrimidines I [R1 = H, CN, halo, CHO, CO2H, etc.; R2-R4 = H, CF3,

alpy:midines I (RI = H, CN, halo, CHO, COZH, etc.; RZ-R4 = H, CF3, alky);

R5 = (un)substituted hetero/aryl], and their therapeutically acceptable salts and prodrugs, as antiproliferative agents, particularly antitumor agents, in mammals, including humans. The use of pyrazolpyrimidines I in regulating the expression of p21 in cells, and the preparation of certain I are

given. Thus, reacting (3-Amino-1H-pyrazol-4-yl) (thien-2-yl)methanone (preparation given) with 3-(Dimethylamino)-1-(2-thienyl)-2-propen-1-one (preparation given) gave pyrazolopyrimidine II. In a cytotoxicity test against 80S14 (p21-deficient) cells, II had an ICSO in the range of 1-10 µM.

22106-33-8, 4-(H.P-Pyrol-1-yl)benzolc acid

RL: RCT (Reactant): RACT (Reactant or reagent) (preparation of substituted pyrazolo[1,5-a]pyrimidines as antitumor agents)

ts) 22106-33-8 CAPLUS Benzoic acid, 4-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

agents
Weng, Yenong Daniel; Gopalsamy, Ariamala; Powell, Dennis William; Tsou,
Hwei-Ru: Zhang, Nan
USA
U.S. Pat. Appl. Publ., 84 pp.
CODEN: USXXCO IN

PA SO

DT

Patent English

LA Eng. FAN.CNT 1 PATENT NO. APPLICATION NO. DATE

2006063785 A1 20060323 US 2005-221847 20050909
W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CR, CR, CC, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MF, MF, MF, MK, NZ, NA, NG, NI, NO, NZ, CM, PG, HH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TH, TH, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BZ, BG, CH, CY, CZ, DE, DK, EZ, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GM, ML, NR, NZ, SN, TD, TG, EW, GH, GG, KZ, LS, NR, MZ, NA, NS, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, RARI US 2004-610320P P 20040917
OS MARPAT 144:312112

This invention relates to novel pyrazolo[1,5-a]pyrimidine compds. I (wherein Rl = H, cyano, halogen, carbamoyl, formyl, carboxy, C(0)-do-cycloakyl, C(0)eycloakyl, R6, C(0)eycloakyl, R6, C(0)R6, and C(S)R6; R6 = (un)substituted, sryl or heteroaryl; R2, R3, and R4 = H, CF3, or alkyl;

- (un)substituted aryl or heteroaryl) and the therapeutically acceptable selts thereof. These compds. are useful as anti-proliferative agents in mammals, including humans. The compds., their use in regulating the expression of p21 in cells, as well as a method of preparation are claimed

- L9 ANSWER 11 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

 For example, II is prepd. from (3-amino-1H-pyrazol-4-yl)-2thienylmethanone and 3-(dimethylamino)-1-[3-(cyclopentyloxy)phenyl]-2propen-1-one, which in turn was prepd. from 3-cyclopentyloxyacetophenone
 and DMT-d1-Me acetal. In a cytotoxicity test against 80314
 (p21-deficient) cells, II had an Ic30 in the range of 1-10 µM.

 IT 22108-33-8, 4-(1H-pyrrol-1-yl)benzoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of substituted pyrazolo[1,5-a]pyrimidines as
 antiproliferative
 agents)

 RN 22106-33-8 CAPLUS
 CN Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 12 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN ALL CITATIONS AVAILABLE IN THE RE FORMAT (Continued)

ANSWER 12 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:266231 CAPLUS 144:460309 Quantitative Structure-Activity Relationship Studies on Inhibition of HERG Potassium Channels Potassium Channels Yoshida, Katsumi; Niwa, Tomoko Discovery Research Laboratories, Nippon Shinyaku Co. Ltd., 14 Nishinosho-Monguchi-cho, Kisshoin, Minami-ku, Kyoto, 601-8550, Japan Journal of Chemical Information and Modeling (2006), 46(3), 1371-1378 CODEN: JCISD8; ISSN: 1549-9596 American Chemical Society SO PB DT LA AB

Journal English The human ether-a-go-go-related gene (HERG) protein forms the ion channel responsible for the rapidly acting delayed rectifier potassium current, IKr, and its blockade is a significant contributor to prolongation of the QT interval. Using descriptors which have clear physicochem. meanings

are familiar to medicinal chemists, we have carried out 2D-quant. structure-activity relationship (2D-QSAR) studies on 104 HERG channel blockers with diverse structures collected from the literature, and we have formulated interpretable models to guide chemical-modification

have formulated interpretable models to guide chemical-modification studies and virtual acreening. Statistically significant descriptors were selected by a genetic algorithm, and the final model included the octanol/water partition coefficient, topol. polar surface area, diameter, summed surface area of atoms with partial charges from -0.25 to -0.20, and an indicator variable representing the exptl. conditions. The statistics were r = 0.839, rz = 0.704, qz = 0.671, s = 0.763, and F = 46.6. The correspondence of the mol. determinants derived from the ZP-GSAR models with the 3D structural characteristics of the putative binding site in a homol.-modeled HERG channel is also discussed.

IT 572913-76-9, BNCL 131829-05
RL: PRC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (GSAR of HERG potassium channel blockers)
RN 572913-76-9 CAPLUS
CN Bencoic acid, 4-[5-chloro-3-[1-[2-(2-oxo-1-imidazolidinyl)ethyl]-4-piperidinyl}-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD

ANSWER 13 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:218210 CAPLUS 144:274307 Preparation of hydroxyamides, particularly N-hydroxyacrylamides, as histone deacetylase inhibitors Ishibashi, Naoki; Sawada, Yuki; Urano, Yasuharu; Satoh, Shigeki; Inoue, Yoshikazu; Eikyu, Yoshiteru; Mukoyoshi, Koichiro; Kamijo, Kazunori; Shirai, Fumiyuki; Takasuqi, Hisashi Astellas Pharma Inc., Japan U.S. Pat. Appl. Publ., 142 pp. CODEN: USXXXCO Patent AN DN TI IN PA SO DT Patent English FAN PATENT NO. APPLICATION NO. KIND DATE DATE PI US 2006052599 PRAI EP 2004-904487 EP 2004-907228 A1 A A US 2005-199453 20050809 20060309

20041220

MARPAT 144:274307

OS GI

AB Title compds. R1-X-N(R2)-Y-Z-CO-NH-OH [I; R1 = H, (un)substituted lower alk(en/yn)yl, cyclo(lower)alkyl, cyclo(higher)alkyl, cyclo(lower)alkyl(lower)alkyl, cyclo(higher)alkyl(lower)alkyl, cyclo(lower)alkenyl(lower)alkyl, aryl-fused cyclo(lower)alkyl, lower alkoxy, acyl, aryl, ar(lower)alkoxy, ar(lower)alkyl, heteroar(lower)alkyl, amino, heteroaryl, heterocyclyl or heterocyclyl(lower)alkyl; R2 = H, lower

alkyl; X = hetero/arylene, aryl-fused/hetero/cycloalkylene; Y = (un)substituted hetero/arylene; Z = (un)substituted lower alkenylene their salts) were prepared as histone deacetylase (HDAC) inhibitors. alkenylene; and

a multi-step synthesis starting from Me 6-chloronicotinate and l-benzyl-3-aminopyrrolidine, is given for II-2RCl. Selected I displayed HDAC inhibitory activity (IC50 < 10 nM). Selected I exhibited T-cell growth inhibitory activity (IC50 < 25 nM). IT

RE: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxyamides as histone deacetylase inhibitors)
22106-33-8 CAPLUS
Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 13 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN L9 (Continued)

ANSWER 14 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:193420 CAPLUS 144:274129
Preparation of 1-(hetero)aroyl-2-(pyrrolidin-1-ylmethyl)pyrrolidine histamine H3 receptor agents and therapeutic uses Finley, Don Richard; Finn, Terry Patrick; Hipskind, Philip Arthur; Hornback, William Joseph; Jesudason, Cynthia Darshini; Takakuwa, Takako L9 AN DN TI IN Eli Lilly and Company, USA PCT Int. Appl., 123 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE

R4 CO N I 11

ANSWER 14 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
The present invention provides 1-(hetero)aroyl-2-(pyrrolidin-1ylmethyl)pyrrolidines (shown as Ir variables defined below; e.g.
(S)-[4-[4-(pyridin-3-yl)thiazol-2-yl)phenyl)[2-[(pyrrolidin-1yl)methyl)pyrrolidin-1-yl]methanone dihydrochloride (free base shown as
II)) or a pharmaceutically acceptable salt thereof, having histamine-H3
receptor antagonist or inverse agonist activity, as well as methods for
preparing such compds. In another embodiment, the invention discloses
pharmaceutical compns. comprising compds. I as well as methods of using
them to treat obesity, cognitive deficiencies, narcolepsy, and other
histamine H3 receptor-related diseases. Although the methods of
station

are not claimed, prepns. and/or characterization data for 57 examples of

are included. For example, II was prepared by converting sodium 4-[4-{pyridin-3-yl}thiazol-2-yl]benzoate to the acid chloride and then condensing it with (S)-(+)-1-[(2-pyrrolidinyl)methyl)pyrrolidine in the presence of pyridine. For I: X = C (substituted with H or the optional substituents indicated herein), or N; R1 = -HET ((un)substituted on C, independently, 1-3 times with RZ, and optionally once substituted on N with R3), or benzo-fused heterocycle ((un)substituted on C, pendently,

with R3), or benzo-fused heterocycle {{un}substituted on N with R3}; R2 = at each occurrence -H, -halogen, -{C1-C7} alkyl {{un}substituted with 1-3 halogens}, -CN, -C(0)R7, -C(0)R7, -C(1)R7, -C(0)R7, -

= -H, -Ph, -(C1-C7) alkyl ((un)substituted with 1-3 halogens); or R7 and R8 combine with the atom to which they are attached to form a 4 to 7 membered ring; R9 is -H, -halo, -(C1-C3) alkyl ((un)substituted with 1-3 halogens), or -OR7. All compds. set forth in the examples exhibit affinity for the H3 receptor >1 µM in the H3R binding assay; e.g. Ki = 3.1 nM for U1-2MC1.

IT

affinity for the H3 Feceptor >1 pm in the H3K DARRING SEER, NA - 3.1 nM for H1 2HCl.

15898-26-7, 4-(2,5-Dimethylpyrrol-1-yl)benzoic acid

RL: RCT (Reactant): RACT (Reactant or reagent)

(preparation of 1-(hetero)aroyl-2-(pyrrolidin-1-ylmethyl)pyrrolidine
histamine H3 receptor agents and therapeutic uses)

1598-26-7 CAPLUS

Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 15 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:192166 CAPLUS 144:260823

AN DN TI Compositions containing 5-amino-2-hydroxybenzoic acid and a reducing

Kaczanowski, Matthew John; Williams, Thomas Daniel; Trombley, Kurt Franklin; Redman-Furey, Nancy Lee
The Procter & Gamble Company, USA
U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO suga IN

DT LA FAN

Patent English CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE US 2006046973 WO 2006028831 20060302 20060316 US 2005-218132 WO 2005-US30907 A1 A2 A3 WO WO Wo 2006028831 A2 2006060

W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FT, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, NA, MD, MO, NK, MN, MW, MN, KZ, NA, NG, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FT, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GC, GW, ML, MR, NE, SN, TD, TG, TG, EW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-606386P P 20040901

AB Compens. comprising 5-maino-2-hydroxybenzoic acid (5-maino salicylic acid, messlamine) and a reducing sugar, e.g., lactose, undergo the Maillard and other chemical reactions and produce, in the case of lactose, a degradant 5-[2-Formyl-5-(hydroxymethyl)-Hi-pyrrol-1-y1]-2-hydroxybenzoic acid.

Inventors have developed means to contain and/or reduce the formation of degradants of 5-maino-2-hydroxybenzoic acid.

RL: PRU (Formation, unclassified): PORM (Formation, nonpreparative) 2006028831 20060601

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative) (stable compns. containing 5-amino-2-hydroxybenzoic acid and a reducing

sugar) 816903-48-9 CAPLUS Benzoic acid, 5-[2-formyl-5-(hydroxymethyl)-1H-pyrrol-1-yl]-2-hydroxy-(9CI) (CA INDEX NAME)

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L9 ANSWER 16 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN
AN 2006:152557 CAPLUS
DN 14:233098
TI Preparation of hydroxyamides, particularly N-hydroxyacrylamides, as histone deacctylase inhibitors
IN Ishibashi, Nacki; Sawada, Yuki; Urano, Yasuharu; Satch, Shigeki; Inoue, Yoshikazu; Elkyu, Yoshikeru; Hukoyoshi, Koichiro; Kamijo, Kazunori; Shiral, Fumiyuki; Takasuqi, Hisashi
PA Astellas Pharma Inc., Japan
SO PCT Int. Appl., 426 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2006-10680 Al 20060216 WO 2005-JP14862 20050808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DZ, DK, DM, DZ, EC, EZ, EG, ES, FI, GB, GD,
GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, NK, KP, KR, K,
LC, LK, LR, LS, LT, LU, LV, NA, HD, NG, MK, NN, NW, MX, MZ, NN,
NG, NI, NO, NZ, OM, PG, PF, PL, PT, RO, RU, SC, BD, SE, SG, SK,
SL, SN, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, VU,
ZA, ZM, ZW
RY: AT, EE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SZ, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, NR, NZ, SN, TD, TG, BW, GM,
CM, KZ, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
PATAI AU 2004-907228 A 20041220
OS MARPAT 144:233098
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AB Title compds. R1-X-N(R2)-Y-Z-CO-NH-OH [I; R1 = H, (un)substituted lower alk(en/yn)yl, cyclo(lower)alkyl, cyclo(higher)alkyl, cyclo(lower)alkyl(lower)alkyl, cyclo(higher)alkyl)(lower)alkyl)(lower)alkyl, cyclo(lower)alkyl)(lower)alkyl, aryl-fused cyclo(lower)alkyl, lower alkoxy, acyl, aryl, ar(lower)alkoxy, ar(lower)alkyl, heteroar(lower)alkyl, amino, heteroaryl, heterocyclyl or heterocyclyl(lower)alkyl; R2 = H, lower

lower
 alkyl; X = hetero/arylene, aryl-fused/hetero/cycloalkylene; Y =
 (un)substituted hetero/arylene; Z = (un)substituted lower alkenylene; and
their salts] were prepared as histone deacetylase (HDAC) inhibitors.
2.g.,

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DATE NAMER 17 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN AN 2006:149623 CAPLUS

DN 144:226279

TI Use of immune cell specific conjugates for treatment of inflammatory diseases of the gastrointestinal tract

IN Hercep, Hladen; Mesic, Hilan; Tomaskovic, Linda; Markovic, Stribor PA Pliva-Istrazivacki Institut d.o.o., Croatia

SO U.S. Pat. Appl. Publ., 40 pp.
CODEN; USXKCO

DT Patent

LA English
FAN.CHT 1

PATENT NO.

KIND DATE APPLICATION NO.

DATE

PI US 2006035845 Al 20060223 W0 2005-182406 20050810

W0 2006018698 A2 20060223 W0 2005-182406 20050810

W1 AR, AG, AL, AM, AT, AU, AZ, BA, BB, BB, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, CD, CR, GH, GH, HR, UID, IL, NI, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NG, NI, NO, NZ, OM, PE, PH, PL, FT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, II, TL, UL, VM, CN, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, CM, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GM, KE, LS, MM, MZ, NA, ND, NI, NR, NZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-60315P P 20040812

US 2004-60315P P 20040819

MARPAR 144:226279

AB The present invention is directed to methods for the prevention and treatment of inflammatory diseases, disorders, and conditions of gastrointestinal tract by administering to a patient in need of such treatment, conjugate compds. of Formula VII (M-L-T) having low oral-bioavailability, or pharmaceutically acceptable salts, prodrugs, or solvate thereof: wherein M represents a macrolide subunit possessing the property of accumulation in inflammatory cells, T represents an anti-inflammatory abunit that can be a steroid or nonsteroidd (nonsteroidal molety) derived from a non-steroid druy with anti-inflammatory subunit that can be a steroid or nonsteroid (nonsteroids molety) derived from a non-steroid druy with
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L9 ANSWER 16 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
a multi-step synthesis starting from Me 6-chloronicotinate and
1-benzyl-3-aminopyrrolidine, is given for II=2HC1. Selected I
displayed MDAC inhibitory activity (ICSO < 10 nM). Selected I exhibited
T-ceil growth inhibitory activity (ICSO < 25 nM).

IT 22106-33-0, 4-(1H-Pyrrol-1-yl)benzoic acid
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of hydroxyamides as histone deacetylase inhibitors)
RN 22106-33-8 CAPLUS
CN Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

- ANSWER 18 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:100738 CAPLUS
- 144:198849 Novel dosage form comprising modified-release and immediate-release ingredients
- Vaya, Navin; Karan, Rajesh Singh; Sadanand, Sunil; Gupta, Vinod Kumar India
- U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S. Ser. No. 630,446. CODEN: USXXCO
- English

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 2006024365	Al	20060202	US 2005-134633	20050519
	US 2004096499	A1	20040520	US 2003-630446	20030729
PRAI	IN 2002-MU697	A	20020805		
	IN 2002-MU699	A	20020805		
	IN 2003-MUBO	A	20030122		
	IN 2003-MU82	A	20030122		
	US 2003-630446	A2	20030729		

- US 2003-630446 A2 20030729

 AB A domage form comprising of a high dose, high solubility active ingredient as modified release and a low dose active ingredient as immediate release where the weight ratio of immediate release active ingredient and modified
- modified release active ingredient is from 1:10 to 1:15000 and the weight of modified
- reclease active ingredient per unit is from 500 mg to 1500 mg; a process for preparing the dosage form. Tablets containing 10 mg sodium statin and 1000 mg niacin were prepared The release of sodium pravastatin after 24

- was 67.7%, and the release of niacin after 1 h was 84.1%.
 \$3597-27-6, Fendosal
 RL: THU (Therapsutic use); BIOL (Biological study); USES (Uses)
 (novel dosage form comprising modified-release and immediate-release
 active ingredients)
 \$3597-27-6 CAPULS
 Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

ANSWER 19 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The invention provides compds. of formula I, pharmaceutical compns., and methods for the treatment of thromboembolic disorders, such as, for example, arterial cardiovascular thromboembolic disorders, venous cardiovascular thromboembolle disorders, or thromboembolic disorders in the chambers of the heart. Compds. of formula I wherein W is N or CR6, where R6 is H, halo, OH, (un) substituted Cl-6 alkyl, C2-6 alkynyl, Cl-6 alkoxy, or C2-6 alkoxyalkyl, etc.; X1 is (H,H) or NR7, where R7 is H,

11

- alkyl, OH, NN2, NO2, CO2R7a, where R7a is C1-6 alkyl, or R7R7a together forms a 5- to 6-membered ring, etc.; Y is NN or O; R1 is (un)substituted C2-7 alkanoyl, C1-6 alkyl, C2-6 alkenyl, C1-6 alkoxy, or C2-12 alkoxyl, c1-2; R2 is H, OH, (un)substituted C1-6 alkyl, C2-6 alkenyl, C1-6 alkoxy, C7-16 arslkoxy, C73, halo, amidino, N-hydroxyamidino, or quantidno, etc.; R3 is H, or C1-6 alkyl, or R3R3 or R3R7 together form a 5- to 6-membered ring, etc.; R4 is H, (un)substituted C2-7 alkanoyl, C6-6 aminolalkyl, C2-6 alkenyl, C2-12 alkoyaylkyl, C2-12 alkyaylkyl, C6 or C10 arum, C7-16 arylalkyl, C7 or C11 aroyl, C1-6 azidoalkyl, carboxamide, etc.; R5 is H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C1-6 alkoxy, carboxamide, C3-8 cycloalkyl, Oh, NO2, CN,
- thioalkoxy, or C1--4 perfluoroalkyl(oxy), etc.; and the pharmaceutically acceptable salts, solvates, active metabolites, or prodrug thereof are claimed in this invention. The compds. in this invention were tested in vitro for their activity against factor XIa, factor XI and thrombin. The inhibition data (ICSO) were determined from the assay. Invention ound II showed ICSO values of 0.87 µM for factor XIa, 82 µM for factor XIa, and 4.3 µM for thrombin. 874757-38-7 874757-42-3 RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

- ANSWER 19 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:100022 CAPLUS 144:164251 Indole derivatives and their pharmaceutical compositions and methods for treatment of thrombosis Deng, Hongfeng; Lin, Jian; Guo, Zihong; Meyers, Harold V.; Abdel-Meguid, Sherin S.; Bebine, Robert E. Dalamed, Inc., USA PCT Int. Appl., 166 pp. CODEN: PIXXD2 Patent L9 AN DN TI
- IN

- DT Patent LA English FAN.CNT 1

	PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE			
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PI	WO	2006	0125	04		A2	A2		20060202		WO 2	005-	US26	022		20050722				
	WO 2006012504					A3		20060518												
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	Es,	FI,	GB,	GD,		
								ID,												
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NΑ,		
			NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,		
			SL,	SM,	SY,	ŦJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,		
			ZA,	ZM,	ZW															
		RW:	AT,	BE,	BG,	CH,	CY,	ÇZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,		
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	sĸ,	TR,	BF,	ВJ,		
			CF,	CG,	CI,	CH,	GΑ,	GΝ,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AH,	AZ,	BY,		

- KG, KZ, MD, RU, TJ, TM
 PRAI US 2004-590718P P 20040723
 OS MARPAT 144:164251

- ANSWER 19 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (indole derivs, and their methods for treatment of thrombosis) 874757-38-7 CAPLUS
 Benzoic acid, 2-[3-(aminoiminomethyl)-lH-indol-1-yl]-4-bromo- (9CI) (CA

- RN 874757-42-3 CAPLUS
 CN [1,1'-Biphenyl]-3,4'-dicarboxylic acid,
 3'-[3-(aminotiminomethyl)-1H-indol1-yl]- (9CI) (CA INDEX NAME)

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ANSWER 20 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:75243 CAPLUS 144:150384
       AN
DN
TI
                          are:130389
Preparation of 1,4-disubstituted naphthalenes as inhibitors of p38 MAP
Kinase
                          Ashwell, Mark Antony; Liu, Yanbin; Ali, Syed; Hill, Jason; Wrona, Woj
                         Arquie, Inc., USA
PCT Int. Appl., 261 pp.
CODEN: PIXXD2
       DT Patent
LA English
FAN.CNT 1
PATENT NO.
PI WO 2006010082 Al 20060126 WO 2005-USZ4441 20050708

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, D, IL, IN, IS, JP, KE, KG, NM, KP, KM, KZ, LC, LK, LA, LS, IT, LJ, LV, KA, MG, KY, MM, MM, KX, MZ, NA, NG, NZ, ON, PG, PH, FL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, ST, TJ, TM, TM, TT, TZ, LM, UG, US, UZ, VC, VM, YU, LB, LS, IT, LT, LU, LV, MC, NL, PT, RO, RU, SC, SD, SE, SG, SK, ST, TJ, TL, LU, LV, MC, NL, PT, RO, SE, SI, SK, TR, BT, BJ, CT, CG, CI, CH, GA, GM, GQ, GM, ML, MR, NE, NT, DT, GD, WM, GM, KS, MD, RU, TU, TM, CH, CT, CS, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, LS, MG, MG, MG, MG, MR, NE, SM, TD, TG, BW, GH, GM, KE, LS, MG, MG, NG, SH, NE, SM, TD, TG, BW, GH, GM, KS, KB, RD, RU, TU, TM

PRAI US 2004-385862P P 20040708

GI
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In general, the present invention relates to 1,4-disubstituted naphthalenes (shown as I; X is 0, NR, CH2, or a bond; R is H or alkyl; R' is H or alkyl; m = 0-2; n is 0, 1, or 2; p is 0, 1, 2, 3, or 4; Ar is aryl; Het is heterocyclic group; Y1 = halogen, alkyl, nitro, hydroxy, and

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ANSWER 20 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) alkyloxy; and Y2 = halogen, alkyl, nitro, hydroxy, and alkyloxy; e.g. 2-(morpholin-4-yl)-N-(4-(2-(morpholin-4-yl))-thoxy)naphthalen-1-yl]isonicotinamide (shown as II) capable of inhibiting p38 MAP kinase, methods for inhibiting p38 MAP kinase in vivo or in vitro, diagnostics
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detg. activity in the treatment of p38 MAP kinase and/or cytokine-assocd. conditions and methods for treating conditions assocd. with p38 MAP $\,$

activity or cytokine activity. Although the methods of prepn. are not claimed, prepns. and/or cheracterization data for hundreds of examples of I are included. For example, II was prepd. from morpholine and 2-chloro-N-[4-[2-(morpholin-4-y1)ethoxy]naphthalen-I-y1]isonicotinamide, which was prepd. [51] from 2-chloroisonicotinoy] chloride and [4-[2-(morpholin-4-y1)ethoxy]naphthalen-I-y1]amine, which was prepd.

8) by redn. of 4-[2-(4-nitro-1-naphthalenyloxy)ethyl]morpholine, which

prepd. (92.6 %) from 4-nitro-1-hydroxynaphthalene and 4-(2-chloroethyl)morpholine hydrochloride. Pharmacol. activity is tabulated for >400 examples of I.

IT 61471-45-2, 3-(Pyrro1-1-yl)benzoic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(4-substituted naphthalen-1-yl)carboxamides as inhibitors
of p38 MAP kinase)
RN 61471-45-2 CAPIUS
CN Benzoic acid, 3-(1H-pyrro1-1-yl)- (9CI) (CA INDEX NAME)

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2006:75092 CAPLUS 144:170792 144:170792
Preparation of trisubstituted nitrogen modulators, particularly
N.N-dibenzylarylsulfonamide inhibitors, of tyrosine phosphatases for
treating metabolic disorders, autoimmune diseases and neoplasm
Semple, Joseph E.; Rideout, Darryl; Nutt, Ruth F.; Shernderovich, Mark;
Wang, Jing; Mylvaganam, Shankari; Wu, Feiyue; Tsai, Chung-Ying;
mori. IN NOOTI, Venkatachalapathi; Loweth, Colin J. Cengent Therapeutics, Inc., USA PCT Int. Appl., 238 pp. CODEN: PIXXD2 PA SO DT Patent English CNT 1 LA FAN PATENT NO. KIND DATE APPLICATION NO. DATE MO 2006009876

A3 20060330

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KZ, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX, NN, MG, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, VU, LS, IT, LT, LU, MC, NL, PL, PT, RO, SL, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, CQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KZ, ND, RU, TT

US 2004-53121P

US 2004-633419P

P 20041227

US 2004-633419P

P 20041227

US 2004-633419P

P 20041227

US 2004-633419P

P 20041227 US 2004-531251P US 2004-634200P US 2004-638419P OS MARPAT 144:170792

ANSWER 21 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

$$G^2-L^2$$
 $N-L^3$
 G^3
 G^1-L^1

The invention is related to the preparation of trisubstituted nitrogen

AB The invention is related to the preparation of trisubstituted nitrogen compds.

I [11-13 = independently N-C single bond (G1, G2, or G3 are directly bonded to N by a single bond), alkylene, sulfonyl, amido, etc.: G1-G3 = alkyl, aryl, cyanoblaryl, etc.: optionally substituted with carboxy, phosphonato, phosphonatoalkyl, phosphonatoalkyl, amido, etc.], and their pharmaceutically acceptable derivs., including N,N-dibenzylarylsulfonamides. The invention is also related to the use of compds. I, and their compns., for modulating the activity of protein tyrosine phosphatases, especially PTP-1B. Thus, reacting (bromodifluoromethyl)phosphonic acid di-Tt ester with bis(4-iodobenzyllcarbamic acid tert-Bu ester (preparation given), followed by reaction with 2-chlorobenzenesulfonyl chloride and ester hydrolysis gave phosphonic acid II. In a pNPP assay, selected I displayed [C50 values of less than 99 nM for the inhibition of PTP-1B. I are useful for treating metabolic disorders, autoimmune diseases and neoplasm.

IT 340223-07-0P, 4-[3-[2-Cyano-2-([naphthalen-2-yl]carbamoyl]vinyl]-2,5-dimethylpyrrol-1-yl]benzole acid study); PREP (Preparation); TEM (Therspeutio use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)

(Uses)

(Udrug candidate; preparation of N,N-dibenzylarylsulfonamide inhibitors of the phosphatases for treating metabolic disorders, autoimmune diseases and neoplasm)

RN 340223-07-8 CAPLUS

CN Benzolc acid, 4-[3-(2-cyano-3-(2-naphthalenylamino)-3-oxo-1-propenyl]-2,5-dimethylp-1-1-yl]- (SCI) (CA INDEX NAME)

L9 ANSWER 21 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 22 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) ylidene)methyl)naphthalen-1-ylloxyjbutyrylprotamine was obsd. The resulting prepns. are capable of prolonging the action of insulin prepn. and are useful for treating Type 1 or Type 2 diabetes. RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of ligands with protamine extensions for HisB10 Zn2+ sites of R-state insulin hexamer and their use in pharmaceutical prepns. comprising insulin) comprising insulin) 52034-38-5 CAPLUS Benzoic acid, 4-(3-formyl-2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX

RL: SPM (Synthetic preparation); PREP (Preparation) (preparation of ligands with protamine extensions for HisB10 Zn2+ sites of

s of

R-state insulin hexamer and their use in pharmaceutical prepns.
comprising insulin)
333410-16-5 CAPLUS
Benzoic acid, 4-[3-[(2,4-dioxo-5-thiazolidinylidene)methyl]-2,5-dimethyl1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ANSWER 22 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 2006:54922 CAPLUS 144:150646 Preparation of novel ligands with protamine extensions for the HisBlO Zn2+ sites of the R-state insulin hexamer and their use in pharmaceutical preparations comprising insulin Olsen, Helle Birk: Kasarsholm, Niels Christian; Madsen, Peter; Balschmidt, IN Per
Novo Nordisk A/S, Den.
SO PCT Int. Appl., 408 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIN DATE 20060119 KIND APPLICATION NO. DATE WO 2006005683 PΤ RZ, ND, RU, TJ, TM

PRAI DK 2004-1091 A 20040709

MARPAT 144:150646

AB The invention provides novel pharmaceutical prepns. comprising (1) insulin; (2) zinc ions; and (3) ligands of formula CGr-Lnk-Frg-Protamine (I; CGr = a chemical group which binds reversibly to HisBl0 ZnZ-site of insulin hexamer selected from carboxylates, phenolates, benzotriazoles, tetrazoles, thiazolidinediones, etc.; Lnk = a linker selected from a valence bond, -Bl-BZ-SGZ, -Bl-BZ-MH, -Bl-BZ-CGZ, -Bl-BZ-MZ-) Bl = a valence bond, 0, S, NH and deriva.; BZ = a valence bond, (un)substituted alk(en/yn)ylene, hetero/arylene, etc.; Frg = fragment containing 0-5 neutral alk(en/yn)ylene, hetero/arylene, etc.; Frg = rragment conteaning υ-neutral α- or β-amino acids; including acid or base addition salts, and any optical isomers or mixture of optical isomers, racemates, and teutomers) which bind reversibly to HisBlO Zn2+ sites of the R-state insulin hexamer and which are extended by covalent attachment to protamine. About 1000 prepns. for CGr derivs., e.g. CGr-carboxylic acids and derivs., are prepns. for Cor Geraves, 6.9. Cor Corporation of Co after s.c. injection of a preparation containing 0.6 mM A21G, B28D insulin, 0.3 mM Zn2+, 30 mM PhOH, 1.6% glycerol, 0.3 mM 4-[[4-[(2,4-Dioxothiazolidin-5-

ANSWER 22 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN ALL CITATIONS AVAILABLE IN THE RE FORMAT (Continued)

L9 ANSWER 23 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

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ANSWER 23 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:1354760 CAPLUS 144:81226
                                               A method for preventing gastrointestinal side-effects of a drug or food
                                           A method for preventing generotites in all side-sitects of product Christian; Nilsson, Henrik Osteologix A/S, Den. PCT Int. Appl., 24 pp. CODEN: PIXXID
          OT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2005123098 AZ 20051229 WO 2005-DK405 20050617

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EZ, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KE, KG, NM, KF, KR, KZ, LS, MS, SY, TJ, TM, TM, TK, TT, TZ, UA, UG, US, UZ, VC, VN, TU, ZA, ZM, ZW

RW: BW, GM, GM, KZ, LS, HW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EZ, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SZ, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI DK 2004-949 A 20040617

AB Methods of alleviating, reducing and/or preventing gastrointestinal (GI) side effects induced by a therapeutically and/or prophylactically active pharmaceutical substance or a food product in an animal including a mammal, the method comprising administration of one or more strontium containing compds. Methods wherein the therapeutically and/or prophylactically active substance or food product responsible for/associated with the GI side effects is administered together with the one or more atrontium containing compds. Methods wherein the therapeutically and/or prophylacticaling compds.

IT 33597-27-6, Fendosal RL: PAC (Pharmacological activity); THU (Therapeutic usa); BIOL (Biological study); USES (Uses) (method for preventing gastrointestinal side-effects of drug or food product). Side-effects of drug or food product). Side-effects of drug or food producting contains and side-effects of drug or food product). CA INDEX NAME)
                                               PATENT NO.
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144:94351

PATENT NO.

KIND

DATE

compound
and a second therapeutically and/or prophylactically active substance as

PA SO

DT LA FAN

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ANSWER 24 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:1354741 CAPLUS
                                                      A method of improving treatments in rheumatic and arthritic diseases
                                         ng
strontium salts
Christgau, Stephan; Hansen, Christian; Nilsson, Henrik
Osteologik A/S, Den.
PCT Int. Appl., 40 pp.
CCODEN: PIXXD2
Patent
English
CNT 8
DATEMEN NO. REPORTED APPLICATION NO.
PATENT NO.

PI WO 2005123193 A2 20051229 WO 2005-DK404 20050617
WO 2005123193 A2 20050302 BB, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EZ, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KE, KG, KM, KF, KR, KZ, LC, LK, IR, LS, LT, LU, LW, MA, MD, MG, MK, MN, MM, MX, NA, NG, NI, NO, NZ, OM, EG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, VU, ZA, ZM, ZW

FW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, CB, ES, FI, FR, GB, GB, HU, IE, IS, IT, LT, LU, MG, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, HL, MR, NE, SM, TD, TG

PATION 2004-950 A 20031209
DX 2003-912 A 20030507
DX 2003-922 A 20031209
WO 2004-DK104 A2 20050617
AB Improved treatments of joint diseases, such as, e.g. osteoarthritis and rheumatoid arthritis, and pain, comprise a strontium-containing compound administered alone or in combination with one or more second therapeutically and/or prophylactically active substances. The second active substance is selected from the group consisting of bisphosphonates, glucosamine, pallitative agents, analgesic agents, disease modifying anti-rheumatic compds. (MRANDs), CSV-2 inhibitors, con-3 inhibitors, opioids, inhibitors/antagonists of II-l, inhibitors/antagonists of TNF-e, inhibitors of marrix metallory, control antipolic convection analogous compounds and as econd therapeutically active substances. The second inhibitors of marrix metallor-proteinases (PMPP), cathepsin K inhibitors, inhibitors of marrix metallor-proteinases (PMPP), cathepsin K inhibitors, inhibitors of inducible nitric oxide synthetase (PMPP), cathepsin K inhibitors, inhibitors of inducible nitric oxide synthetase (PMPP), cathepsin K inhibitors, inhibitors of inducible nitric oxide synthetase (PMPP), cathepsin K inhibitors, inhibitors of inducible nitric oxide synthetase (PMPP), cathepsin K inhibitors, inhibitors of inducible nitric oxide sy
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ANSWER 24 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN defined above are also described. Thus, a tablet formulation to be administrated one to two times daily contained alendronate 10 mg, strontium malonate 200 mg, lectose 100 mg, corn starch (for mixing) 15 corn starch (for paste) 15 mg, and magnesium stearate 10 mg. 53597-27-6, Fendosal RL: TMU (Therapsutic use); BIOL (Biological study); USES (Uses) (oral combination of strontium salt and other agents for improvement treatment of arthritic diseases and associated pain)
53597-27-6 CAPLUS
Benzolc acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

in

ANSWER 25 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:1220346 CAPLUS 143:477978

143:477978
Preparation of substituted pyrrolo[2,3-d]pyrimidines as inducers of keratinocyte differentiation
Hong, Jilyong; Gray, Nathanael S.; Schultz, Peter
IRM LLC, Bermida
PCT Int. Appl., 53 pp.
CODEN: PIXXOZ

DT

	.CNT 1																
	PATENT	NO.			KIN	D	DATE			APPL	ICAT		DATE				
						-											
PΙ	WO 2005107760					A1 20051117				WO 2	005-		20050429				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	ÇO,	CR,	CU,	CZ.	DE,	DK,	DM,	DZ,	EC.	EE,	EG,	ES,	FI,	GB.	GD,
		GE,	GH,	GH,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NI,	NO,	NZ,	OM,	PG,	₽H,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK.	SL,
		SM,	SY,	TJ,	TH,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	W,	YU,	ZA,
		ZM,	ZW														
	RW:	BW,	GH,	GΗ,	KE,	LS,	MOF,	MŽ,	ΝA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TH,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN.	TD,	TG											

MR, NE, SI PRAI US 2004-567346P OS MARPAT 143:477978 GI 20040430

AB The invention provides compds. I {n = 0-2; W = NR4, 5, 0, SO, SO2 (wherein R4 = H, alkyl); R1 = arylalkyl, heteroarylalkyl, cycloalkylalkyl, etc.;

- arylalkyl, heteroarylalkyl, cycloalkylalkyl, etc.; R3 = halo, OH, XSR5, etc. (X = a bond, alkylene; R5 = H, alkyl, cycloalkylalkyl)], pharmaceutical compns. comprising such compds. and methods of using such compds. to induce undifferentiated keratinocytes to differentiate into terminally differentiated keratinocytes. The invention further provides compds. for the treatment of diseases or disorders associated with casein kinase II (CK2), TANK-binding kinase 1 (TBK1) and NIMA-related kinase 9

ANSWER 25 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 863598-02-1 CAPLUS
CN Benzoic acid,
2-amino-5-[2-[(3,4,5-trimethoxyphenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

863598-06-5 CAPLUS
Benzolc acid, 2-(acetylamino)-5-[2-[(3,4,5-trimethoxyphenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

863599-10-4 CAPLUS
Benzolc acid, 2-[2-[(3,4,5-trimethoxyphenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

ANSWER 25 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (NEKS). Over 200 compds. I were prepd. E.g., a 4-step synthesis of II, starting from 5-bromo-2.4-dichloropyrimidine, was given. 863597-39-1P 863597-75-5P 863597-89-1P 863598-02-1P 863598-06-5P 863599-10-4P REPARTMENT OF A STREET OF

(Uses)
(preparation of substituted pyrrolo[2,3-d]pyrimidines as inducers of keratinocyte differentiation)
863597-39-1 CAPLUS
868nzoic acid, 4-[2-[(5-methoxy-2-methylphenyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

863597-75-5 CAPLUS Benzoic acid, -chloro-2-[(3,4,5-trimethoxyphenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl}- (9CI) (CA INDEX NAME)

863597-89-1 CAPLUS
Benzoic acid, 3-[2-[(3,4,5-trimethoxyphenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

ANSWER 25 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 26 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:1046388 CAPLUS 143:398889

143:398889 Lead Validation and SAR Development via Chemical Similarity Searching; Application to Compounds Targeting the pY+3 Site of the SH2 Domain of p561ck

Macias, Alba T.; Mia, Md. Younus; Xia, Guanjun; Hayashi, Jun; MacKerell, ΑIJ

Macias, Alba T.; Ria, Rd. Tounus; Ala, Guanjun, Republic, Sciences, Alexander D., Jr.
Department of Pharmaceutical Sciences, University of Maryland, Beltimore, MD, 21201, USA
Journal of Chemical Information and Modeling (2005), 45(6), 1759-1766
CODEN: JCISDS; ISSN: 1549-9596
American Chemical Society CS

50

Journal English

English Compound selection based on chemical similarity has been used to validate active "parent" compds. identified via database searching as viable lead compds. and to obtain initial structure-activity relationships for those leads. Twelve parent compds, that have inhibitory activity against the SN2 domain of the p56 T-cell tyrosine kinase (Lck) are the focus of this study. Lck is involved in the T-cell mediated immune response, and inhibitors of Lck protein-protein interactions could potentially be used to develop novel immunosuppressants. Similarity searches for each parent compound were performed using 2D structural fingerprints on a database containing 1 300 000 com. available compds. The inhibitory activity of

selected compds. was assessed using enzyme immunoassay (EIA). In

selected compds. was assessed using enzyme immunoassay (EIA). In the most active parent compds. yield the most high activity similar compds.; however, in two cases low activity parent compds.

(i.e.inhibitory activity < 25% at 100 µM) yielded multiple similar compds. with activitys > 60%. Such compds. may, therefore, be considered as viable lead compds. for optimization. Structure-activity relationships were explored by examining both ligand structures and their computed bound conformations to the protein. Functional groups common to the active compds. as well as key maino acid residues that form hydrogen bonds with the active compds. were identified. This information will act as the basis for the rational optimization of the lead compds.

IT 430471-60-6 43182-96-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

[Rlead validation and SAR development via chemical similarity searching;

application to compds. targeting py+3 site of p561ck SH2 domain)

RN 430471-60-6 CAPLUS

Benzoic acid,
4-(2,5-dimethyl-3-[[3-{2-(4-methylphenyl)amino]-2-oxoethyl]2,4-dioxo-5-thiazolidinylidene]methyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 26 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L9 ANSWER 26 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

RN 431982-96-6 CAPLUS

Senzoic acid,
3-[2,5-dimethyl-3-[3-[4-[4-methylphenyl)amino]-2-oxoethyl]2,4-dioxo-5-thiazolidinylidene]methyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 27 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:962258 CAPLUS 143:266947

Preparation of pyrrolopyrimidines and their analogs as protein kinase

Choi, Ha-Soon; Wang, Zhicheng; Gray, Nathanael Schiander; Gu, Xiang-Ju; He, Xiaohui; He, Yun; Jiang, Tao; Liu, Yi; Richmond, Wendy; Sim, Taebo; IN

PA SO

Yang, Kunyong
IRM LLC, Bermuda
PCT Int. Appl., 63 pp.
CODEN: PIXXD2

DT Patent

LA English FAN.CNT 1 PATENT NO.

PI WO 2005080393 A1 20050901 WO 2005-U84630 20050214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, CM, CD, EC, EE, EG, ES, FT, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, KM, MM, MK, MZ, MA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, CM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BZ, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, NR, NZ, SM, TD, TG
PRAI US 2004-544944P P 20040214
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention provides a novel class of compds. I-V (n = 0-2; m = 0-3; W

NR4, S, O, SO, SO2 (wherein R4 = H, alkyl); R1 = (un)substituted (hetero)arylakyl, (hetero)cycloalkyl; R2 = (un)substituted (hetero)arylakyl, (hetero)cycloalkyl; R3 = halo, OH, XSR5, etc. (X = a bond, alkylene; R5 = H, alkyl, cycloalkylalkyl)], pharmaceutical compns. comprissing such compds. and methods of using such compds. to treat or prevent diseases or disorders associated with abnormal or deregulated sevent diseases.

se activity, particularly diseases or disorders that involve abnormal activation of the FAK, Abl, BCR-Abl, FDGF-R, c-Kit, NPM-ALK, Fit-3, JAK2 and c-Met kinases. Over 200 compds. I-V were prepared and characterized. The preparation of the compds. I is illustrated in examples. E.g.,

aynthesis
of I [Rl = 3,4,6-(MeO)3C6H2; R2 = 2-pyridyl; R3 = H; W = NH], starting
from 5-bromo-2,4-dichloropyrimidine, was given. The compds. I-V were
tested against various kinases. For example, they inhibit the enzyme
activity by 500 (IC50), in a concentration of from 0.001 to 0.5 µM,
especially from
0.01 to 0.1 µM.

IT 863597-39-19 863597-75-5P 863597-89-1P
863598-02-1P 863598-06-5P 863590-04-P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TEU
(Therapeutic use); BIOL (Biological study); FREP (Preparation); USES

ANSWER 27 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(prepn of pyrrolopyrimidines and their analogs as protein kinase

inhibitors)
863597-39-1 CAPLUS
Benzoic acid, 4-[2-[(5-methoxy-2-mathylphenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 863597-75-5 CAPLUS
CN Benzoic acid,
3-[5-chloro-2-[[3,4,5-trimethoxyphenyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

863597-89-1 CAPLUS Benzoic acid, 3-{2-[(3,4,5-trimethoxyphenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl}- (9CI) (CA INDEX NAME)

863598-02-1 CAPLUS

ANSWER 28 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:961905 CAPLUS 143:260403 Protein kinase inhibitors and methods for identifying same

Lawrence, David S.
Albert Einstein College of Medicine of Yeshiva University, USA

PCT Int. Appl., 116 pp. CODEN: PIXXD2

DT LA English

FAN	. CNT	1																	
	PA'	TENT :	NO.			KIND DATE					APPL	ICAT	DATE						
				-															
PI	WO 2005079300				A2		20050901		,	WO 2	005-		20050214						
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	co,	CR,	cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GΕ,	GH,	GΜ,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ΰG,	ZM,	ZW,	AM,	
			AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
			RO,	SE,	SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	
			MD	ME	CM	TD	TC												

RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MC, NE, SN, TD, TG
PRAI US 2004-544376 P 20040213

SMAPPAT 143:260403

AB Inhibitors of protein kinase C (PKClu, PKCS and PKCC are provided which are selective for those PKC isotypes. Combinatorial libraries for identifying protein kinases using those libraries. Addml, methods of identifying protein kinases using those libraries. Addml, methods of treating a mammal heving a deleterious condition, where the condition is dependent on a protein kinase for induction or severity, are provided not be a supported by the severity of the severit



L9 ANSWER 27 OF 185 CAPLUS COFFIGURE 19 ANSWER 27 OF 185 CAPLUS COFFIGURE 19 ANSWER 27 OF 185 CAPLUS COFFIGURE 19 ANSWER 27 OF 185 CAPLUS CAPL (Continued)

863598-06-5 CAPLUS
Benzoic acid, 2-(acetylamino)-5-(2-[(3,4,5-trimethoxyphenyl)amino]-7H-pyrrolo(2,3-d)pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

863599-10-4 CAPLUS
Benzoic acid, 2-[2-[(3,4,5-trimethoxyphenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 29 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:902714 CAPLUS

143:235463

DN TI DN 143:235463

Combination of proton pump inhibitor, buffering agent, and nonsteroidal anti-inflammatory agent

N Proehl, Gerald T: Olmstead, Kay; Hall, Warren

Santarus, Inc., USA

PC TInt. Appl., 99 pp.

CODEN: PIXXD2

P Patent

LA English
FAN.CNT 1

PATENT NO.							_											
	PATE	NT I	NO.			KIND DATE				APPL	ICAT	DATE						
PI	WO 2		0760				-	2005				005-		20050204				
5.T										,	WO Z	005-	0537	91		2	0050	204
	MO S							2006										
		W:						AU,										
			CN,	co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL.	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC.	VN,	YU,	ZA,	ZM.	ZW.
SM																		
		RW:	BW,	GH,	GH,	KE,	LS,	MW.	MZ.	NA.	SD,	SL,	SZ.	TZ.	UG,	ZM.	ZW.	AM,
			AZ.	BY,	KG.	KZ.	MD.	RU.	TJ.	TM.	AT.	BE.	BG.	CH.	CY.	CZ.	DE.	DK.
			EE.	Es.	FI.	FR.	GB.	GR,	HU.	IE.	IS.	IT.	LT.	LU.	MC.	NL.	PL.	PT.
								BF,										
						TD.												
	US 2005249806							2005	1110	1	US 2	005-	5126	0		2	0050	204
PRAI	RAI US 2004-543636P							2004	0210									

Tharmaceutical compns. comprising a proton pump inhibitor, one or more buffering agent and a nonsteroidal anti-inflammatory drug are described. Methods are described for treating gastric acid-related disorders and treating inflammatory disorders, using pharmaceutical compns. comprising

proton pump inhibitor, a buffering agent, and a nonsteroidal anti-inflammatory drug. For example, a powder for suspension formulation contained omeprarole 20 mg, ibuprofen 400 mg, sodium bicarbonate 1895 mg, Xylitol 300 (sweetener) 2000 mg, sucrose (sweetener) 1750 mg, sucralose (sweetener) 125 mg, xanthan gum 17 mg, peach flavor 47 mg, and peppermint 26 mg.
53597-27-6, Fendosal RI: THU (Therapsutto use); BIOL (Biological study); USES (Uses) (combination of proton pump inhibitor, buffering agent, and NSAID

for treatment of gastric acid-related disorders and inflammation)
53597-27-6 CAPIUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3K-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

L9 ANSWER 29 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L9 ANSWER 30 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:823544 CAPLUS
DN 143:206470
TI Amphiphilic macromolecules for treating diseases
IN Ubrich, Kathryn E.; Moghe, Prabhas
PA Rutgers, the State University, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
TP PATENT
LA English
FRN.CHT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

FI WO 2005074887 A2 20050818 WO 2005-US2900 20050131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GH, HW, UJ, DI, IN, IS, JP, KZ, KG, KP, KR, KZ, LC, LK, LR, LS, LT, UJ, LV, MA, MD, MG, MK, MN, MW, MZ, NN, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RN: BW, GM, GM, KE, LS, MW, MZ, NA, SD, SJ, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, KD, DT, CT, TM, LE, LBG, CH, CY, CZ, DE, DK, RR, NS, ND, TD, TG
PAAI US 2004-540765P
P. 20040130
AB Bhomedical uses of amphiphilic macromols. include the use of such macromols. in the form of nanoparticle formulations for the sequestration and/or removal of LDL, and for the treatment and prevention of atherosclerosis and atherosclerotic development. The Invention also provides the use of amphiphilic macromols. include the use of such macromols. in use of amphiphilic macromols. include the use of such macromols and atherosclerotic development. The Invention also provides the use of amphiphilic macromols. Include the use of such macromols and atherosclerotic development. The Invention also provides the use of amphiphilic macromols. Include the use of such macromols and their use in therapy. Cellular uptake and intracellular retention of amphiphilic accorption-like macromols. (ASCMs) was demonstrated in vitro using human umbilical vein endothelial cells (HUVEC). ASCMs were shown to be time and ASCMs contration-dependent, and the macromols and the cytoplasm. Interactions between and ASCMs were confirmed using both dynamic light scattering and treasmission electron microacopy. LDL-ASCM comp

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L9 ANSWER 30 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Ph
OH
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ANSWER 31 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:696779 CAPLUS 143:179636
                143:179636
Lipid-based dispersions for drug delivery
Ru, Ning: Jensen, Gerard M.; Yang, Stephanie; Su-ming, Chiang
Gilead Sciences, Inc., USA
PCT Int. Appl., 31 pp.
CODEN: PIXXD2
 so
 DT
                 Patent
              English
CNT 1
  LA
FAN.
                 PATENT NO.
                                                                              KIND
                                                                                                    DATE
                                                                                                                                         APPLICATION NO.
                                                                                                                                                                                                                 DATE
                                        070465 A2 20050804 W0 2005-US1149 20050114
070465 A3 20060413
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CR, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, MZ, NA, NT, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,
                WO 2005070465
WO 2005070465
  ΡI
NH BW. GH, GH, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, ZW, AZ, BY, KG, KZ, ND, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SZ, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, KL, MR, NE, SN, TD, TG

US 200323705 Al 20051027 US 2005-35755 20050114

PRAI US 2004-516459P P 20040114

AB The invention provides lipid-based dispersion comprising comprising, phosphatidylcholine, an anionic phospholipid, up to 1% cholesterol by weight
                ht of total lipids, and a therapeutic agent, wherein the mean particle size measured by dynamic light scattering is <100 nm. The invention also provides pharmaceutical compns. comprising such a dispersion as well as methods of producing a therapeutic effect in a mammal comprising administering an effective amount of such a dispersion.

Soy-phosphatidylcholine, DSPG, and propofol were dissolved in a 1:1 pre-
                of methanol and chloroform at a molar ratio of Soy-PC:DSPG of 1:0.4 and a weight ratio of (Soy-PC + DSPG):propofol of 10:1. Solvents were removed
                evaporation and the films were then hydrated in 9% sucrose at desired
 drua
               concns. and sonicated to form liposomes.
53597-27-6, Fendosal
RI: TEU (Therepautic use); BIOL (Biological study); USES (Uses)
(lipid-based dispersions for drug delivery)
53597-27-6 CAPLUS
 IT
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L9 ANSWER 31 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L9 ANSWER 32 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

HO2C—CH2

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 32 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:600367 CAPLUS
N 13:318346
TI Development and exploitation of CK2 inhibitors
AN Sarno, Stefania; Ruzzene, Maria; Frascella, Pietrogiulio; Pagano, Mario
A.; Megglo, Flavio; Zambon, Alfonso; Mazzorana, Marco; Di Maira,
Giovanni;
Lucchini, Vittorio; Pinna, Lorenzo A.

S Dipartimento di Chimica Biologica, Universita' di Padova, Padua, Italy
Molecular and Cellular Biochemistry (2005), 274(142), 69-76
CODEN: MCBIB8; ISSN: 0300-8177
BS Springer
TJ Journal
LA English
AA A number of quite specific and fairly potent inhibitors of protein kinase
CK2, belonging to the classes of condensed polyphenolic compda.,
tetrabromobenzimidazole/triazole deriva, and indoloquinazolines are
available to date. The structural basis for their selectivity is

provided
by a hydrophobic pocket adjacent to the ATP/GTP binding site, which in
CK2
is smaller than in the majority of other protein kinases due to the
presence of a number of residues Mnose bulky side chains are generally
replaced by smaller ones. Consequently a doubly substituted CK2 mutant
V66A, 1174A is much less sensitive than CK2 wild type to these classes of
inhibitors. The most efficient inhibitors both in terms of potency and
selectivity are 4,5,6,7-tetrabromo-IH-benrotriazole, TBB (Ki = 0.4 µM),
the TBB derivative 2-dimethylamino-4,5,6,7-tetrabromo-IH-benzimidazole,

TMAT

(Ki = 0.040 µM), the emodin related coumarinic compound
8-hydroxy-4-methyl-9-nitrobensor(g]chromen-2-one, NBC (Ki = 0.22 µM) and
the indoloquinazoline derivative

(S-oxo-5,6-dihydroindolo-(1,2a)quinazolin-7yl]acetic acid), IQA (Ki = 0.17 µM). These inhibitors are cell
permeable as judged from ability to block CK2 in living cells and they
have been successfully employed, either alone or in combination with CK2
mutants refractory to inhibition, to dissect signaling pathways affected
by CK2 and to identify the endogenous substrates of this pleiotropic
kinase. By blocking CK2 these inhibitors display a remarkable
pro-apoptotic efficacy on a number of

oouso:-23-9 CAPLUS |H-Indole-3-acetic acid, 2-amino-1-(2-carboxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

347385-19-7 CAPLUS 1,3-Benzenedicarboxylic acid, 5-{3-[[1-(2-fluorophenyl)tetrahydro-4,6-

dioxo-2-thioxo-5(2H)-pyrimidinylidene]methyl}-2,5-dimethyl-1H-pyrrol-1-yl}(9CI) (CA INDEX NAME)

347387-81-9 CAPLUS 1,3-Benzenedicarboxylic acid, 5-{3-{{1-(3-chlorophenyl)tetrahydro-4,6-

dioxo-2-thioxo-5(2H)-pyrimidinylidene}methyl}-2,5-dimethyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

ANSWER 33 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

347389-31-5 CAPLUS
1,3-Benzenedicarboxylic acid, 5-[3-[[1-(4-chlorophenyl)tetrahydro-4,6-

dioxo-2-thioxo-5(2H)-pyrimidinylidene]methyl)-2,5-dimethyl-1H-pyrrol-1-yl}(9CI) (CA INDEX NAME)

L9 ANSWER 33 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

347387-81-9 CAPLUS
1,3-Benzenedicarboxylic acid, 5-[3-[[1-(3-chlorophenyl)tetrahydro-4,6-

dioxo-2-thioxo-5(2H)-pyrimidinylidene}methyl}-2,5-dimethyl-1H-pyrrol-1-yl}(9CI) (CA INDEX NAME)

347389-31-5 CAPLUS
1,3-Benzenedicarboxylic acid, 5-[3-[[1-(4-chlorophenyl)tetrahydro-4,6-

dioxo-2-thioxo-5(2H)-pyrimidinylidene)methyl}-2,5-dimethyl-1H-pyrrol-1-yl}(9CI) (CA INDEX NAME)

AN DN TI

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:523415 CAPLUS 143:59814 Preparation of aryl-substituted pyrroles as prostancid EP1 inhibitors useful for treating inflammation Giblin, Gerard Martin Paul; Hall, Adrian; Healy, Mark Patrick; Lewell, Xiao Qing; Miller, Neil Derek; Novelli, Riccardo; King, Francis David; Naylor, Alan Glaxo Group Limited, UK PCT Int. Appl., 78 pp. CODEN: PIXXD2 Patent English IN

PA SO

DT LA LA English FAN.CNT 1 PATENT NO.

KIND DATE APPLICATION NO. DATE Al 20050616 WO 2004-EP13744 20041130
AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH,
CU, CZ, DE, DK, DM, DZ, EC, EZ, EG, ES, FI, GB, GD,
HR, HU, ID, IL, IN, IS, JP, KZ, KG, KP, KR, KZ, LC,
LT, LU, LV, MA, MD, MG, MK, MN, MF, MK, MZ, NA, NI,
PG, PH, PH, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TR, TT, TZ, UA, UG, US, UZ, VC, VM, TU, ZA, ZM, ZW,
KK, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
FR, GB, GR, HU, EL, IS, IT, LU, MC, NL, PL, PT, RO,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
TG WO 2005054191 ΡĪ

20031203 PRAI GB 2003-28024

MARPAT 143:59814

Title compds. I $\{A = aryl, heterocyclyl, etc.; B = Ph, pyridyl; Z = 0, S00-2; R1 = carboxy, CN, alkoxy, etc.; R2a-2b = H, halo, alkyl, etc.; Rx$

alkyl, etc.; R8 = H, C1, CF3, etc.; R9 = halo, H, CF3, alkyl) are

arkyl, etc.: Ne = H, Cl, Cr3, etc.: N9 = hato, H, Cr3, arkyl are prepared For instance, 6-[2-(5-Chloro-2-benzyloxyphenyl)-5-methylpyrrol-1-yl]picolinic is prepared via the metalation/carboxylation of 6-[2-(5-chloro-2-benzyloxyphenyl)-5-methylpyrrol-1-yl]-2-bromopyridine. Compds. of the invention have an antagonist pIC50 = 6.0 to 9.0 at EP1 receptors and pIC50 < 6.0 at EP3 receptors. I are useful in the treatment

tment
of inflammatory disorders.
854195-23-6P, 3-{2-{5-Chloro-2-hydroxyphenyl}-5trifluoromethylpyrrol-1-yl}benzoic acid

- ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TMU (Therapeutio use); BIOL (Biological study);
 PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of aryl-substituted pyrroles as prostanoid EP1 inhibitors useful for treating inflammation)
 854195-23-6 CAPLUS
 Benzolc acid, 3-{2-(5-chloro-2-hydroxyphenyl)-5-(trifluoromethyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

- 632622-01-6P, 3-[2-[5-Chloro-2-(2,4-difluorobenzyloxy)phenyl]-5-methylpyrrol-1-yl]-6-methylbenzoic acid 632624-11-4P,
- **854192-84-0P**, 3-{2-{5-Chloro-2-{2-methylbenzyloxy}phenyl}-5-methylpyrrol-1-yl}-6-methylbenzoic acid **854192-86-2P**,
- 3-[2-[5-Chloro-2-(4-trifluoromethylbenzyloxy)phenyl]-5-methylpyrrol-1-yl]-6-methylbenzoic acid 854192-88-49, 3-[2-[5-Chloro-2-(2,5-difluorobenzyloxy)phenyl]-5-methylpyrrol-1-yl]-6-methylbenzoic acid 854192-90-89, 3-[2-[5-Chloro-2-(2-chlorobenzyloxy)phenyl]-5-methylpyrrol-1-yl]-6-methylbenzoic acid 854192-92-09,
- 3-[2-[5-Chloro-2-(2,3,6-trifluorobenzyloxy)phenyl]-5-methylpyrrol-1-yl]-6-methylbenzoic acid 854192-94-2P, 3-[2-[5-Chloro-2-(2-chloro-6-fluorobenzyloxy)phenyl]-5-methylpyrrol-1-yl]-6-methylbenzoic acid 854192-96-4P, 3-[2-[5-Chloro-2-(2-fluoro-4-(chlorobenzyloxy)phenyl]-5-methylpyrrol-1-yl]-6-methylbenzoic acid 854192-98-6P,
- 3-{2-{5-Chloro-2-{2-chloro-4-fluorobenzyloxy)phenyl}-5-methylpyrrol-1-yl}-6-methylbenzoic acid 854193-01-4P, 3-{2-{5-Chloro-2-{2-
- ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-11-4 CAPLUS
Benzoic acid, 4-[2-[2-[(2,4-difluorophenyl)methoxy]-5(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA

632624-18-1 CAPLUS CN Benzoic acid, 5-[2-[2-[(4-bromo-| Selfold actor, | (2-[(4-bromo-2-fluorophenyl)methoxy]-5-chlorophenyl]-5-| methyl-1H-pyrrol-1-yl}-2-methyl- (9CI) (CA INDEX NAME)

- L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) fluorobenzyloxy) phenyl]-5-methylpyrrol-1-yl]-6-methylbenzoic acid 88189-03-89, 3-[2-[5-Chloro-2-(4-chlorobenzyloxy) phenyl]-5-methylpyrrol-1-yl]-6-methyl
- fluorobentoic acid sodium salt 854195-23-09,

 3-[2-[5-Chloro-2-(2,4-difluorobentyloxy)phenyl]-5-trifluoromethylpyrrol-1-yl]benzoic acid 854195-27-09, 3-[2-[5-Chloro-2-(4-fluorobentyloxy)phenyl]-5-trifluoromethylpyrrol-1-yl]benzoic acid 854195-29-29, 3-[2-[5-Chloro-2-(2,6-difluorobenzyloxy)phenyl]-5-trifluoromethylpyrrol-1-yl]benzoic acid 854195-31-69,

 3-[2-[5-Chloro-2-(2-fluorobenzyloxy)phenyl]-5-trifluoromethylpyrrol-1-yl]benzoic acid 854195-33-09,

 3-[2-[2-Benzyloxyphenyl]pyrrol-1-yl]benzoic acid 854195-37-29,

 3-[2-(2-Chloro-2-benzyloxyphenyl]pyrrol-1-yl]benzoic acid 854195-39-49,

 3-[2-(5-Chloro-2-benzyloxyphenyl)pyrrol-1-yl]benzoic acid 854195-39-49,

 3-[2-(5-Chloro-2-benzyloxyphenyl)pyrrol-1-yl]-6-fluorobenzoic acid sodium salt 854195-41-09,

 3-[2-(5-Bromo-2-isobutyloxyphenyl)pyrrol-1-yl]-6-fluorobenzoic acid 854195-56-59, 3-[2-(5-Chloro-2-benzyloxyphenyl)-5-chloropyrrol-1-yl]benzoic acid sodium salt

 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TMU (Therapsutio use); BIOL (Blological study); PREP (Preparation); USES (Uses)
- (Uses)
 (prepn. of aryl-substituted pyrroles as prostanoid EP1 inhibitors
 useful for treating inflammation)
 622-01-6 CAPIUS
 Benzoic acid, 5-[2-[5-chloro-2-((2,4-difluorophenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)
- ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-32-9 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-[(2,6-difluorophenyl)methoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

854192-21-5 CAPLUS Benzoic acid, 5-{2-{5-bromo-2-methoxyphenyl}-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 854192-76-0 CAPLUS Benzoic acid, 5-[2-{5-chloro-2-{(2,4-dimethylphenyl)methoxylphenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

854192-78-2 CAPLUS
Benzoic acid, 5-[2-{5-chloro-2-[(2,6-dichlorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

854192-80-6 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-[(3,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 854192-86-2 CAPLUS
CN Benzoic acid,
5-{2-{5-chloro-2-{4-(trifluoromethyl)phenyl}methoxy|phenyl}5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

854192-88-4 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-[(2,5-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 654192-82-8 CAPLUS
CN Benzoic acid,
5-[2-[5-chloro-2-[(2-fluoro-4-(trifluoromethyl)phenyl]methox
ylphenyl)-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

854192-84-0 CAPLUS
Benzoic acid, 5-[2-(5-chloro-2-[(2-methylphenyl)methoxy]phenyl]-5-methyllH-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

854192-90-8 CAPLUS
Benzoic acid, 5-{2-(5-chloro-2-{{2-chlorophenyl}methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

854192-92-0 CAPLUS
Benzoic acid, 5-{2-(5-chloro-2-[(2,3,6-trifluorophenyl)methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 854192-94-2 CAPLUS
CN Benzoic acid,
5-[2-[5-chloro-2-[(2-chloro-6-fluorophenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAMZ)

RN 854192-96-4 CAPLUS
CN Benzoic acid,
5-[2-[5-chloro-2-[4-chloro-2-fluorophenyl]methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 854192-98-6 CAPLUS
CN Benzoic acid,
5-[2-[5-chloro-2-[(2-chloro-4-fluoropheny1]methoxy]pheny1]-5methyl-1H-pyrrol-1-y1]-2-methyl- (9CI) (CA INDEX NAME)

854193-01-4 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-[(2-fluorophenyl)methoxy]phenyl]-5-methyllH-pyrrol-1-yl}-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

854193-03-6 CAPLUS
Benzolc acid, 5-[2-[5-chloro-2-[(4-chlorophenyl)methoxy]phenyl]-5-methylH-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

854193-05-8 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-[(2,4-dichlorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 854193-21-8 CAPLUS Benzoic acid, 5-[2-(5-bromo-2-hydroxyphenyl)-5-methyl-1H-pyrrol-1-yl}-2-methyl-(9CI) (CA INDEX NAME)

RN 854194-77-7 CAPLUS
CN Benzoic acid,
5-[2-{5-chloro-2-(2-methylpropoxy)phenyl}-5-methyl-1H-pyrrol1-yl]-2-methyl-, sodium salt (9CI) (CA INDEX NAME)

RN 854194-81-3 CAPLUS
CN Benzoic acid,
3-[2-(5-chloro-2-(2-methylpropoxy)phenyl]-5-methyl-1H-pyrrol1-yl]-, sodium salt (9CI) (CA INDEX NAME)

L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● Na

RN 854194-83-5 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2+(2-methylpropoxy]phenyl]-5-methyl-1H-pyrrol1-yl]-, sodium salt (9CI) (CA INDEX NAME)

• Na

RN 854194-85-7 CAPLUS
CN Benzoic acid,
5-{2-{5-bcnom-2-{2-methylpropoxy}}pheny1}-5-methyl-1H-pyrrol1-y1]-2-methyl-, sodium sait {9CI} (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

854194-91-5 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-(cyclopentylmethoxy)phenyl]-5-methyl-1Hpyrrol-1-yl}-, sodium salt (9CI) (CA INDEX NAME)

854194-93-7 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-(cyclopentylmethoxy)phenyl]-5-methyl-lH-pyrrol-1-yl]-2-methyl-, sodium salt (9CI) (CA INDEX NAME)

L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• Na

854194-87-9 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-(cyclopentylmethoxy)phenyl]-5-methyl-lH-pyrrol-1-yl]-, sodium salt (9CI) (CA INDEX NAME)

854194-89-1 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-(cyclopentylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl-, sodium salt (9CI) (CA INDEX NAME)

L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• Na

RN 854194-95-9 CAPLUS
CN Benzoic acid,
5-[2-[5-chloro-2-(2-methylpropoxy)]phenyl]-5-methyl-1H-pyrrol1-yl]-2-fluoro-, sodium salt (9CI) (CA INDEX NAME)

RN 854194-97-1 CAPLUS
CN Benzoic acid,
5-{2-{5-bromo-2-{2-methylpropoxy}phenyl}-5-methyl-lH-pyrroll-yl}-2-fluoro-, sodium sait {9CI} (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● Na

854194-99-3 CAPLUS Benzoic acid, 5-[2-[5-bromo-2-(cyclopentylmethoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-fluoro-, sodium salt (9CI) (CA INDEX NAME)

● Na

854195-01-0 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-(cyclopentylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl)-2-fluoro-, sodium salt (9CI) (CA INDEX NAME)

L9 ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● Na

854195-25-8 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-(trifluoromethyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

854195-27-0 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-(trifluoromethyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

854195-29-2 CAPLUS
Benzoic acid, 3-{2-[5-chloro-2-[(2,6-difluorophenyl)methoxy]phenyl]-5-(trifluoromethyl)-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

854195-31-6 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(2-fluorophenyl)methoxy]phenyl]-5-(trifluoromethyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 854195-33-8 CAPLUS
CN Benzoic acid,
5-[2-[5-bromo-2-(2-methylpropoxy)phenyl]-5-methyl-1H-pyrrol1-yl]-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

854195-35-0 CAPLUS
Benzoic acid, 3-[2-[2-(phenylmethoxy)phenyl]-lH-pyrrol-l-yl]- (9CI) (CA
INDEX NAME)

854195-37-2 CAPLUS
Benzolc acid, 3-[2-[5-chloro-2-(phenylmethoxy)phenyl]-lH-pyrrol-l-yl]-(9CI) (CA INDEX NAME)

RN 854195-39-4 CAPLUS
CN Benzoic acid,
5-{2-{5-chloro-2-(2-methylpropoxy)phenyl}-1H-pyrrol-1-yl}-2fluoro-, sodium salt (9CI) (CA INDEX NAME)

ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

854195-41-8 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-(2-methylpropoxy)phenyl]-1H-pyrrol-1-yl]-2-fluoro-(9CI) (CA INDEX NAME)

RN 854195-56-5 CAPLUS
CN Benzoic acid,
3-[2-chloro-5-[5-chloro-2-(phenylmethoxy]phenyl]-1H-pyrrol-1yl]-, sodium salt (9CI) (CA INDEX NAME)

ANSWER 35 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:490281 CAPLUS 143:48056 Novel nanoparticulate nimesulide compositions Bosch, H. William; Wertr, Christian F. Elan Pharma International Ltd., Ire. PCT Int. Appl., 87 pp. CODEN: PIXXD2 Patent English CNT 1

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	PA'	TENT :	NO.			KIND DATE				APPL	ICAT		DATE						
PI	WO	2005	051356			A1		20050609		,	WO 2	003-		20031031					
		W:	AE, AG,		AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			co,	CR,	cu,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,	
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	
			LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	
			OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	5D,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
			BY,	KG,	KZ,	MD,	RU,	TJ,	TH,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	
TG		•••,,,																	
	AU 2003303744					A1		20050617 AU 2003-303744							20031031				
PRAI	I WO 2003-US32731					А		2003	1031										

AB The present invention provides nanoparticulate nimesulide compns. The compns. preferably comprise nimesulide and at least one surface stabilizer

adsorbed on or associated with the surface of the nimesulide particles.

nanoparticulate nimesulide particles preferably have an effective average particle size of less than about 2000 nm. The invention also provides methods of making and using nanoparticulate nimesulide compns. An

solution of 1% (weight/weight) Plasdone S-630 was combined with 4.25 g of nimesulide (5% weight/weight) and stirred for 1 h at 4200 rpm with

nimesulide (5% weight/weight) and stirred for 1 h at 4200 rpm with chilled water

(10°) recirculated through the milling chamber. The process yielded a colloidal dispersion of nimesulide with a mean particle size of 150 nm, a 050 of 124 nm, a 090 of 256 nm, and a 095 of 293 nm.

TSSS97-27-6, Fendosal

RI: THU (Therapsutic use); BIOL (Biological study); USES (Uses) (novel nanoparticulate nimesulide compns.)

RN 53597-27-6 CAPUIS

CN Benzica acid. 5-(4.5-dihydro-2-phenyl-3H-benz[e]indol-3-vl]-2-hydroxy-

SBSS-27-5 GARDUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl]-2-hydroxy-(9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ANSWER 34 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 35 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN ALL CITATIONS AVAILABLE IN THE RE FORMAT (Continued)

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ANSWER 36 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:369133 CAPLUS 142:435774
               Compositions treatment of chronic inflammatory diseases Shapiro, Roward K.
                U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 610,073,
                 abandoned
                CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4
PATENT NO.
                                                                                     DATE
20050428
19920630
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19970310
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                                                                                                                         APPLICATION NO.
 PI US 2005090553
PRAI US 1992-906909
US 1994-241603
US 1997-814291
US 2000-610073
                                                                       A1
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B2
                                                                                                                         US 2004-924945
                                                                                                                                                                                        20040824
               US 2000-610073 B2 Z0000705
MARAPAT 142:435774
This invention defines novel compns. that can be used for clin. treatment of a class of chronic inflammatory diseases. Increased generation of carbonyl substances, aldehydes and ketones, occurs at sites of chronic inflammation and is common to the etiologies of all of the clin.
            addressed herein. Such carbonyl substances are cytotoxic and addnl.
               to perpetuate and disseminate the inflammatory process. This invention defines use of compns., the orally administered required primary agents
               which are primary amine derivs. of benzoic acid capable of reacting with
the carbonyl substances. P-Aminobenzoic acid (or PABA) is an example of
the required primary agent of the present invention. PABA has a small
mol. weight, is water soluble, has a primary amine group which reacts
  carbonyl-containing substances and is tolerated by the body in relatively high dosages for extended periods. The method of the present invention includes administration of a composition comprising: (1) an orally
               umed
primary agent; (2) a previously known medicament co-agent recognized as
effective to treat a chronic inflammatory disease addressed herein
administered to the mammalian subject via the oral route, other systemic
routes of administration or via the topical route; and (3) optionally 1
               more addnl. orally consumed co-agent selected from the group consisting
               antioxidants, vitamins, metabolites at risk of depletion, sulfhydryl co-agents, co-agents which may facilitate glutathione activity and nonabsorbable primary amine polymeric co-agents, so as to produce an additive or synergistic physiol. effect of an anti-inflammatory nature. 53597-27-6, Fendosal RI. THU (Therapsutio use); BIOL (Biological study); USES (Uses) (compns. treatment of chronic inflammatory diseases) 53597-27-6 CAPUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)
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A N-Y-B I

L9 ANSWER 36 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L9 ANSWER 37 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/706,027 Page 38

ANSWER 38 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

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ANSWER 38 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:300395 CAPLUS 142:355054
Preparation of amide derivatives as inhibitors of histone deacetylase Moradei, Oscar: Paquin, Isabelle: Leit, Silvana: Frechette, Sylvie: Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre: Mallais, Tammy
 C.
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 559 pp.
CODEN: PIXXO2
DT Patent
LA English
FAN.CNT 2
PATENT NO. KIN
                                                                                                                                           KIND
                                                                                                                                                                              DATE
                                                                                                                                                                                                                                                 APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                               DATE
                                                                                                                                                                              20050407
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C2
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                                                                                                                 C2 20050420
AL, AM, AT, AU, AE, BA, BB, BG, BR, BW, BY, CR, CU, C2, DE, DK, DM, DZ, EC, EE, EG, ES, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KY, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, TN, TR, TT, T2, UA, UG, US, UZ, VC, VN, VU, CM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CR, CY, FI, FR, GB, GR, HU, IE, IT, IJU, MC, NL, FL, TR, BF, BJ, CF, CC, CC, CM, GA, GA, GQ, GW, TG
Al 20050407 AU 2004-276337
                           NO 2005030705 C2 20060420

N: AE, AG, AI, AM, AT, AM, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, F1, GR, GD, GE, GH, GH, HR, HU, ID, IL, IN, 1S, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, KA, MD, MG, MK, MM, MF, MK, MZ, NA, NI, NG, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, TU, ZA, ZH, ZF, KF, EB, GR, MU, TJ, TM, AT, BE, BG, CH, CT, CZ, DE, DK, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GG, GM, ML, MR, NS, SN, TD, TG

AU 2004276337

A1 20050407

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, II, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
                                                                                                                                                                                                                                                                                                                                                                B2, CA, CH,
FI, GB, GD,
KR, K2, LC,
M2, NA, NI,
SK, SL, SY,
ZA, ZM, ZW
ZM, ZW, AM,
CZ, DE, DK,
PT, RO, SE,
ML, MR, NE,
HR
PRAI US 2003-505884P
US 2003-532973P
US 2004-561082P
WO 2004-US31591
                                                                                                                                                                               20030924
20031229
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ANSWER 38 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (prepn. of amide derivs. as inhibitors of histone deacetylase) 15898-26-7 CAPLUS Benzoic acid, 4-(2,5-dimethyl-lH-pyrrol-l-yl)- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Title compds. I (Arl = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring;

(un) substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical molety consisting of 1 to 50 atoms with provisions) and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors

of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling

2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic

acid

followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)methyl]benzoic acid (preparation given) and subsequent reduction The
inhibitory
capability of I towards antiproliferative activity of histone deacetylase
enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5diphenyltetrazolium] bromide (MTT) assay and it revealed that certain
compds. of the invention had MTT IC 50 values in the range of below I up
to 20 pM. I as histone deacetylase inhibitors should prove useful in
the treatment of diseases such as, but not limited to, cell proliferative
disease, protozol disease, and fungal disease.

IT 15898-24-TP

RL: SCT (Seactant): SNN (Symbetic preparation): PREF (Preparation): RACT

19898-26-7# RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

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ANSWER 39 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:300394 CAPLUS 142:373563
                           142:373563
Preparation of amide derivatives as inhibitors of histone deacetylase
Moradei, Oscar: Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie;
Vaisburg, Arkadii: Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy
                         C. Methylgene, Inc., Can. PCT Int. Appl., 389 pp. CODEN: PIXXD2 Patent English
DT Pat.
LA English
FAN.CNT 2
PATENT NO.
                                                                                                                                                  DATE
                                                                                                                                                                                                                                                                                                               DATE
                                                                                                                    KIND
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  PATENT NO.

PI WO 2005030704

W: AE, AG, AI

CR, CO, CI

GE, GH, GR

LK, LR, LS

NO, NE, CI

NO, NE, LS

NO, NE, SI

SI, SK, TI

SN, TD, SN, TD

PRAI US 2003-505884P

US 2004-561082P

OS MANPAT 142:373563
                                                                                                                                   20050407 W0 2004-US31590
AT, AU, AZ, BA, BB, BG, BR, BW,
CZ, DE, DK, DM, DZ, BC, EZ, EG,
HU, ID, IL, IN, IS, JP, KZ, KG,
LU, LV, HA, ND, MG, MK, NN, MW,
PH, PL, PT, RO, RU, SC, SD, SE,
TT, TZ, UA, UG, US, UZ, VC, VN,
LS, MW, MZ, NA, SD, SL, SZ, TZ,
MD, RU, TJ, TM, AT, BE, BG, CH,
GB, GR, HU, IE, IT, LU, MC, NL,
BJ, CF, CG, CI, CM, GA, GN, GQ,
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BZ, CA, CH,
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KR, KZ, LC,
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CZ, DE, DK,
PT, RO, SE,
ML, MR, NE,
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20031229
20040409
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Title compds. I [Arl = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; AB

R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and
R4 independently = H, halo, amino, etc.; R5 and R6 independently = H,
 alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical
 moiety consisting of 1 to 50 atoms with provisions] and their
 pharmaceutically acceptable salts, are prepared and disclosed as
inhibitors
of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling

2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic

acid
followed by carbonylation with 4-[3,4-dimethoxy-{phenylamino}methyl|benroic acid (preparation given) and subsequent reduction The
inhibitory
capability of I towards antiproliferative activity of histone deacetylase
enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5diphenyltetracolium] bromde (HTT] assay and it revealed that certain
compds. of the invention had HTT IC 50 values in the range of below 1 up
to 20 µM. I as histone deacetylase inhibitors should prove useful in
the treatment of diseases such as, but not limited to, cell proliferative
disease, protozol disease, and fungal disease.
IT 15898-26-7P
RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

ANSWER 40 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:281773 CAPLUS 142:341906 Diclofenac compositions for the treatment of skin disorders Arkin, Moshe; Zeevi, Amira; Cherkez, Stephen; Asculai, Eilon; Abu-gnim, Challi; Yoshe, Ido; Arnon, Michal; Ohayon-Tsahor, Hila; Chen, Oren; Fridler, Galia Agis Industries 1983 Ltd., Israel PCT Int. Appl., 77 pp. CODEN: PIXXD2 Patent

PA SO

DT LA Patent

English

FAN.	. CNT	1																		
	PATENT NO.						KIND DATE			APPLICATION NO.							DATE			
						-														
ΡI	WO	2005	A2		20050331		1	WO 2	004-		20040922									
	WO 2005027977					A3 20051208														
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GΗ,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
			LK,	LR,	LS,	LT,	w,	LV,	MA,	MD,	MG,	MK,	MN,	HW,	ΜX,	ΜZ,	ΝA,	NI,		
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,		
			TJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	υG,	US,	UZ,	νc,	٧N,	YU,	ZA,	ZM,	ZW		
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	υG,	ZM,	ZW,	AM,		
			AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	HC,	NL,	PL,	PT,	RO,	SE,		
			SI,	5K,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,		

SN, TD, TG

US 2005197164 Al 20050623 US 2004-946560 20040922

PRAI US 2003-503889P P 20030922

AB Novel NSAID pharmaceutical compns. and methods for the treatment of skin disease and disorders such as actinic keratosis are disclosed. Thus, a topical gel contained diclofenac sodium 3.00, benzyl alc. 1.00, methoxy PEC 20.00, Methocel 2.20, Transcutol 10.00, and water 63.80%.

IT 53597-27-6, Fendosal

RL: TEU (Therapeutic use); BIOL (Biological study); USES (Uses) (diclofenac compns. for treatment of skin disorders)

RN 53597-27-6 CAPLUS

RN 53597-27-6 CAPLUS

Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)

ANSWER 39 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (prepn. of amide derivs. as inhibitors of histone deacetylase) 15898-26-7 CAPLUS Benzoic acid, 4-(2,5-dimethyl-lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 41 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:177818 CAPLUS 142:266765 142:26063 Penetrating pharmaceutical foam Tamarkin, Dov; Friedman, Doron; Eini, Meir Foamik Ltd., Israel PCT Int. Appl., 68 pp. CODEN: PIXXD2 DT LA FAN. Patent English CNT 1 PATENT NO KIND DATE APPLICATION NO. DATE 20050303 WO 2004-IB2965 WC 2005018530
W: AE, AG, AL,
W: AE, AG, AL,
CO, CO, CR,
GE, GH, GH,
LK, LR, LS,
NO, NZ, ON,
TJ, TM, TN,
RW: BW, GH, GH,
AZ, BY, KG,
EE, ES, FI,
SI, SK, TD, TG
AU 2004265502
CA 2535682
US 2005074414
US 2005075407
EP 1663148
R: AT, BE, CH, 20040820 WO 2005018530 A2 A2 20050303 W0 2004-IB2965 2
AM, AT, AM, AZ, BA, BB, BG, BR, BW, BY, BZ,
CU, CZ, DZ, DK, DN, DZ, EC, EE, EG, ES, FT,
RH, HU, ID, ILL, IN, IS, JP, KE, KG, KP, FK,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, MZ,
EG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, XL,
KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, 20040820 BZ, CA, CH, FI, GB, GD, KR, KZ, LC, MZ, NA, NI, SK, SL, SY, ZA, ZM, ZW, ZM, ZM, ZW, AM, CZ, DE, DK, PT, RO, SE,

```
ANSWER 42 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:158669 CAPLUS 142:261536
                                           Preparation of imidazopyridine derivatives as melanin-concentrating
   hormone
receptor antagonists
IN Kishino, Hiroyuki; Moriya, Minoru; Sakamoto, Toshihiro; Takahashi,
Hidekaru; Sakuraba, Shunji; Suzuki, Takao; Kanatani, Akio
PA Banyu Pharmaceutical Co., Ltd., Japan
S PCT Int. Appl., 105 pp.
CODEN: PIXXD2
T Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
                              PI
SN, TD, TG

AU 200425189

AU 2004251816

AU 20050224

AU 2004-251816

AU 2004-251816

AU 2004-251816

AU 20060517

BU 2004-251816

AU 2004
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THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 8

L9 ANSWER 42 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Title compds. I [R1, R2 = H, halo, etc., further detail on R1, R2 is given; R3 = H, halo, etc.; R4 = H, alkyl; W = single bond, etc.; Ar = optionally substituted aromatic ring, etc. with R7; R7 = halo, etc.] were prepared For example, Pd-catalyzed hydrogenation of 2-isopropyl-6-nitroimidazo[1,2-a]pyridine hydrobromide followed by HATU-mediated acylation with 4'-fluoro-1,1'-biphenyl-4-carboxylic acid afforded ound

compound II. In MCH (Melanin Concentrating Hormone) binding inhibition assays, the ICSO

ICSO
value of compound II was 3.1 nM. Compds. I are claimed useful for the
treatment of obesity, diabetes, etc.
22106-33-8, 4-[lH-Pyrrol-1-yl)benzoic acid
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of imidazopyridine derivs. as melanin-concentrating
none receptor
antagonists for treatment of obesity, diabetes, etc.)
22106-33-8 CAPLUS
Benzoic acid, 4-[lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 43 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:100389 CAPLUS 142:198061 New antibiotic compounds, in particular thioxothiazolidinone derivatives, their pharmaceutical compositions containing them and their uses Leonetti, Jean Paul: Andre, Estelle Centre National De La Recherche Scientifique CNRS, Fr. Fr. Demande, 86 pp. CODEN: FRXXBL Patent

DT Patent
LA French
FAN.CNT 1
PATENT NO. APPLICATION NO. KIND DATE DATE A1 20050204 FR 2003-9395
A1 20050310 W0 2004-FR1951
AM, AT, AU, AZ, BA, BB, BG, BR, BW, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, HR, HU, ID, IL, IN, IS, JP, KE, KG, LT, LU, LV, MA, MD, MG, MK, MN, MW, PG, PH, PL, PT, RO, RU, SC, SD, SE, TR, TT, TZ, UA, UG, US, UZ, VC, VN, KE, IS, MW, MZ, NA, SD, SL, SZ, TZ, KZ, MD, RU, TJ, TM, AT, EE, BG, CH, FR, GB, GR, HU, IE, IT, LU, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, FR 2858324 WO 2005020990 OS GI

The invention is related to pharmaceutical compns. containing at least

(Continued)

ANSWER 43 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) compd. of formula I as active substance in combination with a pharmaceutically acceptable component and their use as antiblotics (X, Y

independently O, S, NH and derivs.; Ra = (un)substituted alk(en)yl; A = (un)substituted 5-6-membered heterocycle selected from thiophene, furan, pyrrole, pyrazole, 1,24-thiadiazole, etc.]. For example, II was prepd., in 3 steps, by reacting DL-Methionine Me ester with thiophosgene,

owed by cyclocondensation with He thioglycolate, and condensation with 5-(4-Nitrophenyl)furan-2-carboxaldehyde. II displayed a minimal inhibitory concn. (MIC) of 4 mg/mL against Staphylococcus aureus. I inhibited the complexation of RNA polymerase with sigma-70. I were at 100 mg/mL. Thus, I and their compns. are useful as antibacterial accents.

366464-92-8P 425668-25-3P

366464-92-92 42568-25-39
RE: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial agent; preparation and pharmaceutical compns. of
thioxothiazolidinones and related compds. useful as antibiotics)
26664-02-28 CAPLING

425668-25-3 CAPLUS
1,3-Benzenedicarboxylic acid, 5-[2,5-dimethyl-3-[(4-oxo-2-thioxo-5-thiazolidinylidene)methyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 43 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 44 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2005:17015 CAPLUS 142:120515 Dispersible formulations containing anti-inflammatory agents and other active ingredients for infusion Britten, Nancy Jean; Waldron, Niki Ann; Watts, Jeffrey L.; Hallberg, John Walter; Burns, John W. IN Walter; Burns, John W.
PA USA
SO U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S. Ser. No. 803,146.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE as the udder of a milk-producing animal or an ear of a subject. T invention also relates to a dispersible pharmaceutical composition invention sales against to a morphism suitable for infusion into the organ according to the method of the invention, and a process for preparing such a composition For example, a suspension to be administered by intrammary infusion was prepared containing parecoxib administration of annual maj/ml.

Labrafil M-1944CS 50 mg/mL, microcryst. wax 70 mg/mL, and cottonseed oil Q.S. 7-27-6, Fendosal RI: TRU (Therapeutic use); BIOL (Biological study); USES (Uses) (dispersible formulation containing anti-inflammatory agents and other active ingredients for infusion) 53597-27-6 CAPLUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)

ANSWER 44 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

10/706,027 Page 42

L9 ANSWER 45 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:927010 CAPLUS
N 14:376:382
TI Pin1-modulating compounds and methods of use for the treatment of Pin1-associated diseases, including cancer
N Bao, Lere: Kimrey, Amy
P Pintex Pharmaceuticals, Inc., USA
OPCT Int. Appl., 189 pp.
CODEN: PIXAD2
OPT Patent
LA English
FATENT NO.

KIND DATE APPLICATION NO.
DATE
PATENT NO.

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KF, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NM, MM, MK, MZ, NZ, NJ,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZZ, ZM, ZW,
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SD, SE, SE, SS, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZZ, ZM, ZW,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GH, UI, EI, TI, LU, MC, NL, PL, PT, RO, RS, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG
PRAI US 2003-65271P
OS MARRAT 141:376:32
AB The invention is directed to modulators, e.g., inhibitors, of Pin1 and
Pin1-related proteins and the use of such modulators for treatment of
Pin1
associated states, e.g., for the treatment of cancer. The present
invention
aims to provide photochemotherapeutic compds. with increased specificity
as compared with known agents.

If 67654-28-7
RL: PRAC (Pharmacological activity): THU (Therapeutic use): BIOL
(Biological study): USES (Uses)
(Pin1-modulating compds. for treatment of Pin1-associated diseases,
including cancer)
RN 67654-28-7
RAL: PRAC (Pharmacological activity): THU (Therapeutic use): BIOL
(Biological study): USES (Uses)
(Pin1-modulating compds. for treatment of Pin1-associated diseases,
including cancer)
RN 67654-28-7
RAL: PRAC (Pharmacological activity): THU (Therapeutic use): BIOL
(Biological study): USES (Uses)
(Pin1-modulating compds. for treatment of Pin1-associated diseases,
including cancer)

(opioid inhibitors of ABC drug transporters in microbial cells, and
with antimicrobial compds. for treatment of microbial infections)
432492-45-0 CAPLUS
HP-Pyrrole-3-carboxylic acid, 1-(3-carboxy-2,4,6-trimethylphenyl)-2,5dimethyl- (9CI) (CA INDEX NAME)

HO₂C Me Me

ANSWER 47 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:878364 CAPLUS 141:366035 DN 141:366035
TI Preparation of hydroxynaphthyl amides as Vanilloid receptor 1 inhibitors
N Besidski, Yevgeni; Rotticci, Didier; Johnstone, Shawn
PA Astrazeneca Ab, Swed.
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2
PATENT NO. NT 2 PATENT NO. KIND DATE APPLICATION NO. DATE 20041021 AT, AU, AZ, CZ, DE, DK, HU, ID, IL, LU, LV, MA, PH, PL, PT, TT, TZ, UA, LS, MW, MZ, RU, TJ, TM, GR, HU, IE, CF, CG, CI, WO 2004089877 A1 AM, CU, HR, LT, PG, TR, KE, MD, GB, BJ, WO 2004-SE573 20040413 PI W0 2004089877
W: AR, AG, AI
CN, CO, CR
GE, GH, GH,
LK, LR, LS
NO, NZ, OD
TJ, TM, TR
RW: EW, GH, GE
BY, KG, KZ
ES, FI, FP
SK, TR, BE
TD, TG
PRAI SE 2003-1120
SE 2004-102
OS MARPAT 141:366035 ZU040413 BZ, CA, CH, FI, GB, GD, KR, KZ, LC, MZ, NA, NI, SK, SL, SY, ZA, ZM, ZW ZW, AM, AZ, DE, DK, EE, RO, SE, SI, MR, NE, SN. BA, DM, IN, MD, RO, UG, SD, AT, IT, CM, EW, EG, KG, MW, SE, VN, UG, CY, PL, GW, AL, CR, GM, LS, OM, TN, GM, KZ, FR, BF, BB, DZ, IS, MG, RU, US, SL, BE, LU, GA, BG, EC, JP, MK, SC, UZ, SZ, BG, MC, GN, BR, EE, KE, MN, SD, VC, TZ, CH, NL, GQ, BY, ES, KP, MX, SG, YU, ZM, CZ, PT, ML. 20030414

AB Title compds. represented by the formula I [wherein Rl = R3 = H; R2 = methylamino(alkyl), (un)substituted (heterolarylalkyl; R4, R5 = independently H, halo, nitro, CHO, carbonylalkyl; and pharmaceutically acceptable salts, solvates or solvates salts thereof] were prepared as Vanilloid receptor 1 (VR1) inhibitors. For example, reaction of 4-methoxybenzyl alc. with 7-hydroxyl-naphthyl isocyanate gave I (R1 = R3 = R4 = R5 = H, R2 = 4-MeOC6H4CH2) in 74% yield. I (R1 = R3 = R4 = R5 = H.

R2 = 3,4-F2C6H4CH2NH, 4-Me3CC6H4NH, 4-F3COC6H4) were tested for human VRI inhibition in hVR1 FLIPR (fluorometric Image Plate Reader) screening

with IC50 values of 60-200 nM. Thus, the title compound and their pharmaceutical compns. are useful as VRl inhibitors for the treatment of VRl-mediated disorders, such as acute and chronic neuropathic and inflammatory pain (no data).

RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of hydroxynaphthyl amides as Vanilloid receptor 1
inhibitors)

10/706,027 Page 43

- ANSWER 47 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 22106-33-8 CAPLUS (Continued)
- Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 48 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 53597-27-6, Fendosal (Continued)

5359/-27-9, rencosal RE: PAC (Pharmacological activity); TMU (Therapeutic use); BIOL (Biological study); USES (Uses) (dispersible pharmaceutical composition for treatment of mastitis and

otic disorders) 53597-27-6 C

53597-27-6 CAPLUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz{e}indol-3-yl}-2-hydroxy-[9CI] (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 48 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:802738 CAPLUS 141:301477 Dispersible pharmaceutical composition for treatment of mastitis and otic disorders

Britten, Nancy J.; Burns, John W.; Hallberg, John W.; Waldron, Niki A.;
Watts, Jeffrey L.
Pharmacia Corporation, USA
PCT Int. Appl., 58 pp.
CODEN: PIXXD2 IN PA SO DT Patent LA English FAN.CNT 2 MC 2004082719 A1 20040930 WC 2004-18802 20040310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, EW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, MZ, NA, NI,
NG, NE, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TH, TH, TT, TZ, UA, UG, US, UZ, VC, VN, TU, AZ, 2M, ZW
RW: BW, GH, GH, KE, LS, NM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TH, AT, EB, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, JU, MC, NL, PL, PT, RO, SE, SI,
KT, TB, FB, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG
AU 2004222518 A1 20040930 AU 2004-222518 TU, TG

AU 2004222518 A1 20040930 AU 2004-222518 20040310
CA 2519589 AA 20040930 CA 2004-2519589 20040310
EP 1608406 A1 20051228 EP 2004-719029 20040310
R: AT, BE, CH, DE, DN, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
BR 2004008559 A 20060321 BR 2004-8559 20040310
CN 1761486 A 20060419 CN 2004-8509 20040310
NO 2005004777 A 20051017 NO 2005-4777 20051017
PRAI US 2003-456201P P 20030320
WO 2004-IB802 A 20040310
AB A method is provided for treatment of an infective condition in a fluid-containing organ having a natural exterior orifice, such as the udder of of a milk producing animal or an ear. The method comprises administering an antibacterial agent to the organ via the exterior orifice and administering in combination therapy with the antibacterial agent a second
agent that is an anti-inflammatory agent, an analgesic and/or an
antipyretic. The antibacterial agent and, optionally, the second agent,
are administered as a pharmaceutical composition further comprising a
vehicle
that comprises an amphipathic oil that is water dispersible and ethanol
insol., microcryst. wax and a pharmaceutically acceptable non-aqueous
carrier.
Also provided is such a composition comprising the antibacterial agent
and the he second agent. The composition is readily dispersible in the fluid of the fluid-containing organ. A suspension to be administered by intramammary infusion was contained ceftiofur hydrochloride (micronized) 12.5 mg/mL, Labrafil M-1944CS 50 mg/mL, microcryst. wax 100 mg/mL, cottonseed oil

ANSWER 49 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:802681 CAPLUS 141:301462 141:301462
Dispersible formulations of an anti-inflammatory agent
Britten, Nancy J.; Burns, John W.; Hallberg, John W.; Waldron, Niki A.;
Watts, Jeffrey L.
Pharmacia Corporation, USA
PCT Int. Appl., 45 pp.
CODEN: PIXXD2 DT Pa LA En FAN.CNT Patent English NT 2 PATENT NO. APPLICATION NO. DATE KIND DATE 20040930 WO 2004082588 WO 2004082588 A2 A3 WO 2004-18826 20040310

A3 20041223
AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, PG, PH, PL, PT, RC, RU, SC, SD, SE, SG, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, MD, RU, TJ, TM, AT, BZ, BG, CH, CY, CZ, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML, B2, CA, CH, FI, GB, GD, KR, KZ, LC, MZ, NA, NI, SK, SL, SY, ZA, ZM, ZW ZW, AM, A2, DE, DK, EE, RO, SE, SI, MR, NE, SN, 082588
AE, AG,
CN, CO,
GE, GH,
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SY, KG,
ES, FI,
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TD, TG AL, CR, GM, LS, OM, TN, GM, KZ, NO, TJ, RW: EW, FR, BF, TD. TG

AU 2004222523 A1 20040930 AU 2004-222523 20040310
CA 2519125 AA 20040930 CA 2004-2519125 20040310
EP 1608407 A2 20051228 EP 2004-719030 20040310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
ER, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
BR 2004008555 A 200400855 A 20040310
CN 1761487 A 20060419 CN 2004-8556 20040310
NO 2005004260 A 20051212 NO 2005-4260 20050915 TD, TO AU 2004222523 NO 2005004260 PRAI US 2003-456325P

W0 2004-18826 A 20040310
A method is provided for treatment of an inflammatory condition in a fluid-contening organ having a natural exterior orifice, such as the udder

a milk producing animal or an ear. The method comprises administering,

the organ via the exterior orifice, a pharmaceutical composition

the organ via the exterior office, a pharmaceutical composition comprising an anti-inflammatory agent and a vehicle that comprises an amphipathic oil that is water dispersible and ethanol insol., microcryst. was and a pharmaceutically acceptable non-aqueous carrier. Also provided is such a composition comprising the anti-inflammatory agent. The composition is

dispersible in the fluid of the fluid-containing organ. Thus, a

be administered by intramammary infusion comprised parecoxib 100,

Afil

M-1944CS 50, and microcryst. wax 70 mg/mL, and cottonseed oil qs.
53597-27-6, Fendosal

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dispersible formulations of anti-inflammatory agent)
53597-27-6 CAPLUS

Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz(e)indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)

L9 ANSWER 50 OF 185 CAPLUS COPYRIGHT 2006.ACS on STN (Continued) 1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 51 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
2004:780554 CAPLUS
141:301422
Preparation of heterocyclic ligands for acid-stabilized insulin analogs
Ostergaard, Soren; Olsen, Helle Birk; Kaarsholm, Niels C.; Madsen, Peter;
Jakobsen, Palle; Ludwigsen, Svend; Schluckebier, Gerd; Steensgaard, Dorte
Bjørre: Petersen, Anders Klarskov
Novo Nordisk A/S, Den.
PCT Int. Appl., 473 pp.
CODEN: PIXXD2
Patent
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FAN. CNT 1
PATENT NO.
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W: AE, AG,
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EP 1610812

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AT, AU, AZ, BA,
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BB, BG, BR, E
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2 20040923 CA 2004-2522818 20040311
1 DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
20060221 BR 2004-8229 20040311
2 20060614 CN 2004-8209 20040311
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2 20050310 US 2005-227760 20050912
2 20051117 NO 2005-4555 20051004
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                                          US 2006069013 Al 20060330 US 2005-227760 20050912 NO 2005004555 A 20051117 NO 2005-4555 20051004 DK 2003-265 A 20030311 US 2003-45560P P 20030317 WO 2004-DK158 A 20040311 PARPAT 141:301422 PAR
                                                   333310-18-37 (Synthetic preparation); TRU (Therapsutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic ligands for acid-stabilized insulin
    analogs)
RN 333410-16-5 CAPLUS
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Benzoic acid, 4-[3-[(2,4-dioxo-5-thiazolidinylidene)methyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RE. CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 52 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Crohn's disease, spastic or irritable colon, arthritis, asthma, pain, immune dysfunction, headache, pain, neurodegenerative diseases, Alheimer's disease, eating disorders, anorexia nervoxa, drug addiction, drug and alc. withdrawal symptoms and stress-induced psychotic episodes. Thus, TH-pyrrolo[2, 3-d]pyrimidine II [R4 = N(Et)Bu] was prept. in 81% yield via an amination reaction of the corresponding chloride II (R4 =

with N-ethylbutylamine. The prepd. 7H-pyrrolo[2,3-d]pyrimidines were tested for CRF receptor binding activity, and drug delivery compns. were

157285-55-79
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapsutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 7H-pyrrolo[2,3-d]pyrimidines for use in pharmaceutical compns. as corticotropin-releasing factor antagonists) 157285-55-7 CAPLUS Benzoic acid, 4-[4-(butylethylamino]-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-7-y1]-3,5-dimethyl- (9CI) (CA INDEX NAME)

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 21

	APLUS C	OPYRIGHT	2006 ACS on STN	
2004:580668 CAPLUS				
141:123652				
Preparation of 7H-p	vrrolo[2	, 3-d)pyr:	imidines for use in phar	maceutical
compositions as cor	ticotrop	in-releas	sing factor (CRF) antago	nists
			,	
	-in-nart	of U.S.	Ser. No. 991.764, aband	oned.
	p			
	KIND	DATE	ADDITION NO	DATE
TATELLI NO.				
119 6765009		20040720		19950614
				19931112
				19931112
				19931206
				19931206
	A3	19931206		
MARPAT 141:123652				
	2004:380668 CAPLUS 141:123652 Preparation of 7H-p compositions as cor Chen, Yuhpyng Liang Pfizer Inc., USA U.S., 25 pp., Cont. CODEN: USXXXAM Patent English CNT 2 PATENT NO. US 6765008 WO 9413676 W: AU, BR, CA,	2004:380668 cAPLUS 141:123652 Preparation of 7H-pyrrolo[2 compositions as corticotrop Chen, Yuhpyng Liang Pfizer Inc., USA U.S., 25 pp., Contin-part CODEN: USXCAN Patent English CNT 2 PATENT NO. KIND US 6765008 B1 W: AU, BR, CA, CE, JP, RW: AT, BE, CH, DE, DK, IL 119462 A1 IL 119462 A1 IL 119462 A1 IL 119462 A1 US 1992-991764 B2 WO 1993-US10715 B2 WO 1993-US10715 B2	2004:380668 CAPLUS 141:12352 Preparation of 7H-pyrrolo[2,3-d]pyrcompositions as corticotropin-releachen, Yuhpyng Liang Pfilzer Inc., USA U.S., 25 pp., Contin-part of U.S. CODEN: USXCAM Patent English CNT 2 PATENT NO. US 6765008 M: AU, BR, CA, CZ, JP, KR, NO, RY: AT, BE, CH, DE, DK, ES, FR, IL 119462 A1 20000229 IL 119463 A1 20100229 A1 2010023 A1	141:123652 Preparation of 7H-pyrrolo[2,3-d]pyrimidines for use in phar compositions as corticotropin-releasing factor (CRF) antago Chen, Yuhpyng Liang Pfizer Inc. USA U.S. 22 pp., Contin-part of U.S. Ser. No. 991,764, aband CODEN: USXCAM Patent English CMT 2 US 6765008 B1 20040720 US 1995-448539 MO 9913676 A1 19940623 WO 1993-US10715 W 1995-448539 IL 199461 A1 20000229 IL 1993-US10715 US 1992-991764 A1 20000229 IL 1993-119461 IL 119462 A1 20000229 IL 1993-119462 US 1992-991764 B2 19921217 WO 1993-US10715 W 19931112 LL 1993-107897 A3 19931112

7H-Pyrrolo[2,3-d]pyrimidine derivs., such as I [R3 = H, OH, SH, NH2, halogen, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, etc.; R4 = alkyl, alkylamino, ezyl, alkyoxy, etc.; R5, R6 = H, NH2, CN, alkyl, halogen, alkoxy, alkylamino, carboxy, carboxamide, etc.; R7 = aryl, heteroaryl, etc.], were prepared for therapeutic uses as CR7 receptor antagonists for the treatment of inflammation, stress, anxiety and ted

antagonists for the treatment of inflammetron, stress, sincery since related diseases and disorders. These compds, were claimed for use in the treatment of gastrointestinal disorders, inflammatory disorders, mental, neural and central nervous system diseases and disorders, fertility disfunctions, cancer, HIV infection, stress-induced depression, fatigue syndrome, stress-induced psychotic episodes, irritable bowel syndrome,

ANSWER 53 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:550870 CAPLUS

141:106476

Preparation of heterocyclic compounds as ligands for stabilizing insulin

compositions
Kaarsholm, Niels Christian; Madsen, Peter; Schlein, Morten; Olsen, Helle
Birk; Havelund, Svend; Steensgaard, Dorte Bjerre; Ludvigsen, Svend;
Jakobsen, Palle: Petersen, Anders Klarskov; Schluckebier, Gerd
Novo Nordisk A/S, Den.
PCT Int. Appl., 432 pp.
CODEN: PIXKD2 IN

PA SO

DT Patent LA English FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004056347 WO 2004056347 A2 A3 20040708 20040812 WO 2003-DK931 20031222 AU 2003291972 A1 20040714 AU 2003-291972 20031222
EP 1585541 A2 20051019 EP 2003-67488 20031222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, FT, IE, SI, LT, V, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005065066 A1 20050324 US 2004-825995 20040416
DK 2002-1991 A 20021220
US 2003-439382P P 20030110
WO 2003-DR5931 W 20031222
HARPAT 141:106476

PRAI DK 2002-1991 US 2003-439382P WO 2003-DK931

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The present invention provides pharmaceutical compns. comprising insulin and novel ligands for the His B10 Zn2+ sites of the R-state insulin hexamer. The ligands belong to different subclasses of compds., e.g., benzotriazoles, 3-hydroxy-2-naphthoic acids, salicylic acids, tetrazoles, thiszolidinediones, 5-mercaptotetrazoles, or 4-cyano-1,2,3-triazoles. Methods for preparing the various classes of ligands included amidation, condensation, and coupling reactions. Compds. of the invention I-IX were evaluated for affinity to the zinc site with Kd values ranging from 3-3,879 mM. Addml., I-IX were evaluated for retention of fast absorption characteristics of formulations stabilized by addition of ligands and ical chemical

ical stability of insulin formulations. The resulting prepns. have improved phys. and chemical stability. 333410-16-59

333410-18-39
RI: MOA (Modifier or additive use); SPN (Synthetic preparation); TEU
(Therapsutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of heterocyclic zinc-binding ligands for use as stabilizing

agents for insulin compns.)
333410-16-5 CAPLUS
Benzoic acid, 4-[3-[(2,4-dioxo-5-thiazolidinylidene)methyl]-2,5-dimethyl-lH-pyrrol-1-yl]- (9CI) (CA INDEX NAME) RN CN

52034-38-5

ANSWER 54 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

HI

Title compds. I [R1 = amino, alkylamino, cycloalkylamino, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl; R4 = B, alkenyl, alkynyl, etc.; R5 = H, alkyl; A = carbonylamino, aminocarbonyl, with provisos; B = (un)substituted benzimidazol, 4-azabenzimidazol, 1-azanaphthalene, etc.) and their formulations and pharmaceutically acceptable salts were ared prepared

ared ared commercions and pharmaceutically acceptable 8alts were ared for example, coupling of 3-methyl-4-(2,5-dihydropyrrol-1-ylcarbonyl)benzoic acid and amine II, e.g., prepared from 4-chloro-o-phenylenediamine in 6-steps, afforded chlorobenzimidazole III. Compds. I were claimed useful as antithrombotic agents. 720000-41-9, 4-(4-0x0-4,5,6,7-tetrahydroindol-1-yl)benzoic acid 720000-56-6 720000-57-7, 4-(4-0x0-4,5-dihydropyrrol-1-yl)benzoic acid 720000-56-6 720000-57-7, 4-(4-0x0-4,5-dihydropyrrol-[3,2-c]pyridin-1-yl)-3-trifluoromethylbenzoic acid RL: RCT (Reactant) or reagent) (preparation of 5-chlorobenzimidazoles and related compds. as blood-ocagulation factor X at inhibitors) 720000-41-9 CAPIUS Benzoic acid, 4-(4,5,6,7-tetrahydro-4-oxo-1H-indol-1-v1)- (9CI) (CA

RN CN INDEX Benzoic acid, 4-(4,5,6,7-tetrahydro-4-oxo-1H-indol-1-yl)- (9CI) (CA NAME)

CO2H

720000-44-2 CAPLUS
Benzoic acid, 3-chloro-4-(4,5,6,7-tetrahydro-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

ANSMER 54 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:546486 CAPLUS 141:106470 Preparation of 5-chlorobenzimidazoles and related compounds as L9 AN DN TI rreparation of 5-chlorobenzimidazoles and related compounds as blood-coagulation factor Na inhibitors.
Priepke, Henning; Pfau, Roland; Gerlach, Kai; Gillerd, James; Bauer, Eckhart; Wienen, Wolfgang; Handschuh, Sandra; Nar, Herbert Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; Dahmann, Georg PCT Int. Appl., 502 pp.
CODEN: PIXXD2 IN PA SO

DT

DT Patent LA German FAN.CNT 2 PATENT NO. NO. KIND DATE APPLICATION NO. DATE

1036784 Al 20040708 NO.2003-EP14195 20031213
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EZ, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, MK, MX, NI, NO, NZ, OM, PG, PH, PI, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TT, TZ, LQ, UG, US, UZ, VC, VN, YU, AZ, AZ, AZ, XY, EBY, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, NR, NE, SN, TD, WO 2004056784 ΡI

DE 10259407 A1 20040701 DE 2002-10259407 20021219
DE 10335545 A1 20050602 DE 2003-10335545 20030802
CA 2510846 AA 20040708 CA 22003-2510846 20031213
AU 2003292239 A1 20040714 AU 2003-292239 20031213
EP 1575925 A1 20050921 EP 2003-767800 20031213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, JU, NL, SE, MC, PT, IE, SI, LT, LY, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2005514987 T2 2006518
DE 2003-10335545 A 20030602
WO 2003-EP14195 W 20031213
MARPART 141:106470

PRAI DE 2002-10259407 DE 2003-10335545 WO 2003-EP14195 OS MARPAT 141:106470

720000-56-6 CAPLUS
Benzoic acid, 4-[2-[(dimethylamino)methyl]-1H-indol-1-yl]-3(trifluoromethyl)- (9CI) (CA INDEX NAME)

720000-57-7 CAPLUS
Benzolc acid, 4-(4,5-dihydro-4-oxo-lH-pyrrolo(3,2-c)pyridin-1-yl)-3(trifluoromethyl)- (9CI) (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 5

ANSWER 55 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:467690 CAPLUS 141:17579 L9 AN DN TI 141:17579
Substituted N-phenylpyrrole compounds for inhibition of HIV infection by blocking HIV entry Jiang, Shibo: Debnath, Asim Kumar New York Blood Center, USA PCT Int. Appl., 61 pp. CODEM: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004047730 WO 2004047730 A2 A3 20040610 20040916 20031112 WO 2003-US36359 ΡI 20040916

W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GH, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MM, MK, MZ, MI, NO, MZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EC, ST, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 2003294275 A1 20040618 AU 2003-294275 20031112 1567491 A2 20050831 EP 2003-789757 20031112 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK 200416427 A1 20040617 US 2003-706027 20031113 2002-428055P P 20021121 2003-US363559 W 20031112 20040618 20050831 AU 2003-294275 EP 2003-789757 AU 2003294275 EP 1567491 US 2004116427 PRAI US 2002-428055P WO 2003-US36359 MARPAT 141:17579

A group of compds. that inhibit HIV replication by blocking HIV entry was identified. Two representative compds., designated NB-2 (I] and NB-64 (II), inhibited HIV replication (p24 production) with LCSO values < 0.5 $\mu g/mL$. It was proved that NB-2 and NB-64 are HIV entry inhibitors by

ANSWER 55 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) ANSWER 55 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) targeting the HIV gp41 since: (1) they inhibited HIV-mediated cell

fusion;
(2) they inhibited HIV replication only when they were added to the cells less than one hour after virus addn.; (3) they did not block the

gp120-CD4
gp120-CD4
gp14
gp15
gp16
gp17
gp17<

they blocked the formation of the gp41 core that is detected by sandwich enzyme linked immunosorbent assay (ELISA) using a conformation-specific Mab NC-1; (6) they inhibited the formation of the gp41 six-helix bundle revealed by fluorescence native-polyacrylamide gel electrophoresis (FN-PAGE); and (7) they blocked binding of D-peptide to the hydrophobic cavity within gp41 coiled coil domain, modeled by peptide IGN17. These results suggested that NB-2 and NB-64 may interact with the hydrophobic cavity and block the formation of the fusion-active gp41 coiled coil domain, resulting in inhibition of HIV-1 mediated membrane fusion and virus entry.

33242-68-5, NB 64 674782-30-0, NB 2
RL: DNA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); TNO (Therapeutic use); BIOL (Biological study); USES (USES)

USES (Uses)

USES (USES)
(M-phenylpyrrole derivs. for inhibition of HIV infection)
53242-68-5 CAPUMS
Benzoic acid, 2-chloro-5-(1H-pyrrol-1-y1)- (9CI) (CA INDEX NAME)

674782-30-0 CAPLUS Benzoic acid, 4-{2,5-dimethyl-1H-pyrrol-1-yl}-2-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 56 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:419905 CAPLUS 141:2218293 Identification of Selective Inhibitors for the Glycosyltransferase Murg via High-Throughput Screening Hu, Yanan; Helm, Jeremish S.: Chen, Lan; Ginsberg, Cindy: Gross,

Kraybill, Brian; Tiyanont, Kittichoat; Fang, Xiao; Wu, Tao; Walker,

cs so

Kraybill, Brian; Tiyanont, Kittichoat; Fang, Xiao; Wu, Tao; Walker, Suzanne
Department of Chemistry, Princeton University, Princeton, NJ, 08544, USA
Chemistry & Biology (2004), 11(5), 703-711
CODEN: CBOLE2; ISSN: 1074-5521
Cell Press
Journal
English
Nucleotide-glycosyltransferases (NDP-Gtfs) play key roles in a wide range of biol. processes. It is difficult to probe the roles of individual glycosyltransferases or their products because, with few exceptions, selective glycosyltransferase inhibitors do not exist. Here, the authors investigate a high-throughput approach to identify glycosyltransferase inhibitors based on a fluorescent donor displacement assay. The authors have applied the acceent to E. Coli Murg, an enzyme that is both a potential antiblotic target and a paradigm for a large family of glycosyltransferases. The authors show that the compds. identified in

the donor-displacement screen of MurG are selective for MurG over other enzymes that use similar or identical substrates, including structurally related enzymes. The donor displacement assay described here should be adaptable to many other NDP-Gtfs and represents a new strategy to identify

ify
selective NDP-Gtf inhibitors.
347385-19-7 347389-31-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(identification of selective inhibitors for glycosyltransferase MurG
via high-throughput screening)
347385-19-7 CAPLUS
1,3-Benzenedicarboxylic acid, 5-[3-[[1-(2-fluorophenyl)tetrahydro-4,6-

dioxo-2-thioxo-5(2H)-pyrimidinylidene}methyl}-2,5-dimethyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

ANSWER 56 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 347389-31-5 CAPLUS 1,3-Benzenedicarboxylic acid, 5-[3-[[1-(4-chlorophenyl)tetrahydro-4,6-

dioxo-2-thioxo-5(2H)-pyrimidinylidene]methyl}-2,5-dimethyl-1H-pyrrol-1-yl}(9CI) (CA INDEX NAME)

347387-81-9

38:38:38.39
RL: PAC (Pharmacological activity); PRP (Properties); TRU
(Therapeutic use); BIOL (Biological atudy); USES (Uses)
(identification of selective inhibitors for glycosyltransferase MurG
via high-throughput acreening)
34:387-81-9 CAPLUS

1,3-Benzenedicarboxylic acid, 5-(3-[[1-(3-chlorophenyl)tetrahydro-4,6-

dioxo-2-thioxo-5(2H)-pyrimidinylidene]methyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 57 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:392451 CAPLUS
DN 140:395537
New formulations of injectable particles for intra-articular injection containing therapeutic compositions
Giroux, Karen; Butz, Robert F.
PA Polymerix Corporation, USA
PCT Int. Appl., 40 pp.
CODEN: PICKD2
T Patent
LA English
FAN.CHT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

KIND

WO 2003-US34183 W 20031028
The present invention provides new formulations of injectable particles (e.g. microspheres) useful for intra-articular (i.a.) injection. The formulations are made of biocompatible polymers that biodegrade to generate NSAIDS, ad are useful for treating inflamed joints, thus providing safe, long-lasting relief of joint pain and swelling. In one embodiment, the present invention provides an injectable particle, comprising a biodegradable polymer comprising an agent selected from the group consisting of an NSAID, a COX-2 inhibitor, an anesthetic and a narcotic analgesic. Injectable mcirospheres containing salicylic acid

prepared and their efficacy in reducing joint swelling and serum ovalbumin

oumin
antibody was shown in rabbits.
53597-27-6, Fendosal
RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
[new formulations of injectable particles for intra-articular injection

containing therapeutic compns.)
53597-27-6 CAPLUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAMZ)

L9 ANSWER 56 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 48

ANSWER 57 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

10/706,027 Page 49

140:375196 DATE OF ALL ANSWER 58 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:354923 CAPLUS 140:375196 IN PA SO DT Patent LA English FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE

200403556 A1 20040429 W0 2003-EP11423 20031014
W1 AB, AG, AL, AH, AT, AU, AB, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DH, DZ, EC, EZ, EG, ES, FI, R, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IN, IS, JP, KE, KG, KP, FR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, NH, MW, MC, MZ, NI, NH, NK, CM, PH, PL, TR, OR, US, SD, SE, SG, SK, SI, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, FT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, CQ, GW, ML, MR, NE, SN, TD, TG
2502249 A2 20040504 AU 2003-2502249 20031014
20031015283 A 20050831 BR 2003-15283 20031014
1567511 A1 20050831 EP 2003-172221 20031014 A1 20031014 WO 2004035556 ΡI CA 2502249 AU 2003280380 BR 2003015283 EP 1567511 1367511 A1 20050831 EP 2003-772221 20031014
R: AT, 8E, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
1726201 A 20060125 CN 2003-80106014 20031014
2005001689 A 20050707 NO 2005-1689 20030405
2006023404 A1 20050202 US 2005-531758 20050414 CN 1726201 CN 1726201 JP 2006508935 NO 2005001689 US 2006025404 PRAI GB 2002-24084 WO 2003-EP11423 OS MARPAT 140:375196 GI 20060202 20021016 20031014

ANSWER 58 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L9 ANSWER 58 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The title compds. [I; R1 = H, alkyl, alkoxy, etc.; Z = a bond, CO, (un) substituted CONH, SO2; p = 1-2; m, n, r = 0-2; R2 = halo, alkyl, alkoxy, etc.; R3 = (CR2) φ NR112, II (wherein q = 2-4; R1l, R12 = alkyl, cycloalkyl; NR11R12 = heterocyclyl; R13 = H, alkyl, cycloalkyl, etc.; R1 = halo, alkyl, heloalkyl, etc.; f, k = 0-2; q = 0-2; h = 0-3, such that and h cannot both be 0); R4 = H, alkyl such that when r = 2, two R4

and h cannot both be 0); R4 = H, alkyl such that when r = 2, two R4 groups may instead be linked to form CH2, (CH2)2, (CH2)3; with the provises], useful in the treatment of neurodegenerative disorders including Altheimer's disease, and inflammatory diseases of the upper respiratory tract, were prepared Thus, reacting 1-[4-(3-piperidin-1-ylpropoxylbenzyl)piperazine.3HCl (preparation given) with benzoic acid afforded 778 III which was tested in the histamine H3 functional antagonist assay and showed pkb of > 6.5. The pharmaceutical composition comprising the compound

nzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 59 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:333850 CAPLUS 140:355836

140:355836

High-mannose oligosaccharide cluster conjugated with immunogenic protein for use as HIV vaccines

Wang, Lai-xi
University of Maryland Biotechnology Institute Off. of Research Admin./
Tech. Dev., USA
FCT Int. Appl., 68 pp.
CODEN: PIXXD2
Patent
English
CNT 1

so

ENT 1
PATENT NO.

KIND DATE APPLICATION NO.

LATE

APPLICATION NO.

LATE

100 2004033663 A2 20040422 W0 2003-US32496 20031014

W0 2004033663 A3 20060316

W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, 2A, ZM, ZW

RI: GH, CM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, KD, RR, HU, EE, IT, LU, NC, NL, PT, RO, SE, SI, SK, TS, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO

CA 2504755 AA 2004022 CA 2003-2504755 20031014

EP 1572963 A2 20050914 EP 2003-774819 20031014

EP 1572963 A2 20050914 EP 2003-774819 20031014

US 2003-417764P P 20031014

The present invention relates to a constructed oligosaccharide cluster, invention relates to a constructed oligosaccharide cluster, NT 1 PATENT NO. APPLICATION NO. KIND DATE DATE US 2003244424 AI ZUUJIUJ US 2003-1174 P 20021011 WO 2002-417764P P 20021011 WO 2003-US32496 W 20031014

AB The present invention relates to a constructed oligosaccharide cluster, optionally bonded to an immunogenic protein, that can be administered to

subject to induce an immune response for increasing production of 2G12

used in assays as reactive sites for determining compds. that inactivate

bind the high-mannose oligosaccharide cluster. The high-mannose oligosaccharide cluster comprises 22 high-mannose oligosaccharides attached a scaffolding framework of monosaccharide, cyclic peptide,

organic compound or 11-bis-maleimidetetraethyleneglycol. The high-manose

mannose oligosaccharide that mimics high-mannose N-glycan of HIV-1 gp120

ilses
Man9, Man8, Man7, Man6, Man5 or a combination thereof. The high-mannose
oligosaccharide of the invention is derived from soybean agglutinin or
chemical synthesized. The immunogenic protein is keyhole limpet

hemocyanin, tetanus toxoid, diphtheria toxoid, bovine serum albumin, ovalbumin, thyroglobulin, myoglobin, cholera toxin β -subunit, Ig. and/or tuberculosis purified protein derivative Compns. comprising these

methods of using these clusters and compns. are disclosed. 674782-30-0, NB 2 RL: TMU (Therapsutic use); BIOL (Biological study); USES (Uses)

- ANSWER 59 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (high-mannose oligosaccharide cluster conjugated with immunogenic protein for use as HIV vaccines) 674782-30-0 CAPLUS L9
- Benzoic acid, 4-(2,5-dimethyl-lH-pyrrol-l-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 AN DN TI ANSWER 60 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:291950 CAPLUS 140:315042 140:315042
Pinl-modulating compounds and methods of use for the treatment of
Pinl-associated diseases, including cancer
McKee, Timothy D.: Suto, Robert K.; Tibbitts, Thomas; Sowadski, Janusz
Pintex Pharmaceuticals, Inc., USA
PCT Int. Appl., 166 pp.
CODEN: PIXXD2
Patent DT Patent LA English FAN.CNT 1 DT Patent
LA English
FAN.CHT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2004028535 A1 20040408 WO 2003-U86675 20030303

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EZ, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KE, KG, KF, KR, KE, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NM, MW, MX, NO, NZ, GM, PH, FL, PT, RO, RU, SC, SD, SE, SG, SK, LS, LT, LT, TH, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RN: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BZ, BG, CH, CY, CZ, DZ, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SZ, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

AU 2003225669 A1 200401628 US 2003-379408 20030303

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, UJ, NL, SE, MC, PT, TE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRAI US 2002-414077P P 20020926

WO 2003-US6675 W 2003030303

OS MARPAT 140:315042 us 2002-9140/IP P 20020920
wo 2003-US6675 w 20030303
MARPAT 140:315042
The invention is directed to modulators, e.g., inhibitors, of Pinl and Pinl-related proteins and the use of such modulators for treatment of associated states, e.g., for the treatment of cancer. Synthetic methods included included.
676534-28-7
RL: PAC (Pharmacological activity); TMU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(Pin1-modulating compds. for treatment of Pin1-associated diseases,
including cancer)
676654-28-7 CAPLUS
3-Thiazolidinebutanoic acid, 5-[[1-(4-carboxyphenyl)-2,5-dihydroxy-1Hpyrrol-3-yl]methylene]-4-oxo-2-thioxo- (9CI) (CA INDEX NAME) IT

ANSWER 61 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:267336 CAPLUS 140:303699
Preparation of triazaspiro[5.5]undecane derivatives as chemokine receptor CCR5 antagonists and drugs comprising the same as the active ingredients Takaoka, Yoshikazu; Nishizawa, Rens; Shibayama, Shiro; Sagawa, Kenji; IN Takaoka, Toshikazu/ Nishizawa, Kena; Matsuo, Masayoshi Ono Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 288 pp. CODEN: PIXXD2 Patent Japanese DT Pau LA Japanes FAN.CNT 1 PATENT NO. PRAI os GI

$$R^{1-N}$$
 R^{2}
 R^{3}
 R^{4}
 R^{4}

AB The title compds. [I; R1 = (a) each (un) substituted and partially or completely saturated C3-15 mono-, di-, or tricarbocyclic aryl or 3- to 15-membered mono-, di-, or triheterocyclic aryl latter containing heteroatoms selected from 1-4 N atoms, 1 or 2 O atoms, and/or 1 or 2 S atoms, or (b) C1-8 alkyl, C2-4 alkenyl, or C2-4 alkynyl each substituted by 1-3 substituents selected from each (un) substituted HO, acyl, NH2, COMH2, acylamino, sulfonylamino, :NH, and :NOH; R2 = H, C1-8 alkyl, C2-8

ANSWER 61 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) C2-8 alkynyl, each (un)substituted Ph, pyridinyl, or C3-8 cycloalkyl, group (b): R3, R4 = (1) H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, or L9

(11) C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl each substituted by 1-5 substituents selected from group (a), NO, and tetrahydropyran-4-ylidene), quaternary ammonium salts, N-oxides, or salts thereof are prepd. These compds. are useful in preventing and/or treating various inflammatory diseases (asthma, nephritis, nephropathy, hepatitis, arthritis,

compds. are useful in preventing and/or treating various inflammatory diseases (asthma, nephritis, nephropathy, hepatitis, arthritis, rheumatoid arthritis, chinitis, conjunctivitis, ulcerative colitis, etc.), immune diseases (autoimmune disease, transplant rejection, immune suppression, psortasis, multiple sclerosis, etc.), infection with human immunodeficiency virus (acquired immune deficiency syndrome), allergic diseases (atopic dermatitis, urticaria, allergic bronchopulmonary aspergillosis, allergic eosinophilic gastroenteritis, etc.), ischemic reperfusion injury, acute respiratory distreas syndrome, shock accompanying bacterial infection, diabetes, caner metastasis, etc. (no data). They are improved in bioavailability when administered orally, merabolic stability, liver or systemic clearance, or affinity for chemokine receptor CCR compared to prior art compds. and exhibit very low toxicity. Thus, 1-benzyl-4-piperidone, (2R, 2R)-2-(tett-butoxycarbonylamino)-3-cyclohexyl-3-hydroxypropanoic acid, n-butylamine, and 2-(morpholin-4-yl)ethyl isocyanide were stirred in MeOH at 50° overnight to give, after workup, 1-benzyl-4-[2-(morpholin-4-yl)ethylaminocarbonyl-4-(N-butyl-N-(12R, 3R)-2-amino-3-hydroxy-3-cyclohexylpropanoyl]aminojpiperidine which was stirred in AcOH at 70° for 1 h to give, after workup, (3R)-1-butyl-2,5-dioxo-3-[(1R)-1-hydroxy-1-cyclohexylmethyl]-9-phenylmethyl-1,4,9-tritazaspiro[5,5]undecane (1T). A tablet and an ampule formulation contg. specific compd. I were described.

476450-75-29

RL: PAC (Pharmacological activity): SPN (Synthetic preparation): INES

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of triazaspiro[5.5]undecame derivs. as chemokine receptor CCR5

ptor CCRS
antagonists and drugs)
676450-75-2 CAPLUS
Benzoic acid, 4-[3-[([3R)-1-butyl-3-[(R)-cyclohexylhydroxymethyl]-2,5-dioxo-1,4,9-trizazapiro[5.5]undec-9-yl]methyl]-2,5-dimethyl-1H-pyrrol-1-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 62 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:252478 CAPLUS 140:264479 140:264479
Gl-phase arresting compounds for inducing increased levels of B-chemokines
Redfield, Robert R.; Amoroso, Anthony; Davis, Charles E.; Heredia, Alonsa University of Maryland Blotechnology, USA
PCT Int. Appl., 76 pp.
CODEN: PIXXD2
Patent DT LA FAN Patent English .CNT 1

											APPL									
							-													
PI						A2					WO 2	003-1	20030912							
	WO	2004024683				A3		20040701												
		W:	AE,	AG,	AL.	AM.	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ.	CA,	CH,	CN,		
								DK,												
								IN.												
			LS.	LT.	LU.	LV.	MA.	MD.	MG.	MK.	MN.	MW.	MX.	MZ.	NI.	NO.	NZ.	OM.		
								RU.												
								US,												
		RW:						MZ,								AM.	AZ.	BY.		
								TM,												
								IE,												
	CA	2498934				CG, CI, CN, GA,				CA 2003-2498934										
									AU 2003-266152											
											EP 2003-795698									
								ES,												
		•••																		
	119										CY, AL, TR, BG, CZ, US 2005-527904									
		US 2002-410714P									03 2003-327904					20030707				
PRAI																				
	WO 2003-US28697					v		2003	0912											

The present invention relates to methods for inducing increased levels

and availability of β -chemokines by administering to a subject at least 1 G1-phase arresting compound, wherein the increased levels and availability of β -chemokines block chemokine/viral receptors thereby preventing or treating viral infections. The secretion of the β -chemokines by peripheral blood mononuclear cells in response to the activation started before lymphocytes entered the DNA synthesis phase of the cell cycle (S phase), reaches a peak by day 3 or 7 and then declined to low levels.

The antivial activity is due the presence of the B-chemokines RANTES, and MIP proteins. 674782-30-0 IT

RL: PAC (Pharmacological activity); TRU (Therapeutic use); BIOL (Biological study); USES (Uses) (G1-phase acresting compds. for inducing increased levels of

β-chemokines) 674782-30-0 CAPLUS

Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX

ANSWER 61 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

HC1

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 62 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

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L9 ANSWER 63 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:182845 CAPLUS
DN 140:217519
Preparation of quinoline derivatives as TGFB inhibitors
Shimizu, Kiyoshi; Shimizu, Toshiyuki; Kimura, Kaname; Kawakami, Kazuki;
Nakoji, Hasayoshi
PA Kirin Beer Kabushiki Kaisha, Japan
PCT TLT. Appl., 628 pp.
CODEN: PIXXD2
P atent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
          CN 1688549
US 2006111375
PRAI JP 2002-244028
WO 2003-JP10647
                                                                             20020823
20030822
             MARPAT 140:217519
```

The title compds. I [wherein X = CH or N; Z = 0, NH, S, or CO; R and R' = independently H, halo, (un)substituted alkyl, alkenyl, NH2, CONH2, OH, or heterocyclyl; A = (un)substituted? Ah or (heterocyclyl) to repharmaceutically acceptable salts, or solvates thereof are prepared as transforming growth factor (TGF) β inhibitors. For example, 4-chloro-6,7-dimethoxyquinoline was reacted with 2-benzylphenol in 1,2-dichlorobenzene to give 4-(2-benzylphenoxy)-6,7-dimethoxyquinoline (10%). Some of compds. I inhibited 100% of human TGF β at 10 $\mu\rm M$. S2242-70-9 (10%). Some of compds. I inhibited 100% of hu 53242-70-9 RL: RCT (Reactant): RACT (Reactant or reagent)

ANSWER 64 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
2004:41217 CAPLUS
140:111135
Preparation of nitrosated nonsteroidal antiinflammatory compounds
Earl, Richard A.; Ezawa, Maiko; Fang, Xinqin; Garvey, David S.; Gaston,
Ricky D.; Khanapure, Subhash P.; Letts, Gordon L.; Lin, Chia-En;
Ranatunge, Ramani R.; Richardson, Stewart K.; Schroeder, Joseph D.;
Stevenson, Cheri A.; Wey, Shlow-Jyi
Nitromed, Inc., USA
PCT Int. Appl., 145 pp.
CODEN: PIXXD2
Patent
English
CNT 1

FAN.	CNT	1																
						KIND DATE												
PI	WO 2004004648 WO 2004004648							20040115										
		W:	CO, GM, LS, PL,	CR, HR, LT, PT,	CU, HU, LU, RO,	CZ, ID, LV, RU,	DE, IL, MA, SC,	DK, IN, MD, SD,	AZ, DM, IS, MG, SE, YU,	DZ, JP, MK, SG,	EC, KE, MN, SK,	EE, KG, MW, SL,	ES, KP, MX,	FI, KR, MZ,	GB, K2, NO,	GD, LC, NZ,	GE, LK, OM,	GH, LR, PH,
			KG, FI, BF,	K2, FR, BJ,	MD, GB, CF,	RU, GR, CG,	TJ, HU, CI,	TH, IE, CH,	SD, AT, IT, GA,	BE, LU, GN,	BG, MC, GQ,	CH, NL, GW,	CY, PT, ML,	CZ, RO, MR,	DE, SE, NE,	DK, SI, SN,	EE, SK, TD,	ES, TR, TG
	CA	2491	127			AA		2004	0115		CA 2	003-	2491	127		2	0030	703
	AU 2003247792			A1		20040123 20040205		AU 2003-247792 US 2003-612014						20030703 20030703				
				A1														
	EP	1539	729			A2		20050615			EP 2003-763193			93		20030703		
		R:	AT.	BE,	CH.	DE.	DK.	ES.	FR,	GB,	GR.	IT.	LI.	LU.	NL.	SE.	MC,	PT.
		2005 2005	5390	89		T2		2005	МК, 1222 1006		JP 2	004-	5626	19		2	0030	
DDAT	110	2002	-202	1110		~		2002			03 2	003-	1343	30		-	0030.	J2 J
1104		2002																
	119	2002	-418	353D		'n		2002	1016									
		US 2002-418353P US 2003-449798P																
		US 2003-456182P						2003										
		2003						2003										
		2003				¥		2003										
os		RPAT				-		• •										

Title compds. RnRmMC-CO-X (Rm = H, alkyl; Rn = 4-((thiophen-2-yl)carbonyl)phenyl, 3-(benzoyl)phenyl, etc.; X = Y-alkyl-aryl, etc.; Y = O, S; Il are prepared For instance, naproxen is coupled to 2,2'-thiodiethanol (CH2Cl2, DMAP, EDCI) and treated with Ac2O/HNO3 at

11

- ANSWER 63 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued (prepn. of quinoline derivs. as TGFB inhibitors) 53242-70-9 CAPLUS Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME) L9 (Continued)



RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 64 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) O't o give II. I are nitrosated nonsteroidal antiinflammatory drugs (NSAIDs) used alone or are combined with one compd. that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide synthase. The invention provides methods for treating inflammation, pain, fever, gastrointestinal disorders, etc.

disorders, etc.
53597-27-6D, Fendosal, nitrosated derivs.
RL: THU (Therapsutic use); BIOL (Biological study); USES (Uses)
(combination pharmaceutical; preparation of naproxen-derived

osated
antinflammatory compds.)
53597-27-6 CAPLUS
Benzolc acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

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ANSWER 65 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2004:20650 CAPLUS 140:77035
        AN
DN
TI
                               140:77035

Preparation of (4-hydroxypiperidin-1-yl)arylcarboxamides as interleukin-4 production inhibitors for treatment of allergic diseases
Naito, Youichiro; Ushio, Hiroyuki; Hoshino, Yukio; Kagoshima, Hasahiko;
Oshita, Kouichi; Kataoka, Hirotoshi; Chiba, Kenji
Mitsubishi Pharma Corporation, Japan
PCT Int. Appl., 85 pp.
CODEN: PIXXD2
Patent
        IN
       DT Patent
LA Japanese
FAN.CNT 1
MIND DATE APPLICATION NO. DATE

PI WO 2004002948 A1 20040108 WO 2002-JP6666 20020628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CM, CM, CC, CR, CU, CZ, DE, DK, DM, DZ, EC, EZ, ST, IG, GD, GG, GH, CM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LA, LS, LT, LU, LW, MA, MD, MG, MK, MN, MM, MZ, MO, NZ, OM, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VM, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, NM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AN, AZ, BY, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, CM, GG, GW, ML, MR, NE, SN, TD, TG

AU 2002313309 A1 20040119 AU 2002-313309 20020628

PRAI WO 2002-JP6606 A 20020628

GI
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The title arylcarboxamides I [wherein R1 = halo, alkyl, alkoxy, NO2, OH, (un)substituted amino, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, or cycloalkenyl; ring O = (un)substituted benzene, cyclohexane, pyridine, pyrazine, pyridazine, furan, thiophene, oxazole, thiazole, or imidazole; R2 = H, alkyl, hydroxyalkyl, acyloxyalkyl, hydroxyarbonylalkyl, alkoxyarbonylalkyl, or (un)substituted aminoalkyl; Z = CH or N: R3 = halo, CN, NO2, NH2, alkyl, alkoxy, CO2H, alkoxycarbonyl, carbamoyl, alkenyl, alkynyl, or haloalkyl; R4 = H, halo, CN, or NO2; R5 = alkyl, hydroxyalkyl, hydroxyarbonylalkyl, alkoxy, haloalkoxy, aryloxy, cycloalkyloxy, hydroxyalkoxy, hydroxycarbonylalkoxy, SH, alkylthio,

ANSWER 66 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:991345 CAPLUS 140:42216 DN 140:42216
TI Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases
IN Muto, Susumur Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 418 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1 DATE APPLICATION NO. PATENT NO. KIND DATE | Martin No. | Mind | Date | Application No. | Date | Date | No. | Date | Da CN 1658872 US 2006122243 PRAI JP 2002-165148 WO 2003-JP7120 OS MARPAT 140:42216

The title compds. I [wherein X = a connecting group: A = H or acetyl: $E = \{un\}$ substituted aryl or heteroaryl: ring $Z = \{un\}$ substituted arene or heteroarene] and pharmaceutically acceptable salts, hydrates, and

heteroarene] and pharmaceutically acceptable saits, nyatect, and solvates thereof are prepared for the treatment of allergic diseases, endometriosis, and/or hysteromyoms (no data). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylanjicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxybenzamides, N-phenylhydroxypaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyqulnoxalinecarboxamide, and N-phenylhydroxydindolecarboxamide were prepared The compds. I exhibited inhibitory activities against IgE production, cell proliferation, and cell

degranulation.

ANSWER 65 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) hydroxyalkylthio, hydroxycarbonylalkylthio, (un)substituted aminoalkyl, aminoalkoxy, aminoalkylthio, OH, or MH2) or pharmaceutically acceptable salts thereof are prepd. For example, the compd. If was prepd. in a multi-step synthesis. II showed ICSO of 0.049 µM against interleukin-4 prodn. in rat. The compds. I are highly effective in inhibiting interleukin-4 prodn. in type-2 helper T cells, and are useful for the treatment of allergic diseases (no data). Formulations contg. I as an active ingredlent were also described. 22106-33-8, 4-(1-Pyrrolyl)benroic acid RL: RCT (Reactant): RACT (Reactant or reagent) (preparation of (hydroxypiperidinyl)arylcarboxamides for treatment of allergic diseases) 22106-33-6 CAPLUS Benroic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 10

ANSWER 66 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 53242-70-9 (Continued)

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of phenol or Ph acetate derivs. for treatment of allergic CAPLUS

Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 67 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:991339 CAPLUS 140:42204
                              140:42204
Preparation of immunity-related protein kinase inhibitors
Nuto, Susumu; Itai, Akiko
Institute of Medicinal Molecular Design. Inc., Japan
PCT Int. Appl., 401 pp.
CODEN: PIXXD2
Patent
Japanese
CNT 1
PATENT NO. KIND DATE APPLICATION NO.
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003103658 A1 20031218 WO 2003-JP7130 20030605

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MI, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, LT, JT, MT, NT, RT, TT, TZ, UM, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RN: GH, GM, KE, LS, NM, MZ, SD, SL, SZ, TZ, UG, ZM, EW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CT, CZ, DE, DK, EE, ES, FI, FR, GB, GR, KU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GA, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2487900 AA 2003242131 A1 20031222 AU 2003-2487900 20030605

AU 2003242131 A1 20031222 AU 2003-2487900 20030605

EP 1510210 A1 20050218 CA 2003-230840 20030605

ER: AT, BE, CH, DE, DK, ES, FR, GB, GR, LT, LI, LU, NL, SE, MC, FT, LE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK, CN 1658854 A 20050824 CN 2003-812919 20030605

FRAIJ P2 2002-164525 A 20020605

WO 2003-JP7130 W 20030605

SM ARRPAT 140:42204

GI
                                                                                                                                                                                                                                                                                                             APPLICATION NO.
                                                                                                                                                                             KIND
                                                                                                                                                                                                                                                                                                                                                                                                                                                                         DATE
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The title compds. I [X is a connecting group whose main chain has 2 to 5 atoms and which may have a substituent: A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl: and 2 are substituted between the control of the co

arene which may have a substituent in addition to the groups represented

the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above) or heteroarene which may have a substituent

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ANSWER 68 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:991338 CAPLUS 140:42203 Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives for preventive and/or therapeutic drugs for neurodegenerative diseases and epilepsy Muto, Susumus; Itai, Akiko Institute of Medicinal Molecular Design. Inc., Japan PCT Int. Appl., 278 pp. CODEN: PIXXD2 Patent Japanese CONT 1
PATENT NO. KIND DATE APPLICATION NO. OR.
                                                                                                                                                                                                                                                   DATE
                                                                                                                                                                                                                                                                                                                                                             APPLICATION NO.
                                              PATENT NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                DATE
                                                                                                                                                                                                        KIND
PATENT NO. KIND DATE APPLICATION NO. DATE

10031031657

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BB, BR, BY, BZ, CA, CH, CN, CG, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, CM, CM, HR, HU, ID, LI, IN, IS, JF, KE, KG, KR, KZ, LC, LK, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NM, MW, MX, MZ, NI, NO, NZ, OM, PR, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VM, YU, ZA, ZM, ZW

RW: GH, GM, KZ, LS, MW, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CT, CH, GA, GM, GO, GW, HL, MR, NE, SN, TD, TG

CA 2488979

AU 2003242124

AI 20031218

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LE, SI, LT, LV, FI, RO, MX, CY, AL, TR, BG, CZ, EE, HU, SK

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, SK, MC, PT, CN 153858

AI 20050204

BY 2002-169640

MO 2003-JP7128

MO 2003-JP7128

MO 2003-JP7128

MO 2003-DF7128

MO 2003-GDS
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AB Disclosed are preventive and/or therapeutic drugs for (1) neurodegenerative diseases including Alzheimer's disease and (2) epilepsy,
which contain as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable sails thereof, and hydrates and solvates of both [wherein A is hydrogen or acetyl: E is 2,5-or 3,5-disubstituted Ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused -polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2) unsubstituted thiazol-2-yl, and (3) unsubstituted benzothiazol-2-yl); and Z is arene which may have a substituent in addition

ANSWER 67 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) in addn. to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above)] are prepd. Compds. of this invention in vitro at 1 µg/mL gave 90% to 92.6% prepd. Compds. of this inventi-inhibition of NF- κB activation.

RE: RCT (Reactant); RACT (Reactant or reagent)
(preparation of immunity-related protein kinase inhibitors)
\$2422-70-9 CAPLUS
Benzoic acid, 2-hydroxy-5-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 68 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) to the groups represented by the general formulas: -0-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above) or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -0-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above)). These compds. I are effective for the prevention and/or treatment of Alzheimer's disease and (2) epilepsy based on the simultaneous inhibition of activated protein I (AP-1) and transcription factor NP-wB activation. The compds. I including N-phenylhydroxynaphthalenecarboxamide, N-phenyleyladicoxynaphthalenecarboxamide, N-phenyleyladicoxynaphthalenecarboxamide, N-phenyleyladicoxynaphthalenecarboxamide, N-phenyleyladicoxpoxamide derivs. exhibited the inhibition of (11 TNP-a-stimulated activation of NF-wB in Hep62 cells, (2) TNF-a-stimulated activation of Hela cells, and (3) the activation of AP-1 in Hep62 cells transfected with MEKK-1 expression plasmid. In an Alzheimer's model animal assay, N-[3,5-bis(trifluoromethyl)phenyl)-5-chloro-2-hydroxybenzamide inhibited the hippocampus.

S3242-70-9

RL: RCT (Reactant), RACT (Reactant or reagent)

53742-70-9
RE: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxybenzamide, naphthalenecarboxamide, and
hydroxyheterocyclecarboxamide preventive and/or therapeutic drugs for
Alzheimer's disease and epilepsy) 53242-70-9 CAPLUS

Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 69 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 2003:991336 CAPLUS 140:42202
       Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives as anticancer agents Muto, Susumu: Itai, Akiko Institute of Medicinal Molecular Design. Inc., Japan
        PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DT
       Patent
LA Japanese
FAN.CNT 1
PATENT NO.
           KIND
                                             DATE
                                                                 APPLICATION NO.
                                                                                                    DATE
       WO 2003103655
ΡI
       CA 2488974
       AU 2003242108
EP 1535610
       CN 1658856
US 2006014811
PRAI JP 2002-168332
WO 2003-JP7121
       MARPAT 140:42202
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Disclosed are drugs for the prevention and/or treatment of cancer, which contain as the active inspedient substances selected from the group consisting of compds. represented by the general formula (1), pharmacol. acceptable salts thereof, and hydrates and solvates of both [wherein A is hydrogen or acetyl; E is 2,5- or 3,5-disubstituted ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused-polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2) unsubstituted thiazol-2-yl, and (3) unsubstituted

ANSWER 70 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 2003:991335 CAPLUS 140:42201 140:42ZU1
Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives as transcription factor NF-x8 activation inhibitors
Muto, Susumu; Itai, Akiko
Institute of Medicinal Molecular Design. Inc., Japan
PCT Int. Appl., 286 pp.
CODEN: PIXXD2
Patent DT Patent LA Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE 1136544 A1 2031218 W0 2003-JPT119 20306053
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, LP, TP, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, E3, FI, FR, GB, GA, HU, IZ, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CT, CG, CT, CM, GA, GM, GQ, GW, MM, MX, MX, SN, TD, TG
1091 AA 20031218 CA 2003-242998 200306053
609 A1 20031225 AU 2003-242998 20030605669 A1 20031265 FR, GB, GR, TI, IL, LU, NL, SE, MC, PT, WO 2003103654 CA 2489091 AU 2003242098 AU 200324209e A1 20050601 EP 2003-730830 20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NI, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MX, CY, AL, TR, BG, CZ, EE, HU, SK
CN 1658857 A 20050824 CN 2003-813313 20030605
US 2006089395 A1 20060427 US 2005-516294 20050912 US 2006089395 PRAI JP 2002-168924 WO 2003-JP7119 MARPAT 140:42201

Disclosed are drugs having an inhibitory activity against transcription factor NF- κB activation, which contain as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts thereof, and

and solvates of both (wherein A is hydrogen or acetyl; E is 2,5- or 3,5-disubstituted Ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused-polycyclic heteroaryl group (exclusive of (1) fused-polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2 unsubstituted thiazol-2-yl, and (3) unsubstituted benzothiazol-2-yl); ar 2 is arene which may have a substituent in addition to the groups exerted

ANSWER 69 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) benzothiazol-2-yl); and Z is arene which may have a substituent in addn. to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein Z is as defined above) or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above). The compds. I including N-phenylhydroxyhenthalenecarboxamide, N-phenylhydroxynaphthalenecarboxamide, N-phenylhydroxynaphthalenecarboxamide, N-phenylhydroxynaphthalenecarboxamide, N-phenylhydroxynaphthalenecarboxamide, N-phenylhydroxynaphthalenecarboxamide, N-phenylhydroxynaphthalenecarboxamide, not N-phenylhydroxylhophylamide derivs. in vitro inhibited the proliferation of Jurkat, MTA PACA-2, RD, HepC2, and A549 human cancer cells. N-[3,5-bis(rifluoromethyl) phenyl)-4-chloro-2-hydroxybenzamide in vitro inhibited the proliferation of B16 melanoma, HT-1080 fibrosarcoma, NB-1 neuroblastoma, and iPMC-1-8 breast cancer cells and in vivo metastasis of B16 melanoma in mice.

RL: RCT (Reactant); RACT (Reactant or reagent)

53242-70-9
RI: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxybetezocyclecarboxamide derivs. as anticancer agents)
53242-70-9 CAPLUS
Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 11

ANSWER 70 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above) or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above)]. Also disclosed are (1) inhibitors against prodn. and release of inflammatory mediators and immunosuppressants and (2) drugs for ention

and/or treatment of chronic articular rheumatism. The compds. I

and/or treatment of chronic articular rheumatism.

and/or treatment of chronic articular rheumatism.

N-phenylhydroxypenzamide (N-phenylsalicylamide), Nphenylhydroxynaphthalenecarboxamide, N-pheterocyclylaalicylamide,
N-phenylpyridinecarboxamide, N-phenylhydroxythiophenecarboxamide,
N-phenylquinoxalinecarboxamide, and N-phenylindolecarboxamide derivs.

exhibited the inhibition of (1) TNF-a-stimulated activation of
NF-xB (2) TNF-a-stimulated prodn. of IL-6, IL-8, and PGE2 in
human synoviocyte (RA-pos.) cella, (3) collagen-induced inflammation in
mice, (4) myocardial ischemic reperfusion disorder in rats, and (5)
proliferation of smooth muscle cells of normal coronary artery blood
vessel. Some com. available compds. were selected as NF-xB
inhibitors (ligands) by virtual screening using a three-dimensional
database automated retrieval software based on a protein structure of
NF-xB. The activity of the selected compds. were confirmed by
reporter assay for inhibition of TNF-a-stimulated activation of
NF-xB and an assay for inhibition of NF-a-stimulated prodn. of
inflammatory mediators.

17 5322-70-9

18 DECT (Reactant); RACT (Reactant or reagent)

Inflammatory mediators.
53242-70-9.
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxybenzamide, naphthalencarboxamide, and
hydroxyheterocyclecarboxamide derivs. as transcription factor
NF-EB activation inhibitors)
53242-70-9 CAPLUS

Benzoic acid, 2-hydroxy-5-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT 10/706,027

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ANSWER 71 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:991330 CAPLUS 140:27850
       140:27850
Preparation of phenol or phenyl acetate derivatives as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications Muto, Susumu; Itai, Akiko
Institute of Medicinal Molecular Design. Inc., Japan
PCT Int. Appl., 396 pp.
CODEM: PIXXD2
DT
       Patent
LA Japanese
FAN.CNT 1
        PATENT NO.
                                                                   APPLICATION NO.
                                      KIND
                                               DATE
                                                                                                       DATE
      Al
                                                20031218
                                                                   WO 2003-JP7131
       WO 2003103648
                                                                                                      20030605
US 2006111409
PRAI JP 2002-164524
WO 2003-JP7131
       MARPAT 140:27850
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Disclosed are medicines for the prevention and/or treatment of diabetes and/or diabetes complications, containing as the active ingredient

ANSWER 72 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

substances

selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent, A is hydrogen or acetyl, E is optionally substituted aryl or optionally substituted

140:27849
Preparation of phenol or phenyl acetate derivatives as inhibitors against the activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT)
Muto, Susumu; Itai, Akiko
Institute of Medicinal Molecular Design. Inc., Japan
PCT Int. Appl., 401 pp.
CODEN: PIXXD2
Patent
Japanese
CCNT 1
PATENT NO. 2003:991329 CAPLUS 140:27849 PATENT NO. KIND DATE APPLICATION NO. DATE ΡI US 2006100257
PRAI JP 2002-164526
WO 2003-JP7129
OS MARPAT 140:27849

AB Disclosed are medicines for inhibiting the activation of AP-1 or NFAT, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted heteroaryl; and the ring 2 is arene which may have a substituent in addition to the groups represented by

the general formulas: -O-A and -X-E, or heteroarene which may have a

19 ANSWER 71 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) heteroaryl; and the ring Z is arene which may have a substituent in addn. to the groups represented by the general formulas: -O-A and -X-Z, or heteroarene which may have a substituent in addn. to the groups represented by the general formulas: -O-A and -X-Z). Also disclosed are medicines possessing insulin-resistance improving, hyperinsulinemia improving, and/or hyperglycemia improving activity. A total of apprx.500

Page 56

.apprx.500
I including N-phenylhydroxybenzamides (N-phenylsalicylamide),
N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbarolecarboxamides,
N-phenylhydroxymaphthalenecarboxamides,
N-phenylhydroxyquinoxalinecarboxamide,
s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyquinoxalinecarboxamide
resistance by specifically inhibiting IKK-β (I κB kinase
81)

53242-70-9

B2242-70-9
RE: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenol or Ph acetate derivs. as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications)
53242-70-9 CAPUS

enzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 8

ANSWER 72 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN ANSMER 72 OF 185 CAPLUS COPYRIGHT 2006 ACS on STM (Continued) substituent in addn. to the groups represented by the general formulas:

-O-A and -X-2]. A total of .apprx.500 I including Nheterocyclylhydroxybenzamides (N-phenylalicylamide), Nheterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides,
N-phenylhydroxypaphthalenecarboxamides,
enylhydroxyyrydinecarboxamide
s, N-phenylhydroxyquinoxalinecarboxamide, and Nphenylhydroxyindolecarboxamide were prepd. The compds. I can exhibit the
inhibitory activity against releasing inflammatory cytokines,
ammatory

mmatory immunosuppressant activity, and antiallergic activity based on inhibiting the activation of AP-1 or NFAT. 53242-70-9

53242-70-9
R: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenol or Ph acetate derivs. as inhibitors against activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT) CAPLUS Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:972053 CAPLUS 140:27757 DN TI Preparation of pyrroles for the treatment of prostaglandin mediated diseases
Giblin, Gerard Martin Paul; Hall, Adrian; Healy, Mark Patrick; Lewell,
Xiao Qing: Miller, Neil Derek; Novelli, Riccardo
Glaxo Group Limited, UK
PCT Int. Appl., 275 pp.
CODEN: PIXXD2 IN PA SO DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO.

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003101959 A1 20031211 WO 2003-EP5790 20030530

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, KE, LS, LT, LU, LV, HA, ND, NG, MX, NH, MM, MX, MZ, NI, NO, NC, DM, PH, PL, PT, RO, RU, SC, SD, SE, SC, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RI: GH, CM, KZ, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, LE, TT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, GI, CH, GA, CM, CQ, GM, ML, NR, NE, SN, TD, TG

AU 2003238455 A2 20030320 R: AT, ST, LI, LU, NL, SE, MC, PT, CG, SU, CZ, EE, HU, SK

JP 2005332347 T2 20051027 JP 2004-509653 20030530

W 2003-EP5790 W 20030530 WRRPRAT 1601-27757 PRAI GB 2002-12785 WO 2003-EP5790

AB The title compds. [I; A = (un)substituted aryl, 5-6 membered heterocyclyl, bicyclic heterocyclyl; R1 = CO2H, CN, CH2CO2H, alkyl, etc.; R2, R3 = H, halo, alkyl, alkoxy, etc.; R4 = (un)substituted alkyl wherein 1 or 2 of the non-terminal carbon atoms may optionally be replaced by a O, (un)substituted NH, SOn (n = 0-2); R5, R6 = H, CF3, alkyl) which bind with

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632621-69-3 CAPLUS

NA 03c01-03-3 CAPLUS

Renzolc acid,
3-(2-[2-(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol1-yl]- (9CI) (CA INDEX NAME)

632621-70-6 CAPLUS
Benzoic acid, 3-[2-[2-(2,4-difluorophenyl)methoxylphenyl]-5-methyl-lH-pyrrol-1-yl]- (9C1) (CA INDEX NAME)

632621-71-7 CAPLUS

3-{2-[2-[(4-chlorophenyl)methoxy]phenyl}-5-methyl-1H-pyrrol-

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) high affinity to the EPI receptors, and are useful in medicine, in particular in the treatment of prostaglandin mediated diseases such as pain, inflammatory, immunol., bone, neurodegenerative or renal disorder, were prepd. Prepn. of 394 compds. I is described in detail. E.g., a 3-step synthesis of 3-[2-(2-benzyloxyphenyl)-5-methylpyrrol-1-yl]benroic acid (starting from 2-benzyloxyphenzaldehyde and the vinyl ketone), was given. The exemplified compds. I had an antagonist pIC50 of 7.0-9.5 at EPI receptors and pIC50 of 6.0 at EP3 receptors. The pharmaceutical compn. comprising the title compd. I is claimed. \$3221-35-39 \$43221-35-46-\$6 \$32621-58-29 \$32621-76-29 \$32621-70-69 \$32621-19-99 \$32621-76-29 \$32621-70-69 \$32621-79-39 \$32622-39-39 \$32622-39-39 \$32622-30-69 \$32621-39-39 \$32621-30-49 \$32621-30-49 \$32621-30-49 \$32621-30-49 \$32621-30-39 \$32621-30-49 \$32621-30-39 \$32621-30-49 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$32621-30-30 \$3262

RN 632621-54-6 CAPLUS
CN Benzoic acid,
3-{2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl] (CA INDEX NAME)

632621-68-2 CAPLUS
Benzoic acid, 3-[2-[2-[(2-chloro-4-fluorophenyl)methoxylphenyl]-5-methylIR-pyrcol-1-yl}- (SCI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1-y1)- (9CI) (CA INDEX NAME) (Continued)

632621-76-2 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methylH-pyrcol-[-yl]- (GCI) (CA INDEX NAME)

632621-77-3 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl]-5methyl-1H-pyrcol-1-yl]- (9CI) (CA INDEX NAME)

63262-12-9 CAPLUS
Benzolc acid, 3-(acetylamino)-5-[2-[5-bromo-2-((2,4-difluorophenyl)methoxy|phenyl]-5-methyl-1N-pyrrol-1-yl]- (9CI) (CA INDEX

632623-39-3 CAPLUS

ON Benzic acid, 5-[2-[5-bromo-2-[phenylmethoxy]phenyl]-5-methyl-lH-pyrrol-l-yll-2-hydroxy- [9CI] (CA INDEX NAME)

632625-02-6 CAPLUS

RN 632625-02-6 CAPLUS CN Benzoic acid, 3-amino-5-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632625-38-8 CAPLUS

RN 632625-38-8 CAPLUS CN Benzoic acid, 4-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
632623-54-2P 612623-55-3P 632623-56-4P
632623-57-59 612623-58-69 632623-58-7P
632623-60-0P 612623-56-11P 632623-62-2P
632623-60-0P 612623-67-7P 632623-68-8P
632623-66-6P 612623-76-7P 632623-68-8P
632623-69-9P 612623-70-2P 632623-74-6P
632623-75-7P 632623-76-6P 632623-77-9P
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632624-32-9P 632624-63-69 632624-31-8P
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632624-51-6P 632624-63-69 632624-31-8P
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632624-51-69 632624-63-8P 632624-63-P
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632624-51-69 632624-60-9P 632624-61-P
632624-51-69 632624-60-9P 632624-61-P
632624-51-69 632624-63-69 632624-63-P
632624-61-69

(Uses)
(prepn. of pyrroles for the treatment of prostaglandin mediated diseases)
RN 622621-35-7 CAPLUS
CN Benzolc acid,
3-[2-[3-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-(9C1) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN y1}- (9CI) (CA INDEX NAME) (Continued)

Ph—CH2—0

632621-55-7P 632621-56-8P 632621-57-9P 632621-60-4P 632621-61-3P 632621-62-69 632621-63-7P 632621-64-8P 632621-65-7P 632621-65-7P 632621-65-8P 632621-65-8P 632621-65-1P 632621-65-1P 632621-65-1P 632621-75-1P 632621-75-1P 632621-75-1P 632621-75-1P 632621-91-3P 632621-91-3P 632621-92-3P 632621-91-3P 632621-92-3P 632621-91-3P 632621-95-5P 632621-96-6P 632622-91-7P 632621-98-3P 632622-03-8P 632622-03-8P 632622-03-8P 632622-03-8P 632622-03-8P 632622-03-9P 632623-03-1P 632623-33-1P 632623-3

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632621-56-8 CAPLUS
Benzoic acid, 3-[2-methyl-5-[4-(phenylmethoxy)[1,1'-biphenyl]-3-yl}-1Hpyrroi-1-yl]- (9CI) (CA INDEX NAME)

632621-57-9 CAPLUS Benzolc acid, 3-[2-[5-chloro-2-(cyclohexylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632621-60-4 CAPLUS Benzolc acid, 3-[2-[5-bromo-2-[(4-methoxyphenyl)methoxylphenyl]-5-methyl-lH-pyrrol-1-yl]- (GC INDEX NAME)

632621-61-5 CAPLUS
Benzolc acid, 3-[2-[5-bromo-2-[{3,4-dichlorophenyl}methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- [9CI] (CA INDEX NAME)

RN 632621-62-6 CAPLUS
CN Benzoic acid,
3-[2-{5-bromo-2-[(2-chloro-4-fluorophenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632621-63-7 CAPLUS
CN Benzoic acid,
3-{2-[5-bromo-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-lHpyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632621-67-1 CAPLUS
Benzoic acid, 3-(2-(2-(3,4-dichlorophenyl)methoxylphenyl)-5-methyl-1Hpyroi-1-yl)- (9CI) (CA INDEX NAME)

RN 632621-72-8 CAPLUS
CN Benzoic acid,
3-(2-{5-chloro-2-[(4-methoxyphenyl)methoxy]phenyl]-5-methyl1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

622621-73-9 CAPLUS
Benroic acid, 3-[2-[5-chloro-2-[(4-chlorophenyl)methoxy]phenyl]-5-methylHepproi-1-yll- (9CI) (CA INDEX NOWE)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 632621-64-8 CAPLUS Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (SCI) (CA INDEX NAME)

RN 632621-65-9 CAPLUS
CN Benzoic acid,
3-[2-[5-bcomo-2-[(4-chlorophenyl]mathoxy]phenyl]-5-methyl-1Hpyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632621-66-0 CAPLUS
CN Benzoic acid,
3-[2-[2-[(4-methoxyphenyl)methoxy]phenyl]-5-methyl-1H-pyrrol1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

632621-74-0 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(3,4-dichlorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 632621-75-1 CAPLUS
CN Benzoic acid,
3-{2-{5-chloro-2-{(2-chloro-4-fluorophenyl)methoxy|phenyl}-5-methyl-1H-pyrrol-1-yl}- {9CI} (CA INDEX NAME)

RN 632621-91-1 CAPLUS
CN Benzoic acid,
2-chloro-5-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1Hpyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632621-92-2 CAPLUS
CN Benzoic acid,
3-bromo-5-{2-[5-chloro-2-(phenylmethoxy)phenyl}-5-methyl-1Hpyrrol-1-yl)- (9CI) (CA INDEX NAME)

632621-93-3 CAPLUS

Benzoic acid, 3-[acetylamino]-5-[2-[5-chloro-2-[(4-fluorophenyl]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632621-94-4 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyllH-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Benzoic acid, 3-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl1H-pyrrol-1-yl]-4-fluoro- (9CI) (CA INDEX NAME)

632621-98-8 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyllH-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 632621-99-9 CAPLUS
CN Benzoic acid,
2-chloro-5-[2-f5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632621-95-5 CAPLUS
1-Naphthalenecarboxylic acid, 3-{2-[5-chloro-2-[4-fluorophenyl)methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632621-96-6 CAPLUS
Benzolc acid, 5-[2-(5-chloro-2-((4-fluorophenyl)methoxy)phenyl]-5-methylH-pyrrol-1-yl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 632621-97-7 CAPLUS

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

632622-00-5 CAPLUS
Benzolc acid, 3-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methylH-pyrrol-1-yl]-2,5,6-trifluoro- (9CI) (CA INDEX NAME)

632622-01-6 CAPLUS
Benzolc acid, 5-[2-[5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-lH-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

632622-02-7 CAPLUS
Benzoic acid, 5-[2-(5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrroi-1-yl]-2-fluoro- (9CI) (CA INDEX NAME)

632622-03-8 CAPLUS
1-Maphthalenecarboxylic acid, 3-{2-[5-chloro-2-[{2,4-difluorophenyl}methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632622-04-9 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632622-08-3 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pytrol-1-yl]-2,5,6-trifluoro- [9CI) (CA INDEX NAME)

632622-09-4 CAPLUS
Benzolc acid, 5-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-chloro- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632622-05-0 CAPLUS Benzoic acid, 3-[2-[5-chloro-2-[(2,4-difluorophenyl]methoxy]phenyl]-5-methyl-lH-pyrrol-1-y1]-4-fluoro- [9CI] (CA INDEX NAME)

632622-06-1 CAPLUS
Benzoic acid, 3-(acetylamino)-5-[2-[5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX

632622-07-2 CAPLUS
Benzoic acid, 2-chloro-5-{2-[5-chloro-2-[(2,4-diffluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632622-10-7 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrcol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)

632622-11-8 CAPLUS
1-Maphthalenecarboxylic acid, 3-{2-{5-bromo-2-{{2,4-difluorophenyl}methoxy|phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX

632622-13-0 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-((2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX RAME)

632622-14-1 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-fluoro- (9CI) (CA INDEX NAME)

632622-15-2 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-4-fluoro- (9CI) (CA INDEX NAME)

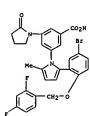
L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632622-16-3 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[{2,4-difluorophenyl]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2,5,6-trifluoro- (9CI) (CA INDEX NAME)

632622-17-4 CAPLUS Benzoic acid, mino-5-[2-[5-bromo-2-[{2,4-difluorophenyl}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632622-18-5 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-lH-pyrrol-1-yl]-5-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



632622-19-6 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-(cyclohexylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632622-20-9 CAPLUS
Benzolc acid, 3-[2-methyl-5-[5-(methylsulfonyl)-2-(phenylmethoxy)phenyl]H-pyrrol-1-yl]- (SCI) (CA INDEX NOWE)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632622-21-0 CAPLUS
CN Benzoic acid,
3-[2-[2-[4-chloropheny]]methoxy]-5-(methylsulfony])phenyl]5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632622-22-1 CAPLUS
CN Benzoic acid,
3-[2-[2-[(4-fluorophenyl)methoxy)-5-(methylsulfonyl)phenyl]5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632622-23-2 CAPLUS

Benzoic acid, 3-[2-[2-[(2-chloro-4-fluorophenyl)methoxy]-5(methylsulfonyl)phenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632622-24-3 CAPIUS
Benzoic acid, 3-{2-{2-{(2,4-difluorophenyl)methoxy}-5(methylsulfonyl)phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

RN 632622-25-4 CAPLUS
CN Benzoic acid,
3-[2-methyl-5-[2-(phenylmethoxy)-5-(trifluoromethyl)phenyl]1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632622-26-5 CAPLUS
CN Benzoic acid,
3-[2-{2-[(4-chlorophenyl)methoxy}-5-(trifluoromethyl)phenyl}-

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632622-29-8 CAPLUS
Benzoic acid, 3-{2-[2-[(2,4-difluorophenyl)methoxy)-5(trifluoromethyl)phenyl}-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632622-30-1 CAPLUS
Benzoic acid, 3-[2-[2-[cyclohexylmethoxy]-5-[trifluoromethy1]phenyl]-5-methyl-1H-pyrrol-1-y1]- (9CI) (CA INDEX NAME)

632622-31-2 CAPLUS
Benzoic acid, 3-[2-[2-[(4-methoxyphenyl)methoxy]-5(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632622-87-8 CAPLUS Benzoic acid, 3-[2-(2-([1,1'-biphenyl]-4-ylmethoxy)-5-bromophenyl}-5-

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) (Continued)

RN 632622-27-6 CAPLUS
CN Benroic acid,
3-[2-{2-{(4-fluorophenyl)methoxy}-5-(trifluoromethyl)phenyl}5-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

632622-28-7 CAPLUS
Benzoic acid, 3-[2-[2-[(2-chloro-4-fluorophenyl)methoxy]-5(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN methyl-lH-pyrrol-l-yl]- (9CI) (CA INDEX NAME) (Continued)

632622-88-9 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2-bromo-4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632622-89-0 CAPLUS
CN Benzoic acid,
3-{2-{5-bromo-2-{(3-chloro-4-fluorophenyl)methoxy}phenyl}-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632622-90-3 CAPLUS
Benzoic acid, 3-{2-{5-bromo-2-{(4-bromo-2-fluorophenyl)methoxy}phenyl}-5-

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) (Continued)

632622-91-4 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[{3,4-difluorophenyl}]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- [9CI] (CA INDEX NAME)

632622-92-5 CAPLUS
Benzolc acid,
-[5-bromo-2-[[4-(trifluoromethoxy)phenyl]methoxy]phenyl]5-methyl-lH-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

(Continued)

632622-96-9 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-((3-methoxyphenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632622-97-0 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-[(3-fluoro-4-methoxyphenyl]methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632622-98-1 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-[i3-(difluoromethoxy)phenyl]methoxy]phenyl]5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632622-93-6 CAPLUS
Benzoic acid, 3-[2-[2-(2,1,3-benzoxadiazol-5-ylmethoxy)-5-bromophenyl]-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

RN 632622-94-7 CAPLUS
CN Benzoic acid,
3-[2-{5-bromo-2-[(4-bromophenyl)methoxy]phenyl]-5-methyl-1Hpyrrol-1-yl]- (9CI) (CA INDEX NDME)

632622-95-8 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[{3,5-difluorophenyl}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632622-99-2 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-{(2,3-difluorophenyl)methoxy}phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-00-8 CAPLUS
Benzolc acid, 3-(2-(5-bromo-2-[(2,6-difluorophenyl)methoxylphenyl)-5-methyl-1H-pytrol-1-yl]- (9CI) (CA INDEX NAME)

632623-01-9 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-(2-naphthalenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632623-02-0 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-([4-methylphenyl]]methoxy]phenyl]-5-methyl-1Hpyrrol-1-yl]- [9CI] (CA INDEX NAME)

632623-03-1 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(3,5-dichlorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-04-2 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,3,6-trifluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-05-3 CAPLUS
Benzoic acid, 3-{2-{5-bromo-2-{{2,4,6-trifluorophenyl}}methoxy|phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

RN 632623-06-4 CAPLUS
CN Benzoic acid,
3-{2-[5-brono-2-[(2-methylphenyl)methoxy]phenyl}-5-methyl-lH-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632623-07-5 CAPLUS

Senzoic acid,
3-[2-[5-brome-2-[(2-fluorophenyl)methoxy]phenyl]-5-methyl-1Hpyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632623-08-6 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-[(2-chlorophenyl]methoxy]phenyl]-5-methyl-lHpyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-09-7 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[{2,6-dichlorophenyl)methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-10-0 CAPLUS
Benzoic acid, 3-[2-[(2,4-bis(trifluoromethyl)phenyl]methoxy]-5-bromophenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NUMZ)

632623-11-1 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,5-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrroi-1-yl]- (SCI) (CA INDEX NAME)

RN 632623-12-2 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-[[4-(trifluoromethyl)phenyl]methoxy]phenyl]-

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) (Continued)

RN 632623-13-3 CAPLUS
CN Benzoic acid,
3-{2-{5-bcmo-2-{(2-chloro-6-fluorophenyl)methoxy}phenyl}-5methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632623-14-4 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[{3,4,5-trifluorophenyl}methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-15-5 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2-bromo-5-fluorophenyl)methoxy)phenyl]-5-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

632623-16-6 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,4-dichloro-5-fluorophenyl]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-17-7 CAPLUS
Benzoic acid, 3-{2-(5-bromo-2-{(2,4,5-trifluorophenyl)methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

RN 632623-18-8 CAPLUS
CN Benzoic acid,
3-[2-(5-brono-2-[(2-fluoro-4-(trifluoromethyl)phenyl]methoxy
| phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAMZ)

RN 632623-19-9 CAPLUS
CN Benzoic acid,
3-[2-(5-bromo-2-[5-fluoro-2-methylphenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-20-2 CAPLUS
Benzoic acid, 3-(2-[5-bromo-2-[(2,3,4-trifluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-21-3 CAPLUS
Benzoic acid,
[5-bromo-2-[[2-fluoro-6-(trifluoromethyl)phenyl]methoxy
]phenyl]-5-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 632623-22-4 CAPLUS

(Continued)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN Benzoic acid, 3-{2-{5-bromo-2-{(2-bromophenyl)methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) (Continued)

RN 632623-23-5 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-[(3-chlorophenyl)methoxy]phenyl]-5-methyl-lHpyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-24-6 CAPLUS
Benzolc acid, 3-{2-{5-bromo-2-{(2,4-dichlorophenyl)methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl]- (9C1) (CA INDEX NAME)

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632623-28-0 CAPLUS

RN 632623-28-0 CAPLUS
CN Benzoic acid,
3-{2-{5-bromo-2-(phenylmethoxy)phenyl}-5-methyl-1H-pyrrol-1-yl}-5-(ethylamino)- (9CI) (CA INDEX NAME)

RN 632623-29-1 CAPLUS
CN Benzoic acid,
3-{2-{5-bromo-2-(phenylmethoxy)phenyl}-5-methyl-1H-pyrrol-1-yl}-5-(1,1-dioxido-2-isothiazolidinyl)- (9CI) (CA INDEX NAME)

RN 632623-30-4 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-5-(2-oxo-1-pyrrolidinyl)- [9CI] (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-25-7 CAPLUS
Benzoic acid, 2-(acetylamino)-5-{2-[5-bromo-2-(phenylmethoxy)pheny1]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632623-26-8 CAPLUS
CN Benzoic acid,
5-(2-(5-bromo-2-(phenylmethoxy)phenyl)-5-methyl-1H-pyrrol-1yl]-2-(diflucromethoxy)- (9CI) (CA INDEX NAME)

632623-27-9 CAPLUS
Benzoic acid, 3-amino-5-{2-{5-bromo-2-{phenylmethoxy}phenyl}-5-methyl-lH-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

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(Continued)

RN 632623-31-5 CAPLUS
CN Benzoic acid,
3-[2-[5-brome-2-(phenylmethoxy)phenyi]-5-methyl-1H-pyrrol-1-yl]-5-(2-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)

632623-32-6 CAPLUS Berondord 3.amino-5-(2-(5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-lH-pyrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

632623-34-8 CAPLUS

RN 632623-34-8 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 632623-35-9 CAPLUS
CN Benzolc acid,
5-[2-[5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-lH-pyrrol-1yl]-2-chloro- (9CI) (CA INDEX NAME)

632623-36-0 CAPLUS
Benzoic acid, 3-(acetylamino)-5-{2-[5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

CO2H

RN 632623-37-1 CAPLUS
CN Benzoic acid,
5-[2-(5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1yl]-2-methyl- (9CI) (CA INDEX NAME)

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RN 632623-42-8 CAPLUS
CN Benzoic acid,
3-[2-(5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-4-fluoro-(9CI) (CA INDEX NAME)

RN 632623-43-9 CAPLUS

Benzoic acid,
3-[2-[5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1yl]-5-(propylamino)- (9CI) (CA INDEX NAME)

63263-44-0 CAPLUS

Benzoic acid, 5-[2-[5-bromo-2-[(2,4,6-trifluorophenyl)methoxy]phenyl)-5-methyl-lH-pyrrol-1-yl]-2-(difluoromethoxy)- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632623-38-2 CAPLUS
CN Benzoic acid,
5-[2-[5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1yl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 632623-40-6 CAPLUS
CN Benzoic acid,
5-[2-[5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-lH-pyrrol-l-yl]-2-methoxy- (9CI) (CA INDEX NAME)

632623-41-7 CAPLUS
1-Naphthalenecarboxylic acid, 3-[2-(5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-45-1 CAPLUS
Benzolc acid, 3-[2-[5-bromo-2-[(2,4,6-trifluorophenyl)methoxylphenyl]-5-methyl-1H-pytrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

632623-46-2 CAPLUS

Benzoic acid, 3-mmino-5-{2-{5-bromo-2-{{2,4,6-trifluorophenyl}methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA

INDEX

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 632623-47-3 CAPLUS
Benzoic acid, 3-[2-{5-bromo-2-{{2, 4, 6-trifluorophenyl}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl}-5-(1,1-dioxido-2-isothiazolidinyl)- (9CI) (CA INDEX NAME)

632623-48-4 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,4,6-trifluorophenyl)methoxy]phenyl]-5-methyl-1H-pytrol-1-yl]-5-(2-oxo-1-pytrolidinyl)- (9CI) (CA INDEX NAME)

632623-49-5 CAPLUS
Benzoic acid, 3-amino-5-[2-[5-bromo-2-[{2,4,6-trifluorophenyl}methoxy|phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI)
(CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-50-8 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-[{2,4,6-trifluorophenyl}methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-fluoro- (9CI) (CA INDEX NAME)

632623-51-9 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-[(2,4,6-trifluorophenyl)methoxy|phenyl]-5-methyl-1H-pyrrol-1-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-52-0 CAPLUS
1-Maphthalenecarboxylic acid, 3-[2-[5-bromo-2-[(2,4,6-trifluorophenyl)methoxy]phenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX

632623-53-1 CAPLUS

Benzoic acid, 3-[2-[5-bromo-2-[(2,4,6-trifluorophenyl]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-4-fluoro- (9CI) (CA INDEX NAME)

632623-54-2 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-[(2,4,6-trifluorophenyl)methoxy]phenyl]-5-

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) methyl-lH-pyrrol-l-yl]-2-chloro- (9CI) (CA INDEX NAME)

632623-55-3 CAPLUS
Benzoic acid, 3-(acetylamino)-5-[2-[5-bromo-2-[(2,4,6-trifluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX

NAME)

632623-56-4 CAPLUS
Benzolc acid, 5-[2-[5-bromo-2-[(2,4,6-trifluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (GC INDEX NAME)

(Continued)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632623-57-5 CAPLUS
CN Benzoic acid,
5-[2-{5-bromo-2-(4-fluorophenyl)methoxylphenyl}-5-methyl-lHpyrrol-l-yl}-2-chloro- (9CI) (CA INDEX NAME)

RN 632623-58-6 CAPLUS
CN Benzoic acid,
5-[2-[5-bromo-2-[(4-fluorophenyl]methoxy]phenyl]-5-methyl-lHpylrol-l-yl]-2-fluoro- (9CI) (CA INDEX NAME)

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RN 632623-59-7 CAPLUS
CN Benzoic acid,
5-{2-{5-bcmor-2-{4-fluorophenyl}methoxylphenyl}-5-methyl-lH-pyrrol-1-yl}-2-methyl- (9CI) (CA INDEX NAME)

RN 632623-60-0 CAPLUS
CN Benzoic acid,
5-[2-[5-bromo-2-[(4-fluorophenyl]methoxy]phenyl]-5-methyl-lH-pyrrol-1-yl]-2-hydroxy- {9CI} (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-61-1 CAPLUS

Benzoic acid, 3-(acetylamino)-5-[2-[5-bromo-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-62-2 CAPLUS
1-Naphthalenecarboxylic acid, 3-[2-[5-bromo-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632623-63-3 CAPLUS
CN Benzoic acid,
3-{2-{5-bromo-2-{(4-fluorophenyl)methoxylphenyl}-5-methyl-1H-pyrrol-1-yl}-4-fluoro-{9CI} (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-64-4 CAPLUS
Benzoic acid, 2-(acetylamino)-5-[2-[5-bromo-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632623-65-5 CAPLUS
CN Benzoic acid,
5-[2-[5-bromo-2-[(4-fluorophenyl]methoxy]phenyl]-5-methyl-1Hpyrrol-1-yl]-2-(difluoromethoxy)- (9CI) (CA INDEX NAME)

(Continued)

(Continued)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN
RN 632623-66-6 CAPLUS
CN Benzoic acid,
3-[2-[5-bromo-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

632623-67-7 CAPLUS
Benzoic acid, 3-amino-5-[2-[5-bromo-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632623-68-8 CAPLUS
CN Benzoic acid,
3-[2-[5-brono-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1Hpyrrol-1-yl]-5-(1,1-dioxido-2-isothiazolidinyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632623-69-9 CAPLUS
CN Benroic acid,
3-[2-[5-bcmor-2-[(4-fluorophenyl)methoxy|phenyl]-5-methyl-1Hpyrrol-1-yl]-5-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 632623-70-2 CAPLUS

Enzoic acid,
3-[2-[5-brono-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1Hpyrrol-1-yl]-5-(2-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-71-3 CAPLUS
Benzolc acid, 3-amino-5-[2-[5-bromo-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-H-pyrrol-1-yl)-2-methyl- (9CI) (CA INDEX NOME)

RN 632623-72-4 CAPLUS
CN Benzoic acid,
2-chloro-5-[2-f5-fluoro-2-[(4-fluorophenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

RN 632623-73-5 CAPLUS
CN Benzoic acid,
2-fluoro-5-[2-f5-fluoro-2-[(4-fluorophenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-74-6 CAPLUS
Benzoic acid, 5-[2-[5-fluoro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyllH-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 632623-75-7 CAPLUS Benzoic acid, 5-[2-[5-fluoro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

632623-76-8 CAPLUS

Benzoic acid, 3-(acetylamino)-5-[2-(5-fluoro-2-[(4-fluorophenyl)methoxylphenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-77-9 CAPLUS
1-Naphthalenecarboxylic acid, 3-[2-[5-fluoro-2-[4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 632623-80-4 CAPLUS Benzoic acid, 3-[2-[5-fluoro-2-{(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

632623-81-5 CAPLUS
Benzoic acid, 3-(1,1-dioxido-2-isothiazolidinyl)-5-[2-[5-fluoro-2-[{4-fluorophenyl}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-82-6 CAPLUS
Benzoic acid, 3-[2-[5-fluoro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-lH-pyrrol-1-yl]-5-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632623-78-0 CAPLUS
CN Benroic acid,
4-fluoro-3-[2-f5-fluoro-2-[(4-fluorophenyl]methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-79-1 CAPLUS
Benzoic acid, 2-(difluoromethoxy)-5-[2-[5-fluoro-2-[(4fluorophenyl)methoxy)phenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX
NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632623-83-7 CAPLUS
CN Benzoic acid,
3-amino-5-[2-[5-fluoro-2-[(4-fluorophenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

632623-64-8 CAPLUS
Benzolc acid, 5-[2-[2-[2,4-difluorophenyl)methoxy]-5-fluorophenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (CA INDEX NAME)

632623-91-7 CAPLUS
Benzoic acid, 2-chloro-5-[2-[2-[(4-fluorophenyl)methoxy]-5(trifluoromethyl)phenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-92-8 CAPLUS
Benzoic acid, 2-fluoro-5-[2-[2-[(4-fluorophenyl)methoxy]-5(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632623-93-9 CAPLUS
Benzoic acid, 4-fluoro-3-[2-[2-[(4-fluorophenyl)methoxy]-5(trifluoromethyl)phenyl)-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-97-3 CAPLUS

Benzoic acid,
-[2-[(4-fluoromethyl)phenyl)-5-(trifluoromethyl)phenyl)5-methyl-Hr-pyrrol-1-yl]-5-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 632623-98-4 CAPLUS
CN Benzoic acid,
3-[2-[2-[4-fluorophenyl)methoxy]-5-(trifluoromethyl)phenyl]5-methyl-1H-pyrrol-1-yl)-5-(2-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN L9

RN 632623-94-0 CAPLUS
CN Benzoic acid,
5-[2-[2-[4-fluorophenyl)methoxy]-5-(trifluoromethyl)phenyl]5-methyl-lH-pyrcol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

632623-95-1 CAPLUS
Benzoic acid, 3-(acetylamino)-5-(2-[2-[(4-fluorophenyl)methoxy]-5-(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

632623-96-2 CAPLUS Benzoic acid, 3-(1,1-dioxido-2-isothiazolidinyl)-5-[2-[2-[(4-

fluorophenyl)methoxy)-5-(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl](9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632623-99-5 CAPLUS
Benzoic acid, 3-amino-5-[2-{2-{(4-fluorophenyl)methoxy}-5-(trifluoromethyl)phenyl}-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

632624-00-1 CAPLUS
Benzolc ecid. 2-chloro-5-(2-methyl-5-(2-(phenylmethoxy)-5-(trifluoromethyl)phenyl]-1H-pyrrol-1-yl]- (SCI) (CA INDEX NAME)

632624-01-2 CAPLUS
Benzoic acid, 4-fluoro-3-[2-methyl-5-[2-(phenylmethoxy]-5[trifluoromethyl]phenyl]-H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632624-02-3 CAPLUS
Benzoic acid,
-methyl-5-[2-(phenylmethoxy)-5-(trifluoromethyl)phenyl]1H-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

632624-03-4 CAPLUS
Benzoic acid, 3-amino-2-methyl-5-[2-methyl-5-[2-(phenylmethoxy)-5-(trifluoromethyl)phenyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-04-5 CAPLUS

Benzoic acid, 2-chloro-5-[2-[2-[(2,4-difluorophenyl)methoxy]-5(trifluoromethyl)phenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632624-05-6 CAPLUS
Benzoic acid, 5-[2-[2-[42,4-difluorophenyl]methoxy]-5(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl]-2-fluoro- (9CI) (CA
INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-06-7 CAPLUS
Benzoic acid, 3-[2-[2-[2,4-difluorophenyl]methoxy]-5(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl]-4-fluoro- (9CI) (CA
INDEX NAME)

632624-08-9 CAPLUS

632624-07-8 CAPLUS
Benzoic acid, 3-{acetylamino}-5-[2-[2-[(2,4-difluorophenyl)methoxy]-5-(trifluoromethyl)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued) Benzoic acid, 3-[2-[2-[(2,4-difluorophenyl)methoxy]-5-(trifluoromethyl)phenyl]-5-methyl-1H-pytrol-1-yl]-5-(1,1-dioxido-2-isothiazolidinyl)- (9CI) (CA INDEX NAME)

632624-09-0 CAPLUS Benzoic acid, 3-[2-[2-[(2,4-difluorophenyl)methoxy]-5-

632624-10-3 CAPLUS
Benzoic acid, 3-[2-[2-[2,4-difluorophenyl]methoxy]-5[trifluoromethyl]phenyl]-5-methyl-1H-pyrrol-1-yl]-5[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

632624-11-4 CAPLUS

Benzoic acid, 4-[2-[2-[42,4-difluorophenyl]methoxy]-5[trifluoromethyl]phenyl]-5-methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA
INDEX NAME)

RN 632624-12-5 CAPLUS
CN Benzoic acid,
3-[2-[2-[(4-bromo-2-fluorophenyl)methoxy]-5-chlorophenyl]-5-methyl-1H-pyrrol-1-yl]-5-hydroxy- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632624-13-6 CAPLUS
CN Benzoic acid,
5-{2-{2-{(4-bromo-2-fluorophenyl)methoxy}-5-chlorophenyl}-5methyl-1H-pyrol-1-yl]-2-chloro- (9CI) (CA INDEX NAME)

RN 632624-14-7 CAPLUS
CN Benzoic acid,
5-[2-[2-([d-bromo-2-fluorophenyl]methoxy]-5-chlorophenyl]-5methyl-1H-pyrrol-1-yl]-2-fluoro- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632624-15-8 CAPLUS
CN Benzoic acid,
3-[2-[2-(4-bromo-2-fluorophenyl)methoxy]-5-chlorophenyl]-5methyl-1H-pyrrol-1-yl]-4-fluoro- (9CI) (CA INDEX NAME)

RN 632624-16-9 CAPLUS
CN Benzoic acid,
3-(acetylamino)-5-[2-[2-[(4-bromo-2-fluorophenyl)methoxy]-5chlorophenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632624-17-0 CAPLUS
CN 1-Maphthalenecarboxylic acid,
3-(2-[2-(4-bromo-2-fluorophenyl)methoxy]-5chlorophenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632624-18-1 CAPLUS
CN Benzoic acid,
5-[2-[2-[4-[4-bromo-2-fluoropheny1]methoxy]-5-chloropheny1]-5methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 632624-19-2 CAPLUS
CN Benzoic acid,
5-[2-[2-[(4-bromo-2-fluorophenyl)methoxy]-5-chlorophenyl]-5methyl-1H-pyrrol-1-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

632624-20-5 CAPLUS
Benzoic acid, 3-bromo-5-[2-[2-[(4-bromo-2-fluorophenyl)methoxy]-5-chlorophenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632624-21-6 CAPLUS
Benzoic acid, 3-amino-5-[2-[2-[{4-bromo-2-fluorophenyl}methoxy]-5-chlorophenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632624-22-7 CAPLUS
CN Benzoic acid,
2-(acetylamino)-5-[2-[2-[(4-bromo-2-fluorophenyl)methoxy]-5chlorophenyl]-5-methyl-lH-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632624-23-8 CAPLUS
CN Benzoic acid,
3-[2-[2-[4-bromo-2-fluorophenyl]methoxy]-5-chlorophenyl]-5methyl-1H-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-24-9 CAPLUS
Benzoic acid,
-[2-[(4-bromo-2-fluorophenyl)methoxy]-5-chlorophenyl]-5methyl-1H-pyrrol-1-yl]-5-(1,1-dioxido-2-isothiazolidinyl)- (9CI) (CA
INDEX NAME)

632624-25-0 CAPLUS

Benzoic acid, 3-[2-[5-chloro-2-[(2,6-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl)-5-hydroxy- (9CI) (CA INDEX NAME)

632624-26-1 CAPLUS

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Benzoic acid, 5-[2-[5-chloro-2-[(2,6-difluorophenyl]methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

632624-27-2 CAPLUS
Benzoic acid, 2-chloro-5-[2-[5-chloro-2-[(2,6-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX

632624-28-3 CAPLUS
Benzoic acid, 5-[2-(5-chloro-2-{(2.6-difluorophenyl)methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl)-2-fluoro- (9CI) (CA INDEX NAME)

632624-29-4 CAPLUS
Benzoic acid, 3-(acetylamino)-5-{2-{5-chloro-2-{{2,6-difluorophenyl}}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632624-30-7 CAPLUS
1-Maphthalenecarboxylic acid, 3-{2-[5-chloro-2-[{2,6-difluorophenyl}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-31-8 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(2,6-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl)-4-fluoro- (9CI) (CA INDEX NAME)

632624-32-9 CAPLUS
Benzoic acid, 5-[2-[5-chloro-2-[(2,6-difluorophenyl)methoxy]phenyl)-5-methyl-1H-pytrol-1-yll-2-methyl- [9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN RN 632624-33-0 CAPLUS
CN Benzoic acid,
3-bromo-5-[2-{5-chloro-2-{(2,6-difluorophenyl)methoxy]phenyl
|-5-methyl-1H-pyrrol-i-yl]- (9CI) (CA INDEX NAME) (Continued)

RN 632624-34-1 CAPLUS
CN Benzoic acid,
3-amino-5-[2-{5-chloro-2-{(2,6-difluorophenyl)methoxylphenyl)}
|-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632624-35-2 CAPLUS
Benzoic acid, 2-(acetylamino)-5-[2-[5-chloro-2-[{2,6-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-36-3 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(2,6-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

632624-37-4 CAPLUS
Benzoic acid, 3-{2-{5-chloro-2-{(2,6-difluorophenyl)methoxy|phenyl}-5-methyl-1H-pyrrol-1-yl}-5-{1,1-dioxido-2-isothiazolidinyl}- {9CI} (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 632624-38-5 CAPLUS Benzoic acid, 2-chloro-5-[2-[5-chloro-2-[(2,3-difluorophenyl)methoxy]phenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632624-39-6 CAPLUS
Benzoic acid, 5-{2-(5-chloro-2-(2,3-difluorophenyl)methoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-2-fluoro-(9CI) (CA INDEX NAME)

632624-40-9 CAPLUS
Benzoic acid, 3-(acetylamino)-5-[2-[5-chloro-2-[(2,3-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 165 CAPLUS COPYRIGHT 2006 ACS on STM (Continued)
Benzoic acid, 5-[2-[5-chloro-2-[(2,3-difluorophenyl)methoxy]phenyl]-5methyl-lH-pytrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME) L9 CN

632624-44-3 CAPLUS Benzoic acid, mon-5-[2-[5-chloro-2-[(2,3-difluorophenyl]methoxy]phenyl }-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

RN 632624-45-4 CAPLUS
CN Benzoic acid,
3-amino-5-[2-[5-chloro-2-[(2,3-difluorophenyl)methoxy]phenyl
]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-41-0 CAPLUS
1-Naphthalenecarboxylic acid, 3-{2-{5-chloro-2-{2,3-difluorophenyl}methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632624-42-1 CAPLUS
Benzoic acid, 3-[2-(5-chloro-2-[(2,3-difluorophenyl)methoxy]phenyl]-5-methyl-lH-pyrroi-1-yl)-4-fluoro- (9CI) (CA INDEX NAME)

632624-43-2 CAPLUS

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-46-5 CAPLUS
Benzoic acid, 2-(acetylamino)-5-[2-[5-chloro-2-[{2,3-difluorophenyl}methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632624-47-6 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-((2,3-difluorophenyl)methoxy]phenyl)-5-methyl-lH-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

632624-48-7 CAPLUS Benzoic acid, 3-[2-[5-chloro-2-[(2,3-difluorophenyl)methoxy]phenyl]-5-

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) methyl-lH-pyrrol-l-yl]-5-(1,1-dioxido-2-isothiazolidinyl)- (9CI) (CA INDEX NAME)

632624-49-8 CAPLUS
Benzoic acid, 3-{2-{5-bromo-2-{{2,4-difluorophenyl}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl}-5-{{1-oxopropyl}amino}- {9CI} (CA INDEX NAME)

632624-50-1 CAPLUS
Benzoic acid, 3-{2-{5-bromo-2-{{2,4-difluorophenyl}}methoxyjphenyl}-5-methyl-1H-pyrrol-1-yi}-5-{{1-oxobutyl}amino}- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-53-4 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxylphenyl]-5-methyl-1H-pyrrol-1-yl]-5-[(2-methyl-1-oxopropyl)amino]- (9CI) (CA INDEX NAME)

632624-54-5 CAPLUS
Benzolc acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl1-5-[(methylsulfonyl)amino]- [9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

- 632624-51-2 CAPLUS
 Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-[(methoxyacetyl)amino]- (9CI) (CA INDEX NAME)

- 632624-52-3 CAPLUS
 Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-[(2-thienylacetyl)amino]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

- 632624-55-6 CAPLUS
 Benzoic acid, 3-{2-[5-bromo-2-[{2,4-difluorophenyl}methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-(dimethylamino)- {9CI} (CA INDEX NAME)

- 632624-56-7 CAPLUS
 Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-(ethylamino)- (9CI) (CA INDEX NAME)

- 632624-57-8 CAPLUS
 Benzoic acid, 3-[2-[5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) methyl-1H-pyrrol-1-yl]-5-(ethylamino)- (9CI) (CA INDEX NAME) L9

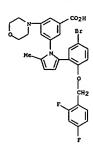
632624-58-9 CAPLUS

Benzoic acid, 3-(acetylmethylamino)-5-[2-[5-bromo-2-{{2,4-difluorophenyl}methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX

632624-59-0 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl)-5-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

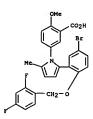
632624-60-3 CAPLUS
Benzoic acid, 3-[2-{5-bromo-2-{{2,4-difluorophenyl}methoxy}phenyl}-5-methyl-1H-pyrrol-1-yl}-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)



632624-61-4 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-[{2,4-difluorophenyl}methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-62-5 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-[{2,4-difluorophenyl]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl}-2-methoxy- [9CI] (CA INDEX NAME)



632624-63-6 CAPLUS
Benzoic acid, 2-(acetylamino)-5-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-lH-pyrrol-l-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-64-7 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,4-difluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl)-5-(1,1-dioxido-2-isothiazolidinyl)- (9CI) (CA INDEX NAME)

632624-65-8 CAPLUS
Benzoic acid, 3-{2-[5-bromo-2-[(2,4-difluorophenyl}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl]-5-(2-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)

(Continued)

(Continued)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

632624-66-9 CAPLUS
Benzoic acid, 3-[2-(5-bromo-2-[(2,4-difluorophenyl)methoxy|phenyl]-5-methyl-1H-pyrrol-1-yl}-5-(methylamino)- (9CI) (CA INDEX NAME)

со2н

632624-67-0 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(2,4-difluorophenyl]methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]-5-(methylamino)- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

632624-68-1 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl1H-pyrrol-1-yl]-5-(methylamino)- (9CI) (CA INDEX NAME)

632624-69-2 CAPLUS
Benzoic acid, 3-(2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methylll+pyrrol-i-yl]-5-((methylsulfonyl)mino]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
632624-70-5 CAPLUS
Benzoic acid, 3-chloro-5-[2-{5-chloro-2-{{2,4-difluorophenyl}methoxy}phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX

632624-71-6 CAPLUS

NN 03cst-1-0 03cst
CN Benzoic acid,
3-chloro-5-[2-[5-chloro-2-{(4-fluorophenyl)methoxy)phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632624-72-7 CAPLUS
CN Benzoic acid,
3-bromo-5-[2-[5-chloro-2-[(2,4-difluorophenyl]methoxy]phenyl
]-5-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

612628-26-3p

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapsutio use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrroles for the treatment of prostaglandin mediated diseases)

RN 632624-74-9 CAPLUS
CN Benzoic acid,
3-bromo-5-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-

632624-73-8 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[{2,4-difluorophenyl]methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl]-5-chloro- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) (Continued)

632624-75-0 CAPLUS
Benzolc acid, 3-[2-[5-chloro-2-[(2,4-difluorophenyl)methoxy]phenyl}-5-methyl-1H-pyrcol-1-yl)-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)

632624-76-1 CAPLUS
Benzoic acid, 3-[2-(5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl}-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632624-77-2 CAPLUS
CN Benzoic acid,
3-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-ethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632624-78-3 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-(phenylmathoxy)phenyl]-5-ethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 632624-79-4 CAPLUS Benzoic acid, 3-[2-[2-[(4-fluorophenyl)methoxy]-5-methylphenyl]-5-methyl-1H-pyrrol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

632624-80-7 CAPLUS
Benzolc acid, 2-(diffluoromethoxy)-5-[2-[2-[(4-fluorophenyl)methoxy]-5-methylphenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632624-81-8 CAPLUS
Benzoic acid, 3-{2-{2-{4-fluorophenyl}methoxy}-5-methylphenyl}-5-methyl1H-pyrrol-1-yl}-5-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-82-9 CAPLUS
Benzoic acid, 3-[2-[2-[(4-fluorophenyl)methoxy]-5-methylphenyl]-5-methyl-1H-pyrrol-1-yl]-5-(2-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)

632624-83-0 CAPLUS

Benzoic acid, 3-(1,1-dioxido-2-isothiazolidinyl)-5-[2-[2-[(4-fluorophenyl)mcthoxyl-5-methylphenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI)

(CA INDEX NAME)

632624-84-1 CAPLUS
Benzoic acid, 2-(acetylamino)-5-[2-[2-[(4-fluorophenyl)methoxy]-5-methylphenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632624-85-2 CAPLUS
CN Benzoic acid,
3-amino-5-[2-[2-[(4-fluorophenyl)methoxy]-5-methylphenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632624-86-3 CAPLUS
CN Benzoic acid,
3-amino-5-[2-[2-[4-fluorophenyl)methoxy]-5-methylphenyl]-5methyl-1H-pyrrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632624-89-6 CAPLUS
CN Benzoic acid,
2-chloro-5-[2-[2-[(4-fluorophenyl)methoxy]-5-methylphenyl]-5methyl-H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632624-90-9 CAPLUS
1-Naphthalenecarboxylic acid, 3-{2-{2-{(4-fluorophenyl)methoxy}-5-methylphenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632624-91-0 CAPLUS
Benzoic acid, 3-(acetylamino)-5-[2-[2-[(4-fluorophenyl)methoxy]-5-methylphenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-87-4 CAPLUS Benzoic acid, 5-[2-[(4-fluorophenyl)methoxy]-5-methylphenyl]-5-methyl-H-pyrol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 632624-88-5 CAPLUS
CN Benzoic acid,
2-fluoro-5-[2-f2-[4-fluorophenyl]methoxy]-5-methylphenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632624-92-1 CAPLUS
CN Benzoic acid,
3-{2-{5-chloro-2-(phenylmethoxy)phenyl}-5-methyl-1H-pyrrol-1-yl|-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 632624-93-2 CAPLUS
CN Benzoic acid,
5-{2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1yl]-2-(difluoromethoxy)- (9CI) (CA INDEX NAME)

RN 632624-94-3 CAPLUS
CN Benzoic acid,
3-{2-{5-chloro-2-(phenylmethoxy)phenyl}-5-methyl-1H-pyrrol-1-yl|-5-(2-oxo-1-piperidinyl)-(9CI) (CA INDEX NAME)

632624-95-4 CAPLUS
Benzoic acid, 2-(acetylamino)-5-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]- (9Cl) (CA INDEX NAME)

632624-96-5 CAPLUS

CN Benzoic acid,
3-amino-5-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1Hpyrrol-1-yl)-2-methyl- (9CI) (CA INDEX NAME)

RN 632624-97-6 CAPLUS
CN Benzoic acid,
5-[2-{5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-2-fluoro-(9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632625-01-5 CAPLUS
EN Benzoic acid,
5-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1yl]-2-methyl- (9C1) (CA INDEX NAME)

RN 632625-03-7 CAPLUS
CN Benzoic acid,
3-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-5-[(methoxycarbonyl)amino]- (9CI) (CA INDEX NAME)

RN 632625-04-8 CAPLUS
CN Benzoic acid,
5-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-2-hydroxy- (9CI) (CA INDEX NAM2)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632624-98-7 CAPLUS
Benzoic acid, 3-(acetylamino)-5-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632624-99-8 CAPLUS
1-Naphthalenecarboxylic acid, 3-{2-{5-chloro-2-{phenylmethoxy}phenyl}-5-methyl-1H-pyrrol-1-yl]- {9CI} (CA INDEX NAME)

RN 632625-00-4 CAPLUS
CN Benzoic acid,
3-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1yl]-4-fluoro- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

632625-05-9 CAPLUS
1H-Indole-4-carboxylic acid, 6-[2-[5-chloro-2-{phenylmethoxy}phenyl]-5-methyl-1H-pytrol-1-yl]- (9CI) (CA INDEX NAME)

RN 632625-06-0 CAPLUS
CN Benzoic acid,
3-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

RN 632625-07-1 CAPLUS
CN Benzoic acid,
3-[2-[5-chloro-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1-yl]-5-[2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

(Continued)

(Continued)

L9 ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632625-08-2 CAPLUS
CN Benzoic acid,
3-[2-[5-chloro-2-[(tetrahydro-2H-pyran-4-yl)methoxy]phenyl]5-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

632625-09-3 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-{{tetrahydro-3-furanyl}methoxy]phenyl}-5-methyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

632625-10-6 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(5-methyl-3-isoxazolyl)methoxy|phenyl]-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 632625-28-6 CAPLUS
CN Benzoic acid,
3-amino-5-[2-[5-fluoro-2-[(4-fluorophenyl)methoxy]phenyl]-5methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632625-29-7 CAPLUS
Benzoic acid, 5-[2-[5-bromo-2-[{2,4-difluorophenyl}methoxy]phenyl}-5methyl-1H-pyrrol-1-yl]-2-(difluoromethoxy)- (9CI) (CA INDEX NAME)

632625-37-7 CAPLUS
Benzolc acid, 4-[2-methyl-5-[2-(phenylmethoxy)phenyl]-1H-pyrrol-1-yl]-(SCI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

632625-22-0 CAPILUS
Benzoic acid, 5-[2-(5-bromo-2-{{2,4-difluorophenyl}mathoxy]phenyl}-5-methyl-1H-pyrrol-1-yl}-2-methyl- {9CI} (CA INDEX NAME)

632625-26-4 CAPLUS
Benzoic acid, 2-fluoro-5-[2-methyl-5-[2-(phenylmethoxy)-5-(trifluoromethyl)phenyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

632625-27-5 CAPLUS
Benzoic acid, 3-{acetylamino}-5-[2-methyl-5-[2-(phenylmethoxy)-5-(trifluoromethyl)phenyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 73 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

RN 632625-39-9 CAPLUS
CN Benzoic acid,
4-[2-[5-bromo-2-(phenylmethoxy)phenyl]-5-methyl-1H-pyrrol-1yl]- (9CI) (CA INDEX NAME)

632628-24-1 CAPLUS
Benzoic acid, 3-[2-[5-bromo-2-[(2,3,5-trifluorophenyl)methoxy]phenyl]-5-methyl-1H-pyrrol-1-yl]- (SCI) (CA INDEX NAME)

632628-25-2 CAPLUS

Benzoic acid, 3-[2-[5-chloro-2-[(2,3-difluorophenyl)methoxy]phenyl]-5methyl-1H-pytrol-1-yl1-5-hydroxy- (9CI) (CA INDEX NAME)

632628-26-3 CAPLUS
Benzoic acid, 3-[2-[5-chloro-2-[(4-fluorophenyl)methoxy]phenyl]-5-methyl-lH-pyrrol-1-yl]-5-(1,1-dioxido-2-isothiazolidinyl)- (9CI) (CA INDEX NAME)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 3

ANSWER 74 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 74 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:928905 CAPLUS 141:167192

DN 141:167192
TI Bone-targeted Src kinase inhibitors: novel pyrrolo- and pyrazolopyrimidine analogues. [Erratum to document cited in CA139:285654]
AU Sundaramoorthi, Raji; Shakespeare, William C.; Keenan, Terence P.; Metcalf, Cheater A.; III; Wang, Yihan; Mani, Ukti; Merry, Taylor; Liu, Shuangying; Bohacek, Regine S.; Narula, Surinder S.; Dalgarno, David C.; Van Schravendijk, Marie Rose; Violette, Shelia H.; Liou, Shuang, Ram, Mary K.; Keats, Jeffrey A.; Weigle, Manfred; Sawyer, Tomi K.
ARIAN Pharmaceuticals, Inc., Cambridge, MA, 02139-4234, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(24), 4519
CODEN: EMCLES; ISSN: 0960-894X
DT Journal
LA English

PB DT LA AB

ΙT

Journal English
The names of authors Taylor Merry, Marie Rose Van Schravendijk, Manfred Weigle, and Shelia M. Violette, Chester A. Metcalf, III, were given incorrectly. The author list has been corrected 344891-90-3 344891-91-4
RL: PAC (Pharmacological activity); RCT (Reactant); TRU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

use); BIOL (Biological study); RACT (Reactant or reagent); USES

(Uses)

(synthesis and activity of pyrrolo- and pyrazolopyrimidine analogs as bone-targeting Src kinase inhibitors (Erratum))

RN 344891-90-3 CAPLUS

CN Benzoic acid,
4-[4-amino-5-(3-methoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7yl]- (9CI) (CA INDEX NAME)

344891-91-4 CAPLUS Benzoic acid, -amino-5-(3-methoxyphenyl)-7H-pyrrolo(2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

ANSWER 75 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:855743 CAPLUS 139:335104 Gelsolin as a prognostic marker of atherosclerotic diseases Stossel, Thomas P. The Brigham and Women's Hospital, Inc., USA PCT Int. Appl., 46 pp. CODEN: PIXXD2 Patent

Patent

DT LA

FAN.		1																		
	PATENT NO.					KIND DATE				APPL	ICAT		DATE							
							-									-				
PI	WO 2003088811					A2 20031030				WO 2	003-	US11	20030416							
	WO 2003088811					A3		20040226												
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
								IN,												
								MD,												
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
			KG,	KZ,	MD,	RU,	TJ,	TH,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
			BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	ΑU	2003						2003	1103		AU 2003-226401						20030416			
PRAI	US	2002	-373	043P		P		2002	0416											
	***	2002	****			••														

WO 2003-US11722 P 20030416

AB This invention involves the using blood gelsolin levels as a diagnostic test to determine the risk of atherosclerotic diseases such as myocardial infarction, stroke, and peripheral ischemic cardiovascular disease, particularly among subjects with no signs or symptoms of current disease and among nonsmokers. Further, this invention involves the new use of a diagnostic test to assist physicians in determining which subjects at risk will

will
preferentially benefit from certain treatments designed either to prevent
first or recurrent myocardial infarctions and strokes, or to treat acute
and chronic cardiovascular disorders.
53597-27-6, Fendosal
RL: BSU (Biological study); USES (Uses)
[Gelsolin as prognostic marker of atherosclerotic diseases)
53597-27-6 CAPLUS
Benzolc acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

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ANSWER 76 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 2003:796665 CAPLUS 139:307607
L9
AN
DN
TI
IN
          139:307607
Preparation of substituted biaryl amides as C5a receptor modulators
Gao, Yang, Hutchison, Alan; Peterson, John; Pringle, Wallace; Thurkauf,
Andrew; Yoon, Tasyoung; Zhao, He
Neurogen Corporation, USA
PCT Int. Appl., 144 pp.
CDDEN: PIXXD2
       Patent
English
.CNT 1
PATENT NO.
DT
        ΡI
JP 2005502095
US 2004048913
US 6858637
US 2005096358
PRAI US 2002-368462P
US 2002-372150P
WO 2003-US9045
              2003-401270
                                                             20030327
           MARPAT 139:307607
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ANSWER 77 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:719458 CAPLUS 139:255327 139:253327
Pinl-modulating compounds and methods of use thereof
Mckee, Timothy D.; Suto, Robert K.; Tibbitts, Thomas; Sowadski, Janusz
Pintex Pharmaceutical, Inc., USA PCT Int. Appl., 230 pp. CODEN: PIXXD2 DΤ Patent English CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003074497 WO 2003-US306674 20030912 W0 2003074497

W: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
PL, PT, RO,
UA, UG, UZ,
RW: GH, GM, KE,
KG, KZ, MD,
FI, FR, GB,
BF, BJ, CF,
W0 2003074497

W: AE, AG, AL, Al 20030303 PL, UA, RW: GH, CH, NL, AU 2003225668 US 2005049267 PRAI US 2002-361246P WO 2003-US6674

$$\begin{array}{c} (z_1)_{m} - (z^2)_{n} - R^1 \\ \vdots \\ \chi_1 \\ \chi_2 \end{array}$$

MARPAT 139:255327

I

The invention is directed to modulators, e.g., inhibitors, of Pin 1 and Pin 1-related proteins and the use of such modulators for treatment of

I associated states, e.g., for the treatment of cancer. This method includes

ANSWER 76 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN L9 (Continued)

AB The title compds. ArICONRIR2 [Arl = (un)substituted Ph, 9H-fluorenyl, naphthyl, heterosryl; Rl = (un)substituted cycloalkyl, (cycloalkyl)alkyl, (heteroaryl)alkyl, etc.; R2 = slkyl, cycloalkyl, gryl, etc.; which are liquids that may be used to modulate C5a receptor activity in vivo or in vitro, and are particularly useful in the treatment of conditions associated

nation with pathol. C5a receptor activation in humans, domesticated companion animals and livestock animals, were prepared and formulated. Thus,

animals and livestock enimals, while payment and animals and livestock enimals, while payment and solution of N-(3, 4-methylenedioxybenzyl)-N-benzylamine, and coupling of the resulting intermediate with 4-trifluoromethylphenylboronic acid in the presence of Pd(PPh3)4 afforded I. Preferred compds. exhibit IC50 values of less than 1 µM in the assay for C5a receptor mediated chemotaxis. Pharmaceutical compas and methods for using them to treat such mentioned above

cders
are provided, as are methods for using such ligands for receptor
localization studies.
10333-68-3, 2-(Pyrrol-1-yl)benzoic acid
RE: RCT (Reactant); RRCT (Reactant or reagent)
(preparation of biaryl amides as C5a receptor modulators)
10333-68-3 CAPLUS
Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 77 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) administering to the subject an effective amt. of a Pin1-modulating compd of formula I (the dashed line to Rl indicates a single or a double bond;

or m are independently 0 or 1; X1, X2, and X3 are each independently 0,

or NR2; Y1, and Y2 are each independently O, S, or NR3; R1, R2 and R3 are each independently substituted or unsubstituted alky1, alkeny1, alkyny1, ary1, hydrogen, acy1, or any combination thereof; 21 and 22 are each independently CH2, CH, or N). In a second embodiment, the invention pertains, at least in part, to a method for treating cyclin D1 overexpression in a subject. [This abstr. record is one of two records for this document necessitated by the large no. of index entries required to fully index the document and publication system constraints.]. 600656-50-0-600693-33-2

RI: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
{Pinl-modulating compds. for treatment of disease states such as

in combination with other agents in relation to cyclin D1

overexpression)
RN 600666-50-0 CAPLUS
CN Benzoic acid,
4-[2,5-dimethyl-3-[[tetrahydro-4,6-dioxo-1-{4-phenoxyphenyl}-2-thioxo-5{2H}-pyrimidinylidene]methyl}-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME!

600693-33-2 CAPLUS Benzolc acid, 4-(3-([tetrahydro-4,6-dioxo-1-(2-propenyl)-2-thioxo-5(2H)-pyriaidinylidene]methyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(un)substituted alkyl, etc.]. Detn. of Pinl overexpression in a variety
of tumor types is also presented.
15898-26-7 15898-26-70, derivs. 26165-62-8
26165-62-8D, derivs. 26180-27-8 26180-27-8D,
derivs. 26180-29-00, derivs.
26180-30-3 26180-30-03D, derivs. 52034-38-5
52034-38-5D, derivs. 83141-00-8 83141-00-8D,
derivs. 92028-57-4 92028-57-4D, derivs.
247225-312-7 247225-32-7D, derivs.
247225-312-7 247225-312-7D, derivs.
29208-56-1D, derivs. 292058-57-2 292058-57-2D,
derivs. 313403-13-3 313483-13-5D, derivs.
313701-78-9 313701-78-9D, derivs. 313701-79-0
313701-79-9D, derivs. 313701-92-7D, derivs.
313701-79-0D, derivs. 313701-92-7D, derivs.
313701-79-0D, derivs. 313701-92-7D, derivs.
32627-09-0 202267-09-DD, derivs. 330946-35-5
330946-35-5D, derivs. 340226-01-9D, derivs.
340227-74-9 340227-74-9D, derivs. 340228-97-8D,
derivs. 340226-01-9D, derivs. 340228-10-9D,
derivs. 340222-22-94-3D, derivs.
340232-46-4D, derivs. 340230-17-5D, derivs.
340232-46-4D, derivs. 340230-17-5D, derivs.
340307-05-3 340307-05-3D, derivs. 340303-17-5D,
derivs. 340303-17-5D, derivs. 340303-17-5D,
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340307-05-3 340303-17-3 340303-17-5D,
derivs. 340303-17-3 340303-17-5D,
340307-05-3 340303-17-3 340303-17-5D,
derivs. 340303-17-5D,
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596790-90-8D, derivs. 674782-30-0 674782-30-0D , derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Pinl peptidy) prolyl isomerase-modulating compds. for treatment of cancer and other Pinl-associated conditions) 15998-26-7 CAPLUS Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:719265 CAPLUS 139:240337 Pinl peptidyl prolyl isomerase-modulating compounds and methods of use in the treatment of cancer and other Pinl-associated conditions Mckee, Timothy D.; Suto, Robert K. Pintex Pharmaceuticals, Inc., USA PCT Int. Appl., 105 pp. CODEN: PIXXD2 AN DN TI DT Par LA Eng FAN.CNT Patent English ENGLISH
CHT 1
PATENT NO.

KIND DATE

APPLICATION NO.

DATE

2003073999

A2 20030912

W0 2003-U56399

A3 20031231

W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CM, CG, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, CM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LJ, LV, MA, DM, DM, DK, MK, NM, MK, MK, MZ, NO, XZ, CM, PR, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, CV, VN, VI, ZA, ZM, ZW, RW;

RW: GH, GM, KZ, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, F1, FR, GB, GR, HU, LE, IT, LU, KM, LM, KY, NN, PR, SN, TD, TG
AU 2003217870

A1 20030916

A1 20030916

A1 20030303

W0 2003-U56399

W1 20030303 PI US 2004180889 PRAI US 2002-361231P WO 2003-US6399

MARPAT 139:240337

The invention discloses modulators, e.g., inhibitors of Pinl and Pinl-related proteins, and the use of such modulators for treatment of Pinl-associated states, e.g., for the treatment of cancer. Compds. of

invention include I [dashed lines = single or double bonds; G1 = CH, N; G2, G3 = H, N, CH2, CH, NH; R1, R2, R3, R3, R4, R4, X1-X5 = H, Acy1,

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

15898-26-7 CAPLUS
Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

26165-62-8 CAPLUS

Benzoic acid, 4-chloro-3-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX

26165-62-8 CAPLUS

Benzoic acid, 4-chloro-3-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

26180-27-8 CAPLUS

L9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzoic acid, 2-(2-methyl-3-phenyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX
NAME)

RN 26180-27-8 CAPLUS CN Benroic acid, 2-(2-methyl-5-phenyl-1R-pyrrol-1-yl)- (9CI) (CA INDEX

RN 26180-29-0 CAPLUS
CN Benzoic acid, 3-{2-methyl-5-phenyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAMY)

RN 26180-29-0 CAPLUS CN Benzoic acid, 3-(2-methyl-5-phenyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

L9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 52034-38-5 CAPLUS

Senzoic acid, 4-(3-formyl-2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 83141-00-8 CAPLUS CN Benzoic acid, 3-(2,5-dimethyl-lH-pyrrol-l-yl)-2-methyl- (9CI) (CA INDEX NAME)

RN 83141-00-8 CAPLUS CN Benzolc acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)-2-methyl- (9CI) (CA INDEX NAME) 9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 26180-30-3 CAPLUS CN Benzoic acid, 4-(2-methyl-5-phenyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 26180-30-3 CAPLUS
CN Benzoic acid, 4-(2-methyl-5-phenyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX

RN 52034-38-5 CAPLUS
CN Benzoic acid, 4-(3-formyl-2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

L9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 92028-57-4 CAPLUS
CN Benzoic acid, 2-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 92028-57-4 CAPLUS
CN Benzoic acid, 2-(2,5-dimethyl-lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 247225-32-7 CAPLUS
CN Benzoic acid, 2-chloro-5-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

N 247225-32-7 CAPLUS N Benzoic acid, 2-chloro-5-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

292058-56-1 CAPLUS 1,3-Benzenedicarboxylic acid, 5-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

292058-56-1 CAPLUS 1,3-Benzenedicarboxylic acid, 5-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

292058-57-2 CAPLUS Benzoic acid, 5-chloro-2-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

313701-78-9 CAPLUS Benzoic acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)-4-methyl- (9CI) (CA INDEX NAME)

HO20

313701-78-9 CAPLUS Benzolc acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)-4-methyl- (9CI) (CA INDEX NAME)

HO₂C

313701-79-0 CAPLUS Benzoic acid, 2-chloro-4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

292058-57-2 CAPLUS Benzoic acid, 5-chloro-2-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

313483-13-5 CAPLUS
Benzoic acid, 2-hydroxy-5-(2-methyl-5-phenyl-1H-pyrrol-1-yl)- (9CI) (CAINDEX NAME)

313483-13-5 CAPLUS
Benzoic acid, 2-hydroxy-5-{2-methyl-5-phenyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
313701-79-0 CAPLUS
Benzolc acid, 2-chloro-4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX

313701-80-3 CAPLUS 1,2-Benzenedicarboxylic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

313701-80-3 CAPLUS 1,2-Benzenedicarboxylic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

313701-92-7 CAPLUS

Benzoic acid, 5-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

(Continued)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

313701-92-7 CAPLUS
Benzoic acid, 5-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

HO20

328267-09-0 CAPLUS
Benzoic acid, 5-[2-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-lH-indol-1-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

328267-09-0 CAPLUS
Benzoic acid, 5-[2-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-lH-indol-1-yl}-2-hydroxy- [9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) (Continued)

340225-87-8 CAPLUS Benzolc acid, -[2-cyano3-[2-naphthalenylamino]-3-oxo-1-propenyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

340226-01-9 CAPLUS Berror and a first firs

340226-01-9 CAPLUS
Benzoic acid, 3-[3-[[2-(acetylamino)-4-oxo-5(4H)-thiazolylidene]methyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAWE)

L9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

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330946-35-5 CAPLUS
Benroic ecid, 2-chloro-5-(2-methyl-5-phenyl-1H-pyrrol-1-yl)- (9CI) (CA
INDEX NAME)

330946-35-5 CAPLUS Benzoic acid, 2-chloro-5-(2-methyl-5-phenyl-lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 340225-87-8 CAPLUS CN Benzoic acid, 4-{3-{2-cyano-3-{2-naphthalenylamino}-3-oxo-1-propenyl}-2,5-

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

340227-74-9 CAPLUS
Benzoic acid, 4-[3-[2-cyano-3-[{4-methoxyphenyl}amino}-3-oxo-1-propenyl}-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

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$$\Diamond$$

340227-74-9 CAPLUS
Benzoic acid, 4-[3-[2-cyano-3-[(4-methoxyphenyl)amino]-3-oxo-1-propenyl]2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

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ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
340228-71-9 CAPLUS
Benzoic acid, 4-[3-[2-cyano-3-[(4-fluorophenyl)amino]-3-oxo-1-propenyl]2,5-dimethyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

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340228-71-9 CAPLUS
Benzoic acid, 4-[3-[2-cyano-3-[(4-fluorophenyl)amino]-3-oxo-1-propenyl]2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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$$\Diamond$$

L9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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340228-76-4 CAPLUS
Benzoic acid, 4-[3-[3-[(4-chlorophenyl)amino]-2-cyano-3-oxo-1-propenyl]2,5-dimethyl-lH-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

340228-76-4 CAPLUS
Benzoic acid, 4-{3-{3-{(4-chlorophenyl)amino}-2-cyano-3-oxo-1-propenyl}2,5-dimethyl-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

(Continued)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 340229-48-3 CAPLUS
CN Benzoic acid,
3-[3-[2-cyano-3-[2-naphthalenylamino]-3-oxo-1-propenyl]-2,5dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

340232-46-4 CAPLUS Benzolc acid, 2-(2,5-dimethyl-1H-pyrrol-1-yl)-4,5-dimethoxy- (9CI) (CA INDEX NAME)

340232-46-4 CAPLUS Benzolc acid, 2-(2,5-dimethyl-1H-pyrrol-1-yl)-4,5-dimethoxy- (9CI) (CA INDEX NAME)

L9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN RN 340229-48-3 CAPLUS
CN Benzoic acid, 3-[3-[2-cyano-3-[2-naphthalenylamino)-3-oxo-1-propenyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

340230-27-5 CAPLUS
Benzoic acid, 3-[3-[4-chlorophenyl)amino]-2-cyano-3-oxo-1-propenyl]2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

340230-27-5 CAPLUS
Benzoic acid, 3-[3-{(4-chlorophenyl)amino}-2-cyano-3-oxo-1-propenyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 340303-17-5 CAPLUS Benzoic acid, 4-[3-[2-cyano-3-[(2-mathylphenyl)amino]-3-oxo-1-propenyl]-2,5-dimethyl-lH-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

340303-17-5 CAPLUS
Benzoic acid, 4-[3-[2-cyano-3-[(2-methylphenyl)amino]-3-oxo-1-propenyl]-2,5-dimethyl-lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

340303-22-2 CAPLUS
Benzoic acid, 3-[3-{2-cyano-3-[(3-methylphenyl)amino}-3-oxo-1-propenyl}-

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) (Continued)

340303-22-2 CAPLUS
Benzoic acid, 3-[3-[2-cyano-3-[(3-methylphenyl)amino]-3-oxo-1-propenyl]2,5-dimethyl-lH-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

340307-05-3 CAPLUS
Benzoic acid, 4-[3-[2-cyano-3-[(3-methylphenyl)amino]-3-oxo-1-propenyl]-

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) (Continued)

340307-05-3 CAPLUS
Benzoic acid, 4-[3-[2-cyano-3-[(3-methylphenyl)amino]-3-oxo-1-propenyl]2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

340307-16-6 CAPLUS Benzoic acid, 3-[3-(2-cyano-3-[(3-ethoxyphenyl)amino)-3-oxo-1-propenyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (SCI) (CA INDEX NAME)

340307-16-6 CAPLUS
Benzolc acid, 3-[3-(2-cyano-3-[(3-ethoxyphenyl)amino)-3-oxo-1-propenyl]2,5-dimethyl-1H-pyrol-1-yl]- (9CI) (CA INDEX NAME)

L9 ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

340308-97-6 CAPLUS
Benzoic acid, 3-[3-[2-cyano-3-[(2-methylphenyl)amino]-3-oxo-1-propenyl]2,3-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAMZ)

340308-97-6 CAPLUS
Benzoic acid, 3-[3-{2-cyano-3-{(2-methylphenyl)amino}-3-oxo-1-propenyl]2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

HOS

340309-41-3 CAPLUS
Benzoic acid, 2-(2,5-dimethyl-lH-pyrrol-1-yl)-5-hydroxy- (9CI) (CA INDEX NAME)

340309-41-3 CAPLUS
Benzoic acid, 2-(2,5-dimethyl-lH-pyrrol-1-yl)-5-hydroxy- (9CI) (CA INDEX NAME)

340311-70-8 CAPLUS Benzoic acid, 5-bromo-2-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

340311-70-8 CAPLUS Benzoic acid, 5-bromo-2-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

340312-91-6 CAPLUS Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-3-methyl- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

340312-91-6 CAPLUS Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-3-methyl- (9CI) (CA INDEX NAME)

340315-24-4 CAPLUS Benzoic acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)-4-hydroxy- (9CI) (CA INDEX NAME)

340315-24-4 CAPLUS Benzolc acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)-4-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 346711-96-4 CAPLUS Benzoic acid, 4-[2,5-dimethyl-3-[[(5-nitro-2-pyridinyl)hydrazono]methyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

346711-96-4 CAPLUS Benzoic acid, 4-[2,5-dimethyl-3-[[(5-nitro-2-pyridinyl)hydrazono]methyl]-1H-pyrrol-1-yll- (9CI) (CA INDEX NAME)

(Continued)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 347393-96-8 CAPLUS Benzoic acid, 4-[3-[2-(acetylamino)-4-oxo-5(4H)-thiazolylidene]methyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

347393-96-8 CAPLUS
Benzoic acid, 4-[3-[[2-(acetylamino)-4-oxo-5(4H)-thiazolylidene]methyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 354157-32-7 CAPLUS
CN Benzoic acid,
3-[3-[2-cyano-3-oxoo-3-(phenylamino)-1-propenyl]-2,5-dimethylli-pyrcol-1-yl]- [9C1] (CA INDEX NAME)

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RN 354157-32-7 CAPLUS
CN Benzoic acid,
3-[3-[2-cyano-3-oxo-3-(phenylamino)-1-propenyl]-2,5-dimethyl1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

354157-51-0 CAPLUS
Benzoic acid, 3-[3-[2-cyano-3-[(4-methoxyphenyl)amino]-3-oxo-1-propenyl]-2,5-dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

354157-51-0 CAPLUS
Benzoic acid, 3-[3-[2-cyano-3-[(4-methoxyphenyl)amino]-3-oxo-1-propenyl]2,5-dimethyl-lH-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

354775-16-9 CAPLUS Benzolc acid, 4-[3-[(hydroxyimino)methyl]-2,5-dimethyl-1H-pyrrol-1-yl]-(9C1) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

354775-16-9 CAPLUS
Benzoic acid, 4-[3-[{hydroxyimino}methyl]-2,5-dimethyl-lH-pyrrol-1-yl]-(9CI) (CA INDEX NAME)

RN 423725-15-9 CAPLUS
CN Benzoic acid,
4-[3-[2-cyano-3-oxo-3-[(phenylmethyl)amino]-1-propenyl]-2,5dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

RN 423725-15-9 CAPLUS
CN Benzoic acid,
4-[3-[2-cyano-3-oxo-3-[(phenylmethyl)amino]-1-propenyl]-2,5dimethyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

423740-90-3 CAPLUS
Benzeneacetic acid, 3-{trifluoromethyl}-, [[1-{3-carboxyphenyl}-2,5-dimethyl-IH-pyrrol-3-yl]methylene|hydrazide (9CI) (CA INDEX NAME)

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423740-90-3 CAPLUS
Benzeneacetic acid, 3-(trifluoromethyl)-, [[1-(3-carboxyphenyl)-2,5-

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

500728-27-8 CAPLUS 1H-Pyrrole-3-carboxylic acid, 1-(4-carboxyphenyl)-2,5-dimethyl- (9CI)

INDEX NAME)

500728-32-5 CAPLUS
1H-Pyrrole-3-carboxylic acid, 1-(4-carboxyphenyl)-2,5-dimethyl-, 3-ethyl ester (9CI) (CA IMDEX NAME)

500728-32-5 CAPLUS
1H-Pyrrole-3-carboxylic acid, 1-(4-carboxyphenyl)-2,5-dimethyl-, 3-ethyleater (9C1) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) dimethyl-1H-pyrrol-3-yl]methylene|hydrazide (9CI) (CA INDEX NAME)

500728-27-8 CAPLUS 1H-Pyrrole-3-carboxylic acid, 1-(4-carboxyphenyl)-2,5-dimethyl- (9CI)

INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

596790-72-6 CAPLUS
Benzoic acid, 5-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy-4-methyl- (9CI)
(CA INDEX NAME)

596790-72-6 CAPLUS
Benzoic acid, 5-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy-4-methyl- (9CI)
(CA INDEX NAME)

596790-73-7 CAPLUS 1,4-Benzenedicarboxylic acid, 2-(2,5-dimethyl-1H-pyrrol-1-yl)-, 1-methyl eater (9C1) (CA INDEX NAME)

(Continued)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

596790-73-7 CAPLUS
1,4-Benzenedicarboxylic acid, 2-(2,5-dimethyl-lH-pyrrol-l-yl)-, 1-methyl ester (9C1) (CA IMDEX NAME)

596790-74-8 CAPLUS
1,4-Benzenedicarboxylic acid, 2-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

596790-74-8 CAPLUS 1,4-Benzenedicarboxylic acid, 2-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

596790-81-7 CAPLUS
Benzoic acid, 4-(2-ethyl-5-methyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

596790-82-8 CAPLUS
Benzoic acid, 2-hydroxy-4-[2-methyl-5-(2-methylpropyl)-1H-pyrrol-1-yl]-(SCI) (CA INDEX NAME)

596790-82-8 CAPLUS
Benzoic acid, 2-hydroxy-4-{2-methyl-5-(2-methylpropyl)-1H-pyrrol-1-yl}-(9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

596790-76-0 CAPLUS Benzolc acid, 3-chloro-4-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

596790-76-0 CAPLUS Benzolc acid, 5-chloro-4-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

596790-81-7 CAPLUS Benzoic acid, 4-(2-ethyl-5-methyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

596790-87-3 CAPLUS
Benzoic acid, 4-(3-cyano-2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI)
(CA INDEX NAME)

596790-87-3 CAPLUS Benzoic acid, 4-(3-cyano-2,5-dimethyl-lH-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

RN 596790-88-4 CAPLUS
CN Benzoic acid,
2-hydroxy-4-{3-{(hydroxyimino)methyl}-2,5-dimethyl-lH-pyrrol1-yl|- (9CI) (CA INDEX NAMZ)

сн== м−он

RN 596790-88-4 CAPLUS
CN Benzoic acid,
2-hydroxy-4-{3-[(hydroxyimino)methyl]-2,5-dimethyl-lH-pyrroll-yl]- (9CI) (CA INDEX NAME)

596790-89-5 CAPLUS Benzolc acid, 4-(3-formyl-2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

596790-89-5 CAPLUS
Benzoic acid, 4-(3-formyl-2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI)

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

674782-30-0 CAPLUS Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX

ANSWER 78 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (CA INDEX NAME) (Continued)

596790-90-8 CAPLUS
Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy-5-methyl- (9CI)
(CA INDEX NAME)

596790-90-8 CAPLUS
Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-2-hydroxy-5-methyl- (9CI)
(CA INDEX NAME)

674782-30-0 CAPLUS
Benzoic acid, 4-(2,5-dimethyl-lH-pyrrol-1-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 79 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:665546 CAPLUS

139:285654

DN 139:285654

TI Bone-Targeted Src kinase inhibitors: novel pyrrolo- and pyrazolopyrimidine analogues

AU Sundaramoorthi, Raji; Shakespeare, William C.; Keenan, Terence P.; Metcalf, Chester A.; Wang, Yihan; Mani, Ukti; Taylor, Merry; Liu, Shuangying; Bohacek, Regine S.; Navula, Surinder S.; Dalgarno, David C.; Van Schravandijk, Marie Rose; Violette, Sheila M.; Liou, Shuenn; Adams, Susan; Ram, Mary K.; Keata, Jeffrey A.; Weigele, Manfred; Sawyer, Tomi K.

CS ARIAD Pharmaceuticals, Inc., Cambridge, MA, 02139-4234, USA

Bioorganic & Medicinal Chemistry Letters (2003), 13(18), 3063-3066 CODEN: BMCL88; ISSN: 0960-894X

Elsevier Science B.V.

Journal

Journal English
CASREACT 139:285654
Src tyrosine kinase is a therapeutic target for bone diseases that has been validated by gene knockout studies. Furthermore, in vitro cellular studies implicate that Src has a pos. regulatory role in oateoclasts and

neg. regulatory role in osteoblasts. The potential use of Src inhibitors for osteoporosis therapy has been previously shown by novel bone-targeted ligands of the Src SH2 (e.g., AP22408) and non-bone-targeted, APP-based inhibitors of Src kinase. Significant to this study, compds. 2-12 exemplify novel analogs of known pyrrolopyrimidine and pyrazolopyrimidine template-based Src kinase inhibitors that incorporate bone-targeting

modifications designed to provide tissue (bone) selectivity and

modifications designed to provide tiesus tools, modifications design, side effects. Accordingly, we report here the structure-based design, synthetic chemical and biol. testing of these compds. and proof-of-concept studies thereof.

34693-90-3 34693-91-4
RE: PAC (Pharmacological activity); RCT (Reactant); TEU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(Uses)

(synthesis and activity of pyrrolo- and pyrazolopyrimidine analogs as bone-targeting Src kinase inhibitors)

RN 344891-90-3 CAPPUS

Benzolc acid,
4-[4-amino-5-(3-methoxypheny1)-7H-pyrrolo[2,3-d]pyrimidin-7-y1]- (9CI) (CA INDEX NAME)

344891-91-4 CAPLUS

CN Benzoic acid, 3-[4-amino-5-(3-methoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 80 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 80 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 2003:633448 CAPLUS 139:185666 139:139-130
Coated pharmaceutical tablets with speckled appearance
Martino, Alice C.; Noack, Robert M.; Pierman, Steven A.
Pharmacia Corporation, USA
PCT Int. Appl., 30 pp.
CODEN: PIXXD2 PA SO DT Patent LA English FAN.CNT 1 PATENT NO. KIND ----A2 A3 DATE APPLICATION NO. DATE W0 2003066030 A2 20030814 W0 2003-US3837 20030206
W0 2003066030 A3 20031016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DZ, DK, DM, DZ, EC, EZ, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LX, EL, LX, LX, LY, LY, LW, MA, MD, MG, MC, MM, MM, MZ, MO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TM, TR, TT, TZ, UR, WG, US, UZ, VN, YU, LA, ZM, ZW, ST, TJ, TM, TM, TR, TT, TZ, UR, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EZ, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, ML, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GR, GM, GW, ML, MR, NE, SN, TD, TG
AU 2003210330 A1 20030902 AU 2003-2109310 20030206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, TI, LI, LU, NL, SE, MC, PT, IE, SI, TI, V, FI, RO, MK, CY, AL, TR, BG, CZ, ET, US, ST, ST, CS, ST, 20030814 WO 2003066030 WO 2003066030 WO 2003-US3837 20030206 PI appearance)
53597-27-6 CAPUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

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ANSWER 81 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:633447 CAPLUS 139:185665
DN 139:185655
TI Pharmaceutical dosage form for mucosal delivery
IN Martino, Alice C.; Plerman, Steven A.; Noack, Robert M.; Britten, Nancy
APA Pharmacia Corporation, USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
DAMPANY NO.
                                       | CAPITION NO. | CAPITION NO. | DATE | CAPITION NO. | CAPITI
                                                  PATENT NO.
                                                                                                                                                                                                                        KIND
A2
A3
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        ΡI
                                              oral mucosa of the subject.

53597-27-6, Fendosal
RL: TEU (Therapoutho use); BIOL (Biological study); USES (Uses)
(active ingredients for coated sublingual tablets)

53597-27-6 CAPLUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl]-2-hydroxy-
(9CI) (CA INDEX NAME)
        11
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ANSWER 82 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:590833 CAPLUS 139:149629 Preparation of amidoimidazo[4,5-c]quinolines as immune response modifiers Coleman, Patrick L.: Crooks, Stephen L.: Griesgraber, George W.: Lindstrom, Kyle J.: Merrill, Bryon A.: Rice, Michael J. 3N Innovative Properties Co., USA U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S. 6,451,810. CODEN: USXXCO AN DN TI IN APPLICATION NO. DATE

A1 20030731 US 2001-27218 20011221

B2 20040629

B3 20040629

B1 20020917 US 2000-589580 20000607

TR 200103574 T2 20020821 TR 2001-3574 2000668

E1 4138958 A1 20040721 EP 2004-4588 20000668

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY

EP 1642580 A1 20060405 EP 2005-21837 2000668

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

2A 200109851 A 20030228 EA 2001-9854 20011129

ZA 200109861 A 20030228 EA 2001-9857 2000668

US 2004029877 A1 20040212 US 2001-2726

US 6800624 B2 20041005

US 2004204438 A1 2004012

US 2004228897

US 2006106645

US 2006106645

US 2006106645 DT DT Patent LA English FAN.CNT 7 US 7030131 US 200422887 US 2006106052 PRAI US 1999-138365P US 2000-589216 US 2000-589216 US 2000-938211 US 2001-166321 US 2001-27218 US 2001-27272 US 2004-826836 OS MARPAT 139:14962 US 2004-848893 US 2006-275699 19990610 20000607 20000607 A2 A A3 A3 A1 A1 A1 20000607 20000608 20000608 20010615 20011221 20011221 MARPAT 139:149629

ANSWER 82 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Title compds. I [wherein Rl = alkyl-NR3COR4; R3 = independently H, alkyl or (un)substituted alkyl (hetero)aryl; R4 = alkyl or (un)substituted (hetero)aryl; R2 = H, alkenyl, (un)substituted alkyl or (hetero)aryl, etc.; R = independently alkyl, alkoxy, halo, CF3; n = 0-4; and their pharmaceutically acceptable salts) were prepared as immune response modifiers. For example, II was prepared by acylation of 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine with benzoyl chloride in pyridine. II induced interferon a and three at conces. of 0.37 µM and 10 µM, resp., in human cells. Thus, I and their pharmaceutical compns. are useful for the treatment of a variety of conditions including viral diseases and neoplastic diseases (no data). 22106-33-6, 4-(1-Pyrrolyl)benzoic acid
RL: RCT (Reactant)r (RACT (Reactant) or reagent)
(preparation of (amido)imidazo[4,5-c]quinolines as immune response modifices)
22106-33-8 CAPLUS
Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 83 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
2003:551494 CAPLUS
139:101027
Preparation of mercaptoethyl indolecarboxylic acids as NAALAdase
inhibitors for treating and diagnosing glutamate abnormalities,
neurological and other disorders
Taukamoto, Takashi: Grella, Brian: Majer, Pavel
Guilford Pharmaceuticals Inc., USA
PCT Int. Appl., 173 pp.
CODEN: PIXXO2
Patent
English
CNT 1 ENT 1 PATENT NO. APPLICATION NO. KIND DATE DATE WO 2003057670 WO 2003057670 W: AE, AG WO 2003057670
W: AE, AG,
CO, CR,
GH, HR,
LS, LT,
PL, PT,
UA, UG,
RW: GH, GM,
KC, KZ,
FI, FR,
CF, CG,
AU 2002337003
U 2005080128
PRAU US 2001-3427647
WO 2002-US37617 AL, CU, HU, RO, US, KE, MD, GB, CI,

WO 2002-US37617 MARPAT 139:101027

This invention relates to new indoles (shown as I; variables defined below; e.g. 3-[2-mercaptoethyl]-IH-indole-2-carboxylic acid), pharmaceutical compas, and diagnostic kits comprising such compds., and methods of using such compds. for inhibiting NANLADase enzyme activity, detecting diseases where NANLAdase levels are altered, affecting neuronal activity, effecting TGF-B activity, inhibiting angiogenesis, and treating glutamate abnormalities, neuropathy, pain, compulsive disorders, prostate diseases, cancers and glaucoma. ICSO values are tabulated for inhibition of NANLAdase by 12 examples of I. Many pharmacol. and therapeutic test results are reported for the following 6 compds. that

not covered by I:
2-[{(2,3,4,5,6-pentafluorobenzyl)hydroxyphosphinyl]methy
1]pentanedioic acid, 2-(3-sulfanylpropyl)pentanedioic acid,
2-(phosphonomethyl)pentanedioic acid, 2-(2-sulfanylethyl)pentanedioic

ANSWER 83 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) acid, 3-carboxy-a-(3-mercaptopropyl) benzenepropanoic acid and 3-carboxy-5-(1,1-dimethylethyl)-a-(3-mercaptopropyl) benzenepropanoic acid. For I: Al, A2, A3 and A4 = H, Cl-C9 alkyl, 2-C-69 alkenyl, C2-C9 alkenyl, aryl, heteroaryl, carbocycle, heterocycle, C1-C9 alkoxy, C2-C9 alkenyloxy, phenoxy, benzyloxy, hydroxy, halo, nitro, cyano, isocyano, -COOR6, -COR6, -NR687, -SDR6, -SOZR6, -SOZ(OR6), -C(0)NR687, -C(0)NR6 (CH2)nCOOH, -NR6C(0)R7 or -(CH2)nCOOH, or any adjacent two of

A2, A3 and A4 form with the benzene ring a fused ring that is (un)satd., arom. or nonarom., and carbocyclic or heterocyclic, said heterocyclic

ring contg. 1 or 2 O, N and/or S heteroatom(s); n is 1-3; R, Rl, R2, R3, R4, R5, R6, R7 = H, carboxy, Cl-C9 alkyl, C2-C9 alkenyl, C2-C9 alkynyl, aryl, heteroaryl, carbocycle or heterocycle; and said alkyl, alkenyl, alkynyl, aryl, heteroaryl, carbocycle, heterocycle, alkoxy, alkenyloxy, phenoxy, benyloxy and fused ring (un)substituted with 21 substituent(s). Although the methods of prepn. are not claimed, 13 example prepns. are included.

560131-65-9 CAPLUS
1H-Indole-2-carboxylic acid, 1-[3-carboxy-5-(1,1-dimethylethyl)phenyl]-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)

ANSWER 83 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 560131-60-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-(3-carboxyphenyl)-3-(2-hydroxyethyl)-CN (9CI) (CA INDEX NAME)

L9 ANSWER 83 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 560131-58-0P, 3-Carboxymethyl-1-(3-carboxyphenyl)-lH-indole-2carboxylic acid 560131-59-1P, 1-(3-Carboxyphenyl)-3[(ethoxycarbonyl)methyl]-lH-indole-2-carboxylic acid 560131-60-4P
, 1-(3-Carboxyphenyl)-3-(2-hydroxyethyl)-lH-indole-2-carboxylic acid
RL: RCT (Reactant): SPN [Synthetic preparation): PREP (Preparation): RACT
(Reactant or reagent)
(preparation of mercaptoethyl indolecarboxylic acids as NAALAdase
inhibitors
for treating and diagnosing glutamate abnormalities and neurol. and
other disorders)
RN 560131-58-0 CAPLUS
CN 1H-Indole-3-acetic acid, 2-carboxy-1-(3-carboxyphenyl)- (9CI) (CA INDEX
NAME)

560131-59-1 CAPLUS lH-Indole-3-acetic acid, 2-carboxy-1-(3-carboxyphenyl)-, α -ethyl ester (9C1) (CA INDEX NAME)

ANSWER 84 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 2003:396858 CAPLUS 138:401620

138:401620
Preparation of 4,4-difluoro-1,2,3,4-tetrahydro-5H-1-benzazepine derivatives for treatment of central diabetes insipidus and/or night derivatives for treatment of central diabetes insipidus and/or nigl pollakisuria Koshio, Hiroyuki: Taukamoto, Iasei: Kuramochi, Takahiro: Akamatsu, Seijiro: Saitoh, Chikashi Yamanouchi Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 82 pp. CODEN: PIXXD2 Patent

IN

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	PATENT NO.			KIND DATE				APPL	CAT	DATE										
PI								2 WO 2002-JP11842												
		w:						AU,												
								DK,												
								IN,												
			LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW.	ΜX,	MZ,	NO,	NZ,	OM,	PH,	PL,		
		•	PT,									TJ,	TM,	TN,	TR,	TT,	TZ,	UA,		
								YU,												
		RW:	GH,																	
								TM,												
								IT,								BF,	ВJ,	CF,		
								GΩ,												
							CA 2002-2464069													
	EP		253							EP 2002-781759										
		R:	AT,														MC,	PT,		
								RO,												
			0142					2004												
		1585	752			A		2005	0223	CN 2002-822604 RU 2004-118063							20021113			
		2268	882			C1											0021			
			0031					2005								20040428				
			0041			A1		2005			US 2						0040			
			0024					2004			NO 2	004-	2497			2	0040	615		
PRAI			-350			А		2001												
			-252			А		2002												
	WO	2002	-JP1	1842		W		2002	1113											

WO 2002-JP11842 MARPAT 138:401620

$$R^{5}$$
 R^{4}
 R^{2}
 R^{2}
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 R^{3}
 R^{2}
 R^{4}
 R^{5}
 R^{4}
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 R^{7}
 R^{6}
 R^{7}
 R^{7

The title compds. I [wherein Rl = OH, alkoxy, or (un) substituted amino;

= halo or (un) substituted alkyl; R3 and R4 = independently H, alkyl,

halo,

(un) substituted cyclic amino, or aromatic cyclic amino; R5 = H, alkyl, or halo] and pharmaceutically acceptable salts thereof, which have excellent arginine vasopressin V2 activity and are useful for the treatment of central diabetes insipidus and/or night pollakisuria, are prepared for example, the compound II was prepared in a multi-step synthesis. II

showed Ki

1 232275-63-99 313701-79-09

RE: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant); RSN (Synthetic preparation); PREP (Preparation); RACT (Reactant); RSN (Synthetic preparation); RACT (Reactant); RACT (

(intermediate; preparation of benzazepine derive. for treatment of central

diabetes insipidus and/or night pollakisuria} 232275-65-9 CAPLUS Benzoic acid, 2-chloro-4-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

313701-79-0 CAPLUS
Benzoic acid, 2-chloro-4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX

ANSWER 84 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN NAME) (Continued)

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 85 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 85 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
2003:377132 CAPLUS
138:367144
Soluble CD40L (CD154) as a prognostic marker of atherosclerotic diseases
Schoenbeck, Uwe; Ridker, Paul M.; Libby, Peter
The Brigham and Women's Hospital, Inc., USA
PCT Int. Appl., 66 pp.
CODEN: PIXXD2
Patent
English
CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003040691 A2 20030515 WO 2002-US35505 20021105
WO 2003040691 A3 200301113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, GM, HR, UI, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, NO, NZ, OM, PM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, SK, SI, TJ, TM, TM, TT, TZ, UA, UG, UZ, VN, YU, 2A, ZM, ZW
RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, LE, TT, LU, MC, NL, PT, SE, SK, RR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

CA 2464531 A20030515 CA 2002-2264531 20021105
EP 1451577 A2 20040901 BP 2002-286253 20021105
EP 1451577 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IT, ST, ST, CM, CO2-226711 20021105
EP 1451570 A2 20040901 BP 2002-286253 20021105
EN AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IT, ST, ST, CM, CO2-226711 20021105
EP 1451570 A2 20050526 JP 2002-286797 20021105
FRAIU S2001-338841P P 20011105
WO 2002-US35505 W 20021105
BT the invention involves the new use of a diagnostic test to determine the risk of atherosclerotic diseases, e.g. myocardial infarction and stroke, particularly among individuals with no signs or symptoms of current disease and among nonsmokers. Further, the invention involves the new of a diagnostic test to assist physicians in determining which of a diagnostic test to assass physicals and an individuals at risk will preferentially benefit from certain treatments designed either risk will preferentially benefit from certain treatments designed either to prevent first or recurrent myocardial infrarctions and strokes, or to treat acute and chronic cardiovascular disorders. Methods for treatment are also described.

IT 53597-27-6, Fendosal
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(soluble CD40L as prognostic marker of atherosclerotic diseases, and

in therapeutic agent assessment)
53597-27-6 CAPMUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

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ANSWER 86 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:376832 CAPLUS 138:368895
                 138:368895
Preparation of triazole derivatives as cyclooxygenase inhibitors Aoki, Satoshi; Nakagawa, Toshiya; Konishi, Nobukiyo; Nakamura, Katsuya; Omori, Hiroki; Kubota, Ariyoshi; Hashimoto, Norio Pujisawa Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 61 pp. CODEN: PIXXD2
Patent
   AN
DN
TI
IN
DT Pa
LA Englis.
FAN.CNT 1
PATENT NO.
                 CA 2465757 AA 20030515 CA 2002-2465757 20021030
JP 2004521964 T2 20040722 JP 2003-542156 20021030
EP 1442026 A1 20040804 EP 2002-779943 20021030
R: AT, BE, CR, DE, DK, ES, FR, GB, GR, IT, LI, JU, NI, SE, MCC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
CN 1612865 A 20031009 US 2003-344416 20030219
US 2003191155 A1 20031009 US 2003-344416 20030219
US 6937230 B2 20050809
US 2002-JPI1314 W 20021030 WS 2003-344416 20030219
UO 2002-JPI1314 W 20021030 WS 2003-344416 20030219
   US 6927230
PRAI AU 2001-8782
WO 2002-JP11314
OS MARPAT 138:368895
```

Title compds. I [wherein R1 = {un}substituted alkyl: R2 = alkyl, alkoxy, CN, or lH-pyrrol-l-yl; R3 = alkyl, alkoxy, or CN; X = 0, S, S0, or S02; and Z = independently CH or N; m = 0-l; and pharmaceutically acceptable

TT

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ANSWER 87 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:356260 CAPLUS 138:362654
AN
DN
TI
        Opioid inhibitors of ABC drug transporters in cancer cells, and use in cancer treatment
cancer treatment
      Schoenhard, Grant L.
Pain Therapeutics, Inc.
PCT Int. Appl., 102 pp.
CODEN: PIXXD2
Patent
English
.CNT 2
PATENT NO. KIN
                                          Inc., USA
DT
LA
FAN
                                            KIND
                                                        DATE
                                                                             APPLICATION NO.
                                                                                                                      DATE
        WO 2003037340
                                                        20030508
                                                                              WO 2002-US17092
       A1
                                                                                                                      20020530
PRAI US 2001-3215
OS MARPAT 138:362654
AB
        The invention discloses opioid compds. that are inhibitors of drug
transporters of the ABC protein superfamily. The invention provides
methods of treating cancer using antitumor agents and opioid inhibitors
of
         such transporters. The invention also provides methods for selecting or designing compds. for the ability to inhibit drug transporter proteins
        to methods of inhibiting drug transporter proteins. The invention discloses the use of opioid receptor antagonists in the treatment of a cancer patient who has developed a resistance to a therapeutically active
         432492-45-0
IT
              PRP (Properties)
(opioid inhibitors of ABC drug transporters in cancer cells, and use
in
        cancer treatment)
432492-45-0 captus
HH-Pyrrole-3-carboxylic acid, 1-(3-carboxy-2,4,6-trimethylphenyl)-2,5-
dimethyl- (9CI) (CA INDEX NAME)
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ANSWER 86 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) salts thereof] were prepd. as cyclooxygenase (COX) inhibitors. For example, 4-methoxyphenylhydrazine+HCl was coupled with trifluoroacetamidine in the presence of TEA in MeOH to give 2,2,2-trifluoro-N'-(4-methoxyphenyl) ethanehydrazonamide (quant.). Cycloaddn. with 4-methoxybenzoyl chloride using pyridine in dioxane provided 1,5-bis(4-methoxyphenyl)-3-(trifluoromethyl)-1H-1,2,4-triazole

(48.6%). In a whole blood assay, the latter showed selective inhibition against COX-1 compared to COX-2 with ICSO values of < 0.01 µM and > 0.1 µM, resp. II displayed analyssic activity at a dose of 3.2 mg/kg in rats with arthritis induced by injection of Mycobacterium tuberculosis. In addn., II inhibited platelet aggregation in platelet-rich human plasma with an ICSO value of < 0.02 µM. Thus, I are useful for the treatment and/or prevention of inflammatory conditions, various pains, collagen diseases, autoimmune diseases, various immunity diseases, thrombosis, cancer, or neurodegenerative diseases (no data).

IT 22106-33-8, 4-(IH-Pyrrol-1-yl)bencoic acid
RL: RCT (Reactant); RRCT (Reactant or reagent) (preparation of triazole derivs. as cyclooxygenase inhibitors for treatment of inflammatory conditions and pain)

of inflammatory conditions and pain)
22106-33-8 CAPLUS
Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 87 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L9 ANSWER 88 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:356232 CAPLUS

18:362835
TI Opiold inhibitors of ABC drug transporters in microbial cells, and use with antimicrobial compounds for the treatment of microbial infections
IN Schoenhard, Grant L.
Pain Therapeutics, Inc., USA
PCT 1nt. Appl., 131 pp.
COODEN: PIXXD2

DT PACENT

EASTERN NO.

KIND DATE APPLICATION NO.

PATENT NO.

KIND DATE APPLICATION NO.

DATE

PATENT NO.

KIND DATE APPLICATION NO.

DATE

PATENT NO.

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, ER, HU, ID, IL, IN, IS, JP, KEF, KG, KFP, KR, KKZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MX, MN, MM, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TN, TT, TT,
UM, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
GR, EI, IT, LU, KN, LN, FT, SE, TT, BF, BJ, CF, CG, CI, CM, GR, GR, CG, CG, ML, ML, NR, NR, NS, TD, TG

US 200313017 Al 20011030

MARPAT 138:162635

AB The invention relates to microbial infections, including those involving multidrug resistance and, in particular, to opioid compds. that are inhibitors of drug transporters of the ABC protein superfamily. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporters. The invention algents and opioid inhibitors of such transporter
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ANSWER 89 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:326047 CAPLUS 139:143357 Characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homology modeling approaches Pearlatein, Robert A.; Vaz., Roy J.; Kang, Jiesheng: Chen, Xiao-Liang; Preobrazhenskaya, Maria; Shchekotikhin, Andrey E.; Korolev, Alexander M.; Lysenkova, Ludmila N.; Miroshnikova, Olga V.; Hendrix, James; Rampe, ΑU Q Aventis Pharmaceuticals, Bridgewater, NJ, 08876, USA Bioorganic & Medicinal Chemistry Letters (2003), 13(10), 1829-1835 CODEN: BMCLES; ISSN: 0960-894X Elsevier Science B.V. Journal Papel 18 CS SO English CASREACT 139:143357 CASRACT 139:143357
A data set consisting of twenty-two sertindole analogs and ten structurally diverse inhibitors, spanning a wide range in potency, was analyzed using COMSiA. A homel. model of HERG was constructed from the crystal structure of the open MthK potasslum channel. A complementary relationship between our COMSiA and homel. models is apparent when the long inhibitor axis is oriented parallel to the longitudinal axis of the pore, with the tail region pointed toward the selectivity filter. The elements of the pharmacophore, the CoMSiA and the homol. model are: (1) The hydrophobic feature optimally consists of an aromatic group that is capable of engaging in x-stacking with a Phe656 side chain. Optionally, a second aromatic or hydrophobic group present in some inhibitors may contact an addnl. Phe656 side chain. (2) The basic nitrogen appears to undergo a π -cation interaction with Tyr652. (3) The pore diameter (12 and depth of the selectivity loop relative to the intracellular opening, act as constraints on the conformation-dependent inhibitor dimensions. 572913-76-99 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapautic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Uses)
(characterization of HERG potassium channel inhibition using CoMSiA 3D QSAR and homol. modeling approaches and sertindole analogs)
572913-76-9 CAPLUS
Benzoic acid, 4-[5-chloro-3-[1-[2-(2-oxo-1-imidazolidiny1)ethy1]-4-piperidiny1]-1H-indol-1-y1]- (9CI) (CA INDEX NAME)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

L9 ANSWER 89 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L9 ANSWER 90 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN
AN 2003:319701 CAPLUS
DN 138:337840
TI Preparation of 5'-acylamino-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors
IN Angell, Richard Martyn; Aston, Nicola Mary; Bamborough, Paul; Bamford, Mark James; Cockerill, George Stuart; Flack, Stephen Sean: Laine, Dramane Ibrahim: Merrick, Suzanne Joy; Smith, Kathryn Jane; Walker, Ann Louise
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CHT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003032971 Al 20030424 WO 2002-EP11576 20021016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CG, CG, CU, CZ, DE, DK, DM, DZ, EC, EZ, ES, FI, GB, GB, GG, GH, GH, HR, HU, ID, IL, IN, IS, JP, RE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, HO, MG, MM, KM, NM, MM, MZ, NO, NZ, CM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, CM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, CG, CR, IL, TJ, UT, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, CG, CR, IL, TJ, UT, TM, AT, BE, BG, CR, CY, CZ, DE, DK, EE, ES, FI, FR, CG, CR, IT, IT, ND, TR, ND, ND, TG
AU 2002346929 Al 20030428 Al 20040419 EP 2002-782931 20021016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
JP 200551531 T2 20050428
US 2004-492605 20040415
WO 2002-EP11576 W 20021016
ANAPRAT 138:337840
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L9 ANSWER 91 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:49279 CAPLUS
DI 139:19420
TI Discrimination and selection of new potential antibacterial compounds
using simple topological descriptors
AU Murcia-Soler, Miguel; Perez-Gimenez, Facundo; Garcia-March, Francisco J.;
Salabert-Salvador, M. Teress; Diaz-Villanueva, Wladimiro;
Medina-Casamayor, Piedad
Faculty of Pharmacy, Department of Physical Chemistry, Universitat de
Valencia, Valencia, Spain
O Journal of Molecular Graphics & Modelling (2003), 21(5), 375-390
CODEN: JNGMFI; ISSN: 1093-3263
Elsevier Science Inc.
DT Journal
L English
AB The aim of the work was to discriminate between antibacterial and
non-antibacterial drugs by topol, methods and to select new potential
antibacterial agents from among new structures. The method used for
antibacterial activity selection was a linear discriminant anal. (LDA).
It is possible to obtain a GSAR interpretation of the information
contained in the discriminant function. We make use of the pharmacol.
distribution diagrams (PDDs) as a visualizing technique for the
identification and selection of new antibacterial agents.

13 33597-27-6, Fendosal
RI: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study): USES (Uses)
(discrimination and selection of new potential antibacterial compds.
using simple topol. descriptors)
RN 53597-27-6 CAPLUS
RBENZOIC activity SALDER
BENZOIC activity SALDE
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RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AB The title compds. (I; when m = 0-4, Rl = alkyl, cycloalkyl, alkenyl, etc.)

when m = 2-4, Rl addnl. = alkoxy, OH, etc.; R2 = H, alkyl, (CR2)ncycloalkyl; R3 = NNCOR6 (wherein R6 = H, alkyl, alkoxy, etc.); U = Me, halo: W = Me, Cl; X, Y = H, Me, halo: m = 0-4, n = 0-1; s = 0-2], useful as pharmaceuticale, particularly as plas kinase inhibitors, were prepared E.g., a 6-step synthesis of the nicotinamide II, starting with 3-bromo-4-methylaniline, was given.

IT 26180-28-9, 3-(2,5-Dimethylpyrcol-1-yl)benzoic acid RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 5'-acylamino-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors)

RN 26180-28-9 CAPIUS

CN Benzoic acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

HO2C

He

**Me**

**HERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT*
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ANSWER 92 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2003:5718 CAPLUS 138:56075
Preparation of phosphorus-substituted pyrazolo- and pyrrolopyrimidines as therapeutic agents
Shakespeare, William C.; Sawyer, Tomi K.; Metcalf, Chester A., III; Wang, Yihan; Bohacek, Reginer Sundaramoorthi, Rajeswari
Ariad Pharmaceuticals, Inc., USA
PCT Int. Appl., 165 pp.
CODEN: PIXXD2
Patent
 IN
         Patent
English
          PATENT NO.
                                            KIND
                                                        DATE
                                                                             APPLICATION NO.
                                                                                                                    DATE
OS
GI
          MARPAT 138:56075
  * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
       Phosphorus-substituted pyrazolo- and pyrrolopyrimidines [e.g, I; wherein
         = CR4, N; R1, R3, independently = H, aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl; R2, R4, independently = H,
 aliphatic
          heteroaliph., aryl, heteroaryl, halo, cyano, alkylcarbonyl, etc.; at
 least
          one of R1, R2, R3 or R4 is a phosphorus-containing moiety] were prepared
          example, compound (II) was prepared according to the invention. The
prepared

compds. are useful as, inter alia, anticancer agents, antiproliferative
agents, and agents for the treatment of osteoporosis (no data).

IT 344891-90-3 344891-91-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phosphorus-substituted pyrazolo- and
pyrrolopyrimidines as

therapeutic agents)

RN 344891-90-3 CAPIUS

CN Bengiol acid.
          Benzoic acid.
 4-[4-amino-5-(3-methoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-
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ANSWER 92 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN y1]- (9CI) (CA INDEX NAME) L9 (Continued)

RN 34489 CN Benzo 3-[4-amino 344891-91-4 CAPLUS

34403-1-31-4 CAPLUS Benzoic acid, amino-5-(3-methoxyphenyl)-7H-pyrrolo(2,3-d)pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

ANSWER 93 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



ANSWER 93 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:900790 CAPLUS 137:384757

Preparation of N-[(hydroxypiperidinyl)phenyl]benzamides as

maceuticals
for treatment of atopic dermatitis, asthma, and allergic rhinitis
Naito, Yoichiro; Ushio, Hiroyuki: Roshino, Yukio; Kakoshima, Masahiko;
Oshita, Koichi; Kataoka, Hirotoshi; Chiba, Kenji
Mitsubishi Pharma Corporation, Japan
Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JYXXAF
Patent IN

DT Patent Japanese

IMM. CIVI I				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2002338537 PRAI JP 2001-146915	A2	20021127	JP 2001-146915	20010516
OS MARPAT 137:384757	,			

cyano, NO2, amino, alkyl, alkoxy, CO2H, etc.; R4 = H, halo, cyano, NO2;

R5 - alkyl, hydroxyalkyl, hydroxycarbonylalkyl, substituted aminoalkyl, OH, alkoxy, etc.} or their pharmaceutically acceptable salts are prepared

compds. are useful for inhibitors of interleukin A production from type 2 helper T cell. 5-Amino-2-(4-hydroxypiperidin-1-yl)benzonitrile (5 g) was reacted with 4-iodobenzoic acid in the presence of 1-hydroxybenzotriazole monohydrate and 1-ethyl-3-(3-dimethylaminopropyl)carbodimide hydrochloride in DNF at room temperature for 2 days to give 9.3 g N-[3-cyano-4-(4-hydroxypiperidin-1-yl)phenyl-4-benzamide. The compds. controlled ovalbumin-induced edema in mice. 22106-33-8
RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of [(hydroxypiperidinyl)phenyl)benzamides as maceuticals for treatment of atopic dermatitis, asthma, and allergic rhinitis) 22106-33-8 CAPLUS
Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 94 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:869567 CAPLUS 137:370356

137:370356
Preparation and use of bombesin receptor antagonists for treatment of sexual dysfunction in males and females
Gonzalez, Maria Isabel; Higginbottom, Michael; Stock, Herman Thijs;
Pritchard, Martyn Clive; Pinnock, Robert Denham; Van der Graaf, Pleter
Hadewijn; Naylor, Alisdair Mark; Wayman, Christopher Peter IN

UK
U.S. Pat. Appl. Publ., 105 pp., Cont.-in-part of U.S. Pat. Appl. 2002

APPLICATION NO.

US 2001-999284 US 2001-759777 ZA 2003-3249

DATE

20011115 20030425

58,606.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 10
PATENT NO. KIND DATE PATENT NO.

1 US 2002169101
US 20022058606
ZA 2003003249
PRAI US 1999-133355P
W0 2000-GB1787
US 2000-700165
US 2001-75977
GB 2001-75977
GB 2001-11037
OS MARPAT 137:370356
GI 20021114 20020516 20040623 19990510 20000510

20001109 20010112 20010504

Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BBI antagonists or mixed BBI/BBI antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example PDDS inhibitors, NEP inhibitors and lassfoxifene. Preparation of bombesin receptor antagonists consisting of α-Me tryptophane (e.g., I) or α-methylphenylalanine derivs. was given. In tests on sexually-dysfunctional male rats, it was concluded that I had a stimulatory effect, at the level of sexual desire, performance, and anorgasmy. In tests on sexually-dysfunctional female rats, it was concluded that I had a stimulatory effect on proceptivity, which was unaffected by repeated administration.

10333-68-3, 2-Pyrrol-1-ylbenzoic acid
RI: RCT (Reactant); RACT (Reactant or reagent)
. (reaction of in the preparation of bombesin receptor antagonists for treatment of sexual dysfunction)

ANSWER 94 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Benzoic acid, 2-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 95 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1-(tert-butoxycarbonyl)piperazine afforded VI [R = 4-tert-butoxycarbonyl)piperazin-1-yl] which showed 568 inhibition of binding to membranes of CHO cell line stably transfected with human oxytocin

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 95 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:814136 CAPLUS
DN 137:310399
T1 Preparation of tricyclic diazepines as tocolytic oxytocin receptor antagonists
IN Failil, Amedeo Arturo; Shumaky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoll, Kevin Anthony; Trybulski, Eugene John Wyeth, John and Brother Ltd., USA
PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA English
FRAN.CNT 1
FATENT NO. KIND DATE APPLICATION NO. DATE | No. EP 1377586 B1 20060322

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

CN 1501932 A 2004062 CN 2002-808039 20020411

JP 2004526768 T2 20040902 JP 2002-581433 20020411

BR 2002090914 A 20050111 BR 2002-9014 20020411

AT 321047 E 20060415 AT 2002-731343 20020411

PRAI US 2001-283264P P 20010412

WO 2002-US11527 W 20020411

SO MARPAT 137:310939 MARPAT 137:310939 * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT * The title compds. [I; ring containing Z = II, III; R1, R2 = H, alkyl,

CN, etc.; R3 = H, alkyl, alkoxy, etc.; R4 = BC (wherein B = IV, V; C = (un) substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CR, N; R5-R7 = H, alkyl, alkoxy, etc.); R = OH, NR1R1R2, (un) substituted 4-oxopiperidin-1-yl, etc. (R11, R12 = H, alkyl, cycloalkyl, etc.)}, useful

of the treatment and/or prevention and/or suppression of disorders which may be remedied or alleviated by oxytocin antagonist activity, including treatment of preterm labor, dysmerorrhea, endometritis, and for suppressing labor prior to Caesarian delivery, were prepared Thus, amidation of VI [R = OH] (multi-step synthesis given) with

L9 ANSWER 96 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:695935 CAPLUS
DN 197:232447
If Preparation of hydrazones for use in the treatment of microbial infections
IN Burri, Kaspar; Hoffner, Johannes; Islam, Khelid; Mukhija, Seema
PA Arpida A.-G., Switz.
PC PT. Int. Appl., 56 pp.
CODEN: PIXXD2
TP Patent
LA English
FAN. CNT 1

FAN.	CNT	1																	
	PATENT NO.					KIND DATE				APPL	DATE								
										_									
PI	WO 2002070464 WO 2002070464			A2 20020912				WO 2	20020118										
				A3		2004	040122												
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GΜ,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	HW,	MX,	MZ,	NO,	NZ,	PH,	PL,	
			PT,	RO,	Rυ,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
			US,	UZ,	VN,	YU,	ZA,	ZW											
		RW:	GH,	Œ4,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
			KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	
			GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	
			GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG								
	EP 1404644			A2	A2 20040407				EP 2002-722025						20020118				
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
	JP 2004525118			T2 20040819					JP 2	20020118									
								20040610			US 2003-466810						20031121		
PRAI	WO	2001	-EP6	36		W		2001	0122										
	WO	2002	-EP4	74		W		2002	0118										
OS	MAR	PAT	137:	2324	47														

AB Novel hydrazones [I; wherein R1 = alkyl-carbonyiamino, Automation, OH; R2 = H, OH, lower alkyl, F, Cl; R3 = H, Me, Et, i-Pr; R4 = aryl, optionally substituted arylmethyl, indoyl methyl; R5, R6, independently = H, OH, lower alkyl, lower alkoy, F, Cl, amino: R7 = H, lower alkyl] were prepared For example, benzolc acid hydrazide and 2,3-dihydroxybenzaldehyde are reacted to give N'-(2,5-dihydroxybenzylidene)-benzohydrazide, which inhibited bacterial phosphotransferase activity (IC50 = 15 µM). The prepared compds. are useful in the treatment of microbial infections.

IT 458549-91-2P

- ANSWER 96 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of hydrazones for use in treatment of microbial infections)
 458549-91-91-2 CAPLUS
 Benzoic acid, 3-chloro-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME) L9

- ANSWER 97 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RL: COS (Cosmetic use); SPN (Synthetic preparation); TRU (Therapsutic
 use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (UV filter stabilizer; prepn. of quinoxaline derivs. for use as as UV
 filter stabilizers in cosmetic and pharmaceutical formulations)
 457625-59-1 CAPLUS
 Benzoic acid, 4-(2-phenyl-1H-pyrrolo[2,3-b]quinoxalin-1-yl)- (9CI) (CA
 INDEX NAME)

- ANSWER 97 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:695646 CAPLUS 137:222671 Cuinoxaline derivatives for use as as photostable UV filters Pfluecker, Frank; Schwarz, Michael; Scholz, Volker; Neunhoeffer, Hans Merck Patent G.m.b.H., Germany Ger. Offen. 40 pp. CODEN: GRXXEX IN PA SO DŢ Patent LA German FAN.CNT 1 PI PRAI DE 2001-10111728 WO 2002-EP1402
- The present invention concerns the use of quinoxaline derivs., e.g., I [X = N, CR3; A = XI X3, XI X4; XI, X2, X3, X4 = :N, NR4, CR5R6, C(:0), :CR; R, R1, R2, R3 = H, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkenyl, bicycloalkyl; R1 = H, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkoxy, cycloalkyl, bicycloalkyl; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, bicycloalkyl, bicycloalkyl, CR*R*)n-Ar, (CR*R*)n-Het; R*, R* = H, Cl-4-alkyl; Ar = (un)substituted aromatic; Het = (un)substituted heteroarom.; n = 0 4) and II, as photostable UV filters, in particular in cosmetic and pharmaceutical prepns. to the protection the human epidermis or human hair against UV-RADIATION, particularly within the range of 280-400 Nm. 457625-59-19
- ANSWER 98 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:644193 CAPLUS 138:265118
- AN DN TI
- 138:265118
 A Quick Diversity-Oriented Amide-Forming Reaction to Optimize P-Subsite Residues of HIV Protease Inhibitors
 Brik, Ashraf; Lin, Ying-Chuan; Elder, John; Wong, Chi-Huey
 Department of Chemistry, The Skaggs Institute for Chemical Biology, The
 Scripps Research Institute, La Jolla, CA, 9203, USA
 Chemistry & Biology (2002), 981, 891-896
 CODEN: CBOLEZ; ISSN: 1074-5521
 Cell Press
 Journal
 English
 CASRRACT 138:265118
 We report a new simple method that allows rapid preparation in solution

- so
- PB DT LA OS AB of a
- We report a new simple method that allows rapid preparation in solution
 - library of compds. for in situ high-throughput screening to identify new inhibitors of HIV-1 protease. The method is based on the amide-forming reaction of a C2-sym. diamino diol core with various carboxylic acids, followed by a direct assay of the inhibition activity without product isolation. Sixty-two compds. were made and screened in less than 1 h. The utility of this method is demonstrated by the identification of new P3-P3' residues that convert a transition state analog core from a poor binding mol. (1, Ki > 2 μ M) to a potent inhibitor (AB1, Ki = 2 μ M) against the wild-type, and the inhibition activities against resistant mutants are better than those of two existing drugs. This method reduces the time required for synthesis and testing of a large number of characterized inhibitors and should find useful applications in other enzyme systems. enzyme systems. 53242-70-9
- RE: RCT (Reactant): RACT (Reactant or reagent)
 (drug design, structure-activity relationship and high throughput
 screening to identify new HIV protease inhibitors)
 53242-70-9 CAPLUS
- Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



IT

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 99 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:595343 CAPLUS 137:150228 Antiinflammatory compositions and methods for therapy through enhanced tissue regeneration Unrich, Kathryn E.; Macedo, Braz Rutgers, The State University of New Jersey, USA U.S. Pat. Appl. Publ., 17 pp. CODEN: USXXXCO AN DN TI

- PA SO
- LA English FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002106345	Al	20020808	US 2000-732516	20001207
	US 6685928	B2	20040203		
PRAI	US 1999-304190P	₽	19991207		

- US 1999-453861 A 19991207 The invention provides methods of promoting healing through enhanced regeneration of tissue (e.g. hard tissue or soft tissue) by contacting ΑB
- the tissue or the surrounding tissue with an antiinflammatory agent, preferably in a controlled-release form, e.g. by dispersing the agent through a polymer matrix, appending the agent to a polymer backbone, or incorporating the agent directly into a biodegradable polymer backbone. These methods are useful in a variety of dental and orthopedic applications. Expts. are presented which demonstrate that implantation
- of a film comprising an aromatic polyanhydride that hydrolyzes to form a therapeutically useful salicylate resulted in less swelling in tissues adjacent to the film and a decrease in the d. of inflammatory cells as compared to other polyanhydride films. Preparation of e.g. polygl, 6-bis[o-carboxyphenoxy] hexane! is described. \$3597-27-6D. Fendosal, polymer backbone-incorporated RL: PRC (Pharmacological activity); THU (Therapeutic use); BIOL (Blological study); USES (Uses) (antiinflammatory compns. and methods for therapy through enhanced tissue regeneration) \$3597-27-6 CAPLUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl]-2-hydroxy-

ANSWER 100 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- AN DN TI AU
- ANSWER 100 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:576581 CAPLUS 138:147428
 138:147428
 Characterization and comparative evaluation of a novel PAI-1 inhibitor Gils, Ann; Stassen, Jean-Marie; Nar, Herbert; Kley, Joerg T.; Wienen, Wolfgang; Ries, Uwe J.; Declerck, Paul J.
 Laboratory for Pharmaceutical Biology and Phytopharmacology, Faculty of Pharmaceutical Sciences, Katholieke Universiteit Leuven, Louvain, B-3000, Relo.
- Delg. Thrombosis and Haemostasis (2002), 88(1), 137-143 CODEN: THHADQ; ISSN: 0340-6245 Schattauer GmbH so

- Journal English Plesminogen activator inhibitor-1 (PAI-1), the primary physiol. inhibitor of both tissue-type plasminogen activator and urokinase-type plasminogen activator in plasma, is a well established risk factor in thrombotic diseases. Reduction of active PAI-1 levels may lead to a decreased
- clibeases. Reduction.

 Clibeases. Reduction.

 of thrombosis. Compds. that can suppress pharmacol. active PAI-1 levels are therefore considered as putative drugs. In the present study, we describe the PAI-1 neutralizing properties and mechanism of a newly selected compound (i.e. fendosal, HPI29) in comparison to four previously reported compds. (i.e. AR-H029953XX, XR1853, XR5118 and the peptide
 - using different assays. The inhibitory effect of these compds. on active PAI-1 was analyzed by a plasmin-coupled chromogenic assay (Coaset t-PA), direct chromogenic assays (t-PA, u-PA) and quantification of complex formation by ELISA, SDS-PAGE and surface plasmon resonance. Comparative evaluation of the obtained ICSO values reveals large differences [i.e. ICSO of 15 MM (HP129) vs. >1000 MM (XR5118) determined at 37° using SDS-PAGE) between the compds. studied. Importantly, the relative potency of the various compds. is also dependent on the method used [10]
- 170-fold differences in IC50 values). Characterization of the PAI-1
- (i.e. active, non-reactive and substrate) generated upon inactivation reveals that the newly described compound HP129 induces a unique pathway (i.e. active to non-reactive conversion via substrate-behaving intermediate) of inactivation compared to the other compds. Taken together, these data strongly suggest that the various compds. act
- ugh different mechanisms. In addition, the results stress the necessity for
- careful selection of the method used for the evaluation of PAI-1 inhibitors, preferably requiring a panel of screening methods. 53597-27-6, HP 129 RE: DMA (Druy mechanism of action); PAC (Pharmacological activity); TRU (Therapeutic use); BIOL (Biological study); USES (Uses) (characterization and comparative evaluation of a novel PAI-1 inhibitor) 53597-27-6 CAPLUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)
- ANSWER 101 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:576360 CAPLUS
- 138:231345
- ΑU
- CS
- 138:231345
 A small-molecule inhibitor of the ribonucleolytic activity of human angiogenin that possesses antitumor activity
 Kao, Richard Y. T.; Jenkins, Jeremy L.; Olson, Karen A.; Key, Marc E.; Fett, James W.; Shapiro, Roberts
 Center for Biochemical and Biophysical Sciences and Medicine, Harvard Medical School, Cambridge, Mo, 02139, USA
 Proceedings of the National Academy of Sciences of the United States of America (2002), 99(15), 10066-10071
 CODEN: PNSA6; ISSN: 0027-8424
 National Academy of Sciences
 Journal

- English
 The results of previous preclin, and clin, studies have identified angiogenin (ANG) as a potentially important target for anticancer
- therapy.
 Here the authors report the design and implementation of a
- Here the authors report the design and implementation of a high-throughput screening assay to identify small mols. that bind to the ribonucleolytic active site of ANG, which is critically involved in the induction of angiogenesis by this protein. Screening of 18,310 compds. from the National Cancer Institute (NCI) Diversity Set and ChemBridge DIVERSet yielded 15 hits that inhibit the enzymic activity of ANG with Ki values <100 µH. One of these, NCI compound 65828 [8-amino-5-(4-hydroxybjphenyl-4-ylazo)naphthalene-2-sulfonate; Ki = 81 µM], was selected for more detailed studies. Minor changes in ANG or ligand structure markedly reduced potency, demonstrating that inhibition reflects active-site rather
- - er than nonspecific binding: these observations are consistent with a computationally generated model of the ANG-65828 complex. Local treatment with modest doses of 65828 significantly delayed the formation of s.c. tumors from two distinct human cancer cell types in athymic mice. ANG is the likely target involved because (i) a 65828 analog with much lower potency against the enzymic activity of ANG failed to exert any antitumor effect, (ii) tumors from 65828-treated mice had fewer interior blood vessels than those from control mice, and (ii) 65828 appears to
- no direct effect on the tumor cells. The authors' findings provide considerable support for the targeting of the enzymic active site of ANG as a strategy for developing new anticancer drugs.
 26180-28-9
 - 26180-28-9

 RL: PAC (Pharmacological activity); BIOL (Biological study)

 (small-mol. inhibitor of ribonucleolytic activity of human angiogenin that possesses antitumor activity in human cells)

 26180-28-9 CAPLUS

 Benzoic acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 101 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued) NT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 102 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:505411 CAPLUS 137:78769

Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis Augeri, David J.; Baumeister, Steven A.; Bruncko, Milan; Dickman, Daniel A.; Ding, Hong; Dinges, Jurgen; Pesik, Stephen W.; Hajduk, Philip J.; Kunzer, Aaron R.; McClellan, William; Nettesheim, David G.; Cost, Thorsten; Petros, Andrew M.; Rosenberg, Saul H.; Wang, Shen; Thomas, Sheela A.; Wang, Xllu; Wendt, Michael D. Abbott Laboratories, USA
U.S. Pat. Appl. Publ., 126 pp.
CODEN: USXXCO
Patent
English
CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE 20020704 20040413 20040930 20000920 20010920 US 2002086887 US 6720338 US 2004192681 US 2000-233866P US 2001-957276 MARPAT 137:78769 A1 B2 A1 US 2001-957276 20010920 US 2004-820097 20040407 A3

N-aryl- and N-heteroarylcarbonyl benzenesulfonamides I (A = (un) substituted Ph, 5- or 6-membered heterocyclic ring with 1-3 N, O, or

atoms; R1 = alkyl, haloalkyl, NO2, NR6R7; R2, R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, etc.; R4 = aryl, arylalkenyl, arylalkoxy, cycloalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy; R5 = H alkyl, halo; R6, R7 = H, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl,

alkyl, heterocyclyl, etc.; R6R7N = imidazolyl, morpholinyl, piperazinyl,

ANSWER 103 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 102 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) piperidinyl, pyrrolidinyl, etc.] are prepd. Over 500 I are prepd. Beg., N-biphenylcarbonyl benzenesulfonamide II was prepd. by Pd-catalyzed coupling of 4-FC6H4B(OH)z and 4-BrC6H4CO2Me, hydrolysis of the ester with LIOH, acylation of 4-chloro-3-nitrobenzensulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic arom.

citution of the chlorobenzenesulfonamide with 2,2-dimethylcyclopentylamine. Compds. of the invention inhibit Bcl-XI with IC50 values between 0.011 μ M and 10 μ M, and inhibit Bcl-2 with IC50 values between 0.017 μ M and 10 μ M.

and 10 µM, and name and name and 10 µM.
22106-33-8, (-(1-Pyrroly))benzoic acid
RE: RCT (Reactant), RACT (Reactant or reagent)
(preparation of N-aryl- and heteroarylcarbonyl benzenesulfonamide

inhibitors of Bcl-X1 and Bcl-2 as promoters of apoptosis)
.06-33-8 CAPLUS

OT BCLT-AL and CAS - . 22106-33-8 CAPLUS Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

2002:503335 CAPLUS 137:68177 DN 137:68177

Compositions comprising cyclodextrins and NO-releasing drugs
IN Naggi, Annamaria; Torri, Gian Giacomo; Trespidi, Laura
Nicox S.A., Fr.
SO Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

FAN.CNT 1

NOTE TO THE PARTY NO. | CALLED TO THE PARTY N APPLICATION NO. PATENT NO. KIND DATE PATENT NO. KIND DATE APPLICATION NO. DATE

12129306 Al 20020703 EP 2000-403719 20001229

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

W0 200205188 Al 20020711 W0 2001-EP15340 20011227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GZ, GH, GM, HR, HU, ID, LI, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, NA, ND, MG, MK, NN, MW, MK, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, KD, XW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, LT, LU, MC, NI, PT, SE, TR, BF, BJ, CF, CG, CT, CN, GA, GN, GO, CW, ML, MR, NE, SN, TD, TG

EP 1347782 Al 20031001 EP 2001-272672 20011227

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, TT, LI, LU, NL, SE, MC, PT, JF 2004-04072798 Al 2004015 US 2003-450847 20031015

PRAIE EP 2000-403719 A 20001229

WO 2001-EP15340 W 20011227

OS MARRAT 137:68177

BT The present invention relates to composition comprising cyclodextrins and a NO-releasing drug of formula A-X-L-NON (A = radical deriving from a drug

The present invention relates to composition comprising cyclodextrins 8 Careleasing drug of formula A-X-L-NOn (A = radical deriving from a drug; X = divalent radical connecting A with the NO-releasing group L-Non; L = 0, S, NH; n = 1, 2). Cyclodextrins (CDs) are selected from α -CD, = 0, S, NH; n = 1, 2). Cyclodextrins (CDs) are selected from α -CD, dimethyl- α -CD, and the drug is selected from NSAIDs, analgesics, antibacterials, antivirals, steroids, antineoplastics, β -adrenergic agonists and blockers, antihyperlipoproteinemics, and bone resorption inhibitors. For example, three compans containing 2-(acetyloxy)benzoic acid 3-(nitroxymethyl)phenyl seter (I) was were prepared; PI contained 1.470 g of α -CD and 0.500 g of I mixed in water and then dried; P2 contained 1.470 g of α -CD and 0.500 g of I mixed in ethanol/water and then dried and F3 contained 2.000 g of dimethyl- β -CD and 0.500 g of I mixed in water and then dried; F0 copresents the comparative formula containing I alone. Inhibition of contraction of acitic rings obtained was 54% for F1, 59% for F2, 61% for F3, and 19% for F0. Si3597-27-6, Fendosal RL: TEU (Therapsutic use); BIOL (Biological study); USES (Uses) (compns. comprising cyclodextrins and NO-releasing drugs) S3597-27-6 CAPUS Benzolca caid, 5-(4.5-dihydro-2-phenyl-3H-benz(e)indol-3-yl)-2-hydroxy-benzolca caid, 5-(4.5-dihydro-2-phenyl-3H-benz(e)indol-3-yl)-2-hydroxy-

J3/-2/-6 CAPLUS nzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-Cl) (CA INDEX NAME)

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ANSWER 103 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 104 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continue inflammatory cytokines) 53242-70-9 CAPLUS Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME) (Continued)

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 15

ANSWER 104 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:487387 CAPLUS 137:63257 Preparation of benzamides as inhibitors of production and release of inflammatory cytokines Muto, Susumu: Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko Institute of Medicinal Molecular Design Inc., Japan PCT Int. Appl., 313 pp. CODEN: PIXXD2 DN TI DT Patent LA Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002049632 Al 20020627 WO 2001-JP11084 20011218

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MY, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TM, TR, TT, TT, EU, ML, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, PΙ TM RW: GH, GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
2431083 AA 20020627 CA 2001-2431083 20011218
2002022683 A5 20020701 AU 2002-22683 20011218
3152650 A1 20031015 EP 2001-271124 20011218 CA 2431083 AA 20020721 AU 2002-22683 AS 20020701 AU 2002-22683 AS 20020701 AU 2002-22683 AS 20031015 EP 2001-271124 20011218 R: AT, BE, CR, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2004259877 AI 20041223 US 2004-433619 20040219 JP 2000-383202 A 20011218 PRAI JP 2000-383202 WO 2001-JP11084 OS MARPAT 137:63257

AB The title compds. I (wherein X is a connecting group; A is hydrogen or acetyl; E is aryl or heteroaryl; and Z is arene or heteroarene) are prepared

In an in vitro test using celle,
5-chloro-2-hydroxy-M-(4-methoxynaphthalen2-yl)benzamide at 1 µg/mL gave 95.1% inhibition of NF-kB activation.

IT \$3242-70-9
BLE BCT (Beactant) BCT (Parameter or record)

PRAI US

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzamides as inhibitors of production and release of

ANSWER 105 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:449627 CAPLUS 137:33319 Preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and octanamide derivatives and related compounds as inhibitors of histone -octanamide derivatives and related compounds as inhibitors of histone deacetylase

IN Curtin, Michael L.; Dai, Yujis; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vasudevan, Anil; Wada, Carol K.

PA Abbott Laboratories, USA
PCT Int. Appl., 11 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CHT 2
PATENT NO. KIND DATE APPLICATION NO. DATE A2 A3 WO 2002046129 WO 2002046129 20020613 WO 2001-US50931 20011026 MO 2001-US50931 20011026
AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GE, LL, LY, MA, MD, MG, MK, MM, MM, MK, MZ, NO, NZ, FH, EL, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, ZA, ZW, AM, AZ, BK, KG, KZ, MD, RU, TJ, TM, LS, MS, MS, SL, SL, TJ, TM, TR, TT, TZ, UA, UG, ZA, ZW, AM, AZ, BI, KG, KZ, MD, RU, TJ, TM, CT, FT, FR, GB, GR, 1E, 1T, LU, MC, NI, FT, SE, TR, BF, CI, CM, GA, GM, GQ, GW, ML, MR, NZ, NS, TD, TG
A1 20020610 US 2001-808389 20010314
AS 20011026
A 20011026 2002046129
W: AE, AG, AL,
CO, CR, CU,
GH, HR, HU,
LS, LT, LU,
PT, RO, RU,
UZ, VN, YU,
RW: GH, CR, KZ,
DE, DK, ES,
2002103192
2002043402
2000-697387
2001-808389
2001-9080931

US 2001-808389 A 20010314
WO 2001-US50931 W 2001026
MARPAT 137:33319
Compds. having the formula (R4-L2)nL1-CR1R2R3 or therapeutically acceptable salts thereof (wherein n = 1, 2; L1 = alkenylene, alkylene, alkylene, cycloelkylene, heteroalkylene, (alkylene)-C(0)N(R5)-(alkylene), (alkylene)-C(0)N(R5)-(alkylene), (alkylene)-C(0)N(R5)-(alkylene), (alkylene) to (alkylene), and its right-hand end being the end which attaches to L2, and its right-hand end being the end which attaches to the carbon substituted with R1, R2, and R3); L2 =, C2 alkenylene, O, S, SO2, OC(0)NRS, N(R6)C(0), C(0)N(R6), SO2N(R6), N(R6)C(0), C(0)N(R6), and C(0)N(R6)N(R6)C(0)

each group is drawn with its left-hand end being the end which attaches

R4, and its right-hand end being the end which attaches to Ll); R1 is selected from the group consisting of alkanoyl, alkoxycarbonyl, CONH2, CO2H, haloalkyl, heterocyclyl (wherein the heterocycle is selected from the group consisting of oxazolyl, dihydrooxazolyl, oxadiazolyl, and tetrazolyl); R2 = R3 = H0: or R2 and R3 together are oxo; R4 = alkoxyalkyl, aryl, arylakyl, cycloalkyl, cycloalkylalkyl, heterocycle, heterocyclylalkyl; R5, R6 = H, alkyl, aryl, arylakyl; or R5 and R6, together with the nitrogen atom to which they are attached, form

heterocycle selected from the group consisting of (un)substituted morpholinyl, piperazinyl, piperidinyl, and thiomorpholinyl], which a histone deacetylase (HDAC) inhibitors (no data), are prepared These

are used for the treatment of diseases, possibly e.g. several human cancers associated with malfunction in histone deacetylases. Thus, a mixture

L9 ANSWER 105 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) of 9,9,9-trifluoro-8-oxononanoic acid (50 mg, 0.22 mmol), ROBE (30 mg, 0.22 mmol), carbodiminde PS resin (720 mg), and 4-phenyl-1,3-thiazol-2-amine (0.27 mmol) in DMF (5 mL) at room temp, was agitated in a Quest 210 parallel synthesizer for 18 h, treated with trisamine PS resin (220 mg), and agitated for 2 h. The soln. was decanted, the resin was rinsed with dichloromethane, and the combined solns. were concd., followed by purifu. using preparative RPLC with a gradient system of 0 to 95 to over 10 min of MeCN (contg. 0.1% CF3GOZH) in water to give 9,9,9-trifluoro-8-oxo-N-(4-phenyl-1,3-thiazol-2-yillonanamide.

IT 22106-33-8, 4-(IN-Pyrrol-1-yilbenzoic acid RL: RCT (Reactant); RRCT (Reactant or reagent) (reactant; preparation of N-aryl, N-arylalkyl, and N-heterocytylnonanamide and -octanamide derivs. and related compds. as inhibitors of histone deacetylane)

RN 22106-33-8 CAPLUS

22106-33-8 CAPLUS
Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



ANSWER 106 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

26180-28-9 CAPLUS
Benzoic acid, 3-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 106 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:429204 CAPLUS 137:687
Method for screening bacterial transcription modulators Pau, Bernard: Leonetti, Jean-Paul; Rouby, Joeelle Centre National De La Recherche Scientifique, Fr. PCT Int. Appl., 50 pp. CODEN: PIXXD2
Patent
French
CNT 1 IN PA SO DT FAN. CNT 1 PATENT NO. NO. KIND DATE APPLICATION NO. DATE

1044735 A1 20020606 W0 2001-FR3749 20011127

AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GZ, GH, CM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MY, MX, MZ, NO, NZ, GM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, WO 2002044735 ΡI RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NI, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, ML, MR, NE, SN, TD, TG FR 2817349 B1 20030620 CA 2430174 AA 20020531 FR 2000-15332 20001128 AD 2002052774 A5 20020661 AU 2002-52774 20011127 AU 2002052774 A5 20020611 AU 2002-52774 20011127 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LT, LY, FI, RO, MK, CY, AL, TR US 200404283 A1 20040311 US 2003-432987 20030910 PRAIF R 2004-15332 A 20001128 WO 2001-FR3749 W 20011127 AB The invention discloses a method for detecting a compound modulating complex TH The invention discusses a measure of the invention factor. The method comprises: incubating a mixture comprising RNA polymerase, transcription factor, and a test compound; detecting, by a complex formation test, the difference in the amount of complex formed between RNA polymerase and the transcription factor, relative to a control value corresponding to the amount of complex formed between RNA polymerase and the transcription factor. factor
in the absence of any modulator; deducing therefrom, when there is a significant change, that there has been formation of a bond between the compound and RNA polymerase and/or the transcription factor, which results
in a modulation of complex formation between RNA polymerase and the transcription factor. The methodol. of the invention s useful for the discovery of antibiotics, antiviral agents, and antitumor drugs.

IT 1598-26-7 2580-28-9
RL: PAC (Pharmacological activity); TRU (Therapeutic use); BIOL (Blological study); USES (Uses) (Dacterial transcription modulator screening)
RN 1598-26-7 CAPLUS
CN Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAMZ)

Page 113

22	2000-400517		COFIRION	1 2000 ACS OII STN	
AN	2002:408517 CAPLUS	•			
DN	137:741				
TI				at the blood-brain barrier for nervous system-active agents	
IN	Schoenhard, Grant I		r centrar	nervous system-active agents	
PA	Pain Therapeutics,		SA		
so	PCT Int. Appl., 143 CODEN: PIXXD2	pp.			
DT	Patent				
LA	English				
FAN.	CNT 13				
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
	WO 2002041884				
PI		A2	20020530		
	WO 2002041884	Ci	20031211		
				BA, BB, BG, BR, BY, BZ, CA, CH, CN,	
	CO, CR, CU,	CZ, DE	, DK, DM,	DZ, EC, EE, ES, FI, GB, GD, GE, GH,	
	GM, HR, HU,	ID. IL	. IN. 15.	JP, KE, KG, KP, KR, K2, LC, LK, LR,	
				MK, MN, MW, MX, MZ, NO, NZ, QM, PH,	
				SI, SK, SL, TJ, TM, TR, TT, T2, UA,	
				31, 3K, 3U, 10, 1K, 1K, 11, 12, UA,	
	UG, US, UZ,	VN, TU	, ZA, ZW		
	RW: GH, GM, KE,	LS, MW	, MZ, SD,	SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,	
	KZ, MD, RU,	TJ, TM	, AT, BE,	CH, CY, DE, DK, ES, FI, FR, GB, GR,	
	IE, IT, LU,	MC, NL	, PT, SE,	TR, BF, BJ, CF, CG, CI, CM, GA, GN,	
	GQ, GW, ML,	MR. NE	. SN. TD.	TG	
	US 6011004		20000104		
	AU 9947399	Al	19991028		
	CA 2427330	AA	20020530		
	AU 2002039427				
		A5	20020603		
	US 2003073713	A1		US 2001-113 20011030	
	US 7034036	B2	20060425		
	EP 1392265	A2	20040303		
	R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, NL, SE, MC, PT,	
	IE, SI, LT,	LV. FI	. RO. MK.	CY, AL, TR	
	JP 2004528273	T2	20040916		
	AU 2002042422	A5	20020704		
	AU 782475	B2	20050804		
	AU 2002042423	A5	20020704		
	AU 782665				
		B2	20050818		
	AU 2005229765		20060105		
PRAI	US 2000-244482P		20001030		
	US 2000-245110P	P	20001101		
	US 2000-246235P	₽	20001102		
	US 1990-612847	B1	19901113		
	US 1993-153796	A1	19931117		
	AU 1995-32769	A3	19950718		
	AU 1999-41135	A3	19990726		
	AU 1999-47399				
		A3	19990906		
	WO 2001-US45367	¥	20011030		
	AU 2002-42423	A3 .	20020521		
OS	MARPAT 137:741				
AB	The invention relat	es to in	nhibitors	of drug transporters of the ABC	
				ransporters present at the blood bra	n
				s identified according to the	
inve	ntion				

increase brain concns. of CNS-active agents. Such inhibitors increase influx into the brain and/or reduce the efflux from the brain of such

CNS-active agents. 432492-45-0

IT

ANSWER 107 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 107 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity): PRP (Properties): TRU
(Therapeutic use): BIOL (Biological study): USES (USES)
(ABC drug transporter inhibitors for increasing brain concns. of
CNS-active agents:
432492-45-0 CAPLUS
H-Pyrrole-3-carboxylic acid, 1-(3-carboxy-2,4,6-trimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME) L9

L9 ANSWER 108 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:391703 CAPLUS
DN 136:402022
T Preparation of (5)-u-methyltryptophan amide derivatives as bombesin receptor antagonists
Higginbottom, Nichael; Pritchard, Martin Clive; Stock, Herman Thijs
PA Warner-Lambert Company, USA
PCT Int. Appl., 85 pp.
CODEN: PIXXD2
T Patent
LA English
FAN.CHT 1
PATENT NO. KIND DATE APPLICATION NO. DATE PATEMT NO.

WO 2002040469

A1 20020523

WO 2001-EP14401

20011116

W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DH, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GG, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, MZ, NO, NZ, OM, PL, CH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG GB 2369117

A1 20020522

GB 2000-25104

A2 20020597

A3 20020527

A4 20020518079

A5 20020527

A6 20030813

A7 2002015079

A7 20030813

B7 2001-2426089

A8 20030813

B7 2001-2945089

A8 2001015414

A8 20030909

BR 2001-15414

20011116

US 200415440

A1 20040517

US 2004-261040

A 20011116

CASREACT 136:402022; MARPAT 136:402022 ΡI PRAI GB 2000-28104 WO 2001-EP14401

ANSWER 108 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) This invention discloses the preparation of title compds. (CH2)k-X-RS-CKS(CH2Ar1)-CO-NR4-(CH2)1-(CR1R6)m-(CH2)n-R2 (I) and their pharmaceutically acceptable salts as bombesin receptor antagonists [wherein: k = 0, 1, 2; 1 = 0, 1, 2, 3; m = 0, 1; n = 0, 1, 2; X = CO, SO, SO2; Ar = (un)substituted benzimidazolyl, benzofuryl, indanyl, indolyl, naphthyl, Ph, pyridyl, pyrimidyl, thienyl, furyl, imidazolyl, pyrrolyl, thiazolyl, etc., Ari = groups given for Ar, plus pyridyl N-oxider Ri = H, alkyl, (oxa - or azalcycloalkyl; RZ = groups given for H, OH, alkoxy, NMe2, CONR12R13, certain substituted rings; R3-R5 = H alkyl; R6 = H, Me, or together with R1 forms carbonyl or a C3-7 ring can contain an oxygen or nitrogen atom; provided that when X = OCO, then = 1-3 and m = 1). Approx. 140 specific examples of I were prepared claimed. For example, HBTU-mediated coupling of 1H-indole-2-carboxylic acid with the corresponding intermediate amine provided the claimed α-methyltryptophan amide II in 60% yield. In binding studies to cloned human BBl and BB2 bombesin receptor subtypes, compound II had IC50 values of 11 nM and 119 nM, resp. 10333-68-3, 2-Pyrrol-1-ylbenzoic acid RE: RCT (Reactant); RACT (Reactant or reagent) (reactant; preparation of α-methyltryptophan amide derivs. as easin receptor antagonists) 10333-68-3 CAPLUS Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 109 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:391535 CAPLUS 136:380143 Treatment of sexual dysfunction using bombesin antagonist Gonzalez, Maria Isabel; Higginbottom, Michael; Pinnock, Robert Denham; Pritchard, Martyn Clive; Stock, Herman Thijs Warner-Lambert Company, USA PCT Int. Appl., 151 pp. CODEN: PIXXD2 Patent English CMT 10. DT LA FAN CNT 10 PATENT NO. KIND DATE APPLICATION NO. DATE EP 1333824 AB 20050907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, V, FI, RO, MK, CY, AL, TR

BR 2001015364 A 20030923 BR 2001-15364 20011114
CN 1518445 A 20040729 JP 2002-542382 20011114
CN 1518445 A 20040729 JP 2002-542382 20011114
CN 525415 A 20040804 CN 2001-821951 20011114
AT 303804 E 20050915 AT 2001-921951 20011114
AT 303804 E 20050915 AT 2001-90128451 20011114
AT 303804 B1 20040901 TW 2001-90128451 20011116
ZA 2003003250 A 20040960 US 2003-416934 20031204
WO 2000-GRAB360 W 2001117 A Al W WO 2000-GB4380 GB 2001-9910 GB 2001-11037 20001117 20010423 20010504 20011114 esin receptor antagonists have been found to be useful in the

- ANSWER 109 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) treatment of sexual dysfunction in both males and females. Prepn. of compds. of the invention is included.
 10333-68-3, 2-Pyrrol-1-yl benroic acid
 RI: RCT (Reactant); RRCT (Reactant or reagent)
 (reaction; bombesin antagonists for treatment of sexual dysfunction)
 10333-68-3 CAPLUS
 Benroic acid, 2-{1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 110 OF 185 CAPIUS COPYRIGHT 2006 ACS on STN (Continued) disclosed of bombesin receptor antagonists with a range of other active compds., for example phosphodiesterase V inhibitors, neutral peptidase inhibitors, and lasofoxifene. Prepn. of compds. of the invention is described.

- inhibitors, and lasoroxieme. ...
 described.
 10333-68-3, 2-Pyrrol-1-ylbenzoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction; bombesin receptor antagonists, and combinations with other
 agents, for treatment of sexual dysfunction)
 10333-68-3 CAPLUS
 Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

- ANSWER 110 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:391522 CAPLUS 136:395983 esin receptor antagonists, and combinations with other agents, for the
 treatment of sexual dysfunction
 IN Gonzalez, Maria Isabel; Stock, Herman Thijs; Pinnock, Robert Denham;
 Pritchard, Martyn Clive; Wayman, Christopher Peter; Van der Graef, Pieter
 Hadewijn; Naylor, Alisdair Mark: Higginbottom, Michael
 PA Warner-Lambert Company, USA
 PCT Int. Appl., 225 pp.
 CODEN: PIEXDE
 DT Patent
 LA English
 FAN.CNT 10
 PATENT NO. KIND DATE APPLICATION NO. DATE English
 CONT 10
 PATENT NO.

 WO 2002040008
 R3 20020323
 WO 2001-GB5018 20011114
 WO 2002040008
 R3 20020323
 WO 2001-GB5018 20011114
 WO 2002040008
 R3 20020323
 WO 2001-GB5018 20011114
 WO 2002040008
 R3 20020322
 WI AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CG, CR, CU, CZ, DE, DK, DM, DZ, EC, EZ, ES, F1, GB, GD, GZ, GH, GM, HR, HU, ID, IL, IN, IS, JP, KR, KG, KF, KR, KZ, LC, LK, LR, PT, RO, RU, SD, SE, SG, S1, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, US, UZ, VN, YU, ZA, ZW
 RWI GM, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, F1, FR, GB, GR, IE, IT, JU, MC, NL, PT, SE, TR, BF, SD, CF, GG, CT, CM, GA, GG, GG, CW, KLL, MR, NE, SN, TD, TG
 WO 2002040022
 R1 20020323
 WO 2000-GB4380
 WO 2002040022
 R1 20020523
 WO 2000-GB4380
 WO 2002040022
 R1 20020523
 WO 2000-GB4380
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 WO 2002040022
 R1 20020523
 WO 2002040052
 R1 20020523
 WO 2002040052
 R1 20020523
 WO 2002040052
 R1 20020523
 CA 20020523
 CA 20020523
 CA 200205230
 CA 2429106
 AS 20020523
 CA 20020524
 CA 20020523
 CA 2001-2429106
 CA 2429106
 CA 2429106 EP 1333824 RZ 20030937 EP 2001-994352 Z0011114
 EP 1333824 B1 20050907
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, ST, LT, LV, FT, RO, MK, CY, AL, TR

 ER 2001015364 A 20030923 BR 2001-15364 20011114
 NZ 525415 A 20040729 JP 2002-542382 20011114
 NZ 525415 A 20041126 NZ 2001-525415 20011114
 NZ 525415 A 20041126 NZ 2001-525415 20011114
 US 2004087961 A1 20040506 US 2003-416934 20031204
 US 2000-GB4380 W 2001117
 GB 201-101037 A 20104023
 GB 2001-11037 A 20010504
 WO 2001-GB5018 W 20011114
 NARRAT 156:395983
 Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are PRAI
- ANSWER 111 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
- 2002:368981 CAPLUS 136:380137
- Sembesia: Receptor antagonists, and preparation thereof, for the treatment of sexual dysfunction Gonzalez, Maria Isabel; Pinnock, Robert Denham; Pritchard, Martyn Clive
- U.S. Pat. Appl. Publ., 72 pp., Cont.-in-part of U. S. Ser. No. 700,165. CODEN: USXXCO
- Patent English DT LA

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002058606	A1	20020516	US 2001-759777	20010112
	US 2002169101	A1	20021114	US 2001-999284	20011115
	ZA 2003003249	A	20040623	ZA 2003-3249	20030425
PRAI	US 1999-133355P	P	19990510		
	WO 2000-GB1787	w	20000510		
	US 2000-700165	A2	20001109		
	US 2001~759777	A2	20010112		
	GB 2001-9910	A	20010423		
	GB 2001-11037	A	20010504		
AB	Bombesin receptor	antagon	ists have be	en found to be useful i	n the
	treatment of sexua	al dvsfu	action in bo	th males and females.	

- creaument or sexual dysfunction in both males and females.

 11 10333-68-3, 2-Pyrrol-1-yl-benzoic acid

 RL: RCT (Reactant); RACT (Reactant or reagent)

 (reaction; bombesin receptor antagonists, preparation, and use for sexual

- dysfunction treatment, alone or with other agents) Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

10/706,027 Page 116 L9 ANSWER 112 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

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ANSWER 112 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:354097 CAPLUS 136:355074
                                136:355074
Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-Z as promoters of apoptosis Augeri, David J., Baumeister, Steven A.; Bruncko, Milan; Dickman, Daniel A.; Ding, Hong; Dinges, Jurgen; Feaik, Stephen W.; Hajduk, Philip J.; Kunzer, Aaron R.; McClellan, William; Nettesheim, David G.; Oost, Thorsten; Petros, Andrew M.; Rosenberg, Saul H.; Shen, Wang; Thomas, Sheela A.; Wang, Xilu; Wendt, Michael D.
     IN
                                U.S. Pat. Appl, Publ., 126 pp., Cont.-in-part of U.S. Ser. No. 666,508. CODEN: USXXCO
     DT Patent
LA English
FAN.CNT 2
PATENT NO.
                                                                                                                                                 KIND DATE
                                                                                                                                                                                                                                                         APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                                           DATE
PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 2002055631 A1 20020309 US 2001-935581 20010824
CA 2423103 AA 20020328 CA 2001-2423103 20010920
WC 2002024636 A2 20020328 WC 2001-2423103 20010920
WS: AE, AG, AL, AM, AT, AU, AE, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GB, GE, GH, CM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KE, LC, LK, LR, LS, LT, LU, LV, WA, MD, MG, WK, MN, MW, MK, MZ, NO, NZ, PH, PI, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TT, TT, ZU, AUG, UZ, VN, YU, ZA, ZW

RW: GH, GH, KE, LS, HW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
AU 2001091151 A5 20020402 AU 2001-91124 20010920
EP 1318978 B1 20060208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IZ, SI, LT, LV, FI, RO, NK, CY, AL, TR
JP 2004529852 T2 20040930 JF 2002-529049 20010920
US 2001-035581 A 200006024
WO 2001-US29432 W 20010920
US 2001-935581 A 20010920
US ARRPAT 136:335074
                             US 2002055631
CA 2423103
WO 2002024636
WO 2002024636
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N-aryl- and N-heteroarylcarbonyl benzenesulfonamides I [A = $\{un\}$ substituted Ph, 5- or 6-membered heterocyclic ring with 1-3 N, O, or

(Continued)

atoms; R1 = alkyl, haloalkyl, NO2, NR6R7; R2, R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, etc.; R4 = aryl, arylalkenyl, arylalkoxy, cycloalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy; R5 = H, alkyl, halo; R6, R7 = H, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl,

alkyl, mato, no, n. ...

alkyl,
heterocyclyl, etc.; R6R7N = imidazolyl, morpholinyl, piperazinyl,
piperidinyl, pyrrolidinyl, etc.) are prepared Over 500 I are prepared

piperidinyl, pyrcolidinyl, etc.] are prepared Over 500 I are prepared E.g.,

N-biphenylcarbonyl benzenesulfonamide II was prepared by Pd-catalyzed coupling of 4-FC6H4B(6H)2 and 4-BrC6H4C0ZMe, hydrolysis of the ester with LiOH, acylation of 4-chloro-3-nitrobenzenesulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic aromatic substitution of the chlorobenzenesulfonamide with 2,2-dimethylcyclopentylamine. Compds. of the invention inhibit Bcl-XI with IC50 values between 0.011 µM and 10 µM, and inhibit Bcl-2 with IC50 values between 0.017 µM and 10 µM.

IT 22106-33-8, 4-(1-Pyrrolyl)benzoic acid
RL: RCT (Reactant), RRCT (Reactant or reagent) (preparation of N-aryl- and heteroarylcarbonyl benzenesulfonamide inhibitors Bcl-XI and Bcl-2 as promoters of apoptosis)
RN 22106-33-8 CAPLUS
CN Benzoic acid, 4-(H-pyrrol-1-yl)- (SCI) (CA INDEX NAME)

ANSWER 112 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



ANSWER 113 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2002:240717 CAPLUS 136:279215 DN 136:279215
TI Preparation of N-arylcarbonyl- and heteroarylcarbonyl benzenesulfonamide inhibitors of Bcl-Xl and Bcl-2 as promoters of apoptosis
N McClellan, William; Oost, Thorsteni Bruncko, Milan; Wang, Xilu; Augeri, David J.; Baumeister, Steven A.; Dickman, Daniel A.; Ding, Hong; Dinges, Jurgen; Pesik, Stephen W.; Hajduk, Philip J.; Kunzer, Aaron R.; Nettesheim, David G.; Petros, Andrew M.; Rosenberg, Saul H.; Shen, Wang; Thomas, Sheela A.; Wendt, Michael D.
PA Abbott Laboratories, USA
DCOEN: PIXXD2
DT Patent
LA English
FAN.CNT 2
PATENT NO. PATENT NO. KIND DATE APPLICATION NO.

L9 ANSWER 113 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

N-aryl- and N-heteroarylcarbonyl benzenesulfonamides I (A = $\{un\}$ substituted Ph, 5- or 6-membered heterocyclic ring with 1-3 N, O, or

atoms: R1 = alkyl, haloalkyl, NO2, NR6R7; R2, R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, etc.: R4 = aryl, arylalkenyl, arylalkoxy, cycioalkenyl, cycloalkyl, halo, heterocyclyl, heterocyclyloxy, R5 = H alkyl, halo; R6, R7 = H, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl,

alkyl,
heterocyclyl, etc.; R6R7N = imidazolyl, morpholinyl, piperazinyl,
piperidinyl, pyrrolidinyl, etc.] are prepared Over 500 I are prepared

E.g.,

N-biphenylcarbonyl benzenesulfonamide II was prepared by Pd-catalyzed coupling of 4-FC6H4B(0H)2 and 4-BrC6H4C02Me, hydrolysis of the ester with LiOH, acylation of 4-chloro-3-nitrobenzenesulfonamide with the resulting acid in the presence of EDCI and DMAP, and nucleophilic aromatic substitution of the chlorobenzenesulfonamide with 2,2-dimethylcyclopentylamine. Compds. of the invention inhibit Bcl-X1 with IC50 values between 0.011 µH and 10 µH.

IT 22106-33-8, 4-(1-Pyrrolyl)benzoic acid
RE: RCT (Reactant): RACT (Reactant or reagent)

(preparation of N-aryl- and heteroarylcarbonyl benzenesulfonamide inhibitors

of Bcl-X1 and Bcl-2 as promoters of apoptosis)
22106-33-8 CAPLUS
Benzoic acid, 4-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

L9 ANSWER 114 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN
AN 2002:107167 CAPLUS
DN 136:156664
I Therapeutic polyesters and polyamides
IN Uhrich, Kathryn E.
R Rutgers, the State University of New Jersey, USA
50 PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO PATENT NO. KIND DATE APPLICATION NO. DATE

**NO 2002009768 A2 20020207 WO 2001-US23747 20010727

**W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, JD, IL, IN, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, HA, MD, MG, MK, MN, MW, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, W, ML, MR, NE, NN, TD, TG

CA 2417389 AA 20020207 CA 2001-2417389 20010727

AU 2001078055 A5 20020213 AU 2001-78055 20010727

US 2002071822 A1 20020613 US 2001-97194 20010727

US 2002071822 A1 20020613 US 2001-97194 20010727

US 200305147 A2 20030514 EP 2001-956013 20010727

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LY, FI, NG, MK, CY, AL, TR

JP 2004505063 T2 20040210 US 2004-753048 20040106

AU 2001-261337F P 20010112

AU 2001-261337F P 20010112

AU 2001-78055 A3 20010727

US 2001-261337F P 20010112

AU 2001-78055 A3 20010727

POLYMERS (i.e. polyesters, polyamides, and polythioeaters or a mixture thereof) which degrade hydrolytically into biol. active compds. are provided. Methods of producing these polymers, intermediates useful for preparing these polymers to deliver biol. active compds. to a host are also provided. The biol. active compds. APPLICATION NO. DATE und
is a non-steroidal anti-inflammatory drug, antibacterial, antifungal,
anticancer, antithrombotic, immunosuppressant, or analgesic. For anticancer, antithrombotic, immunosuppressant, or analyses.

example,
morphine was copolymd. with a diacid chloride to provide a polyester.

IT \$3597-27-6, Fendosal
RL: TRU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of drug-containing polyamides, polyesters and
polythioesters as
prodrugs)
RN \$3597-27-6 CAPLUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

L9 ANSWER 113 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 114 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

10/706,027 Page 118

ANSWER 115 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

L9 ANSWER 115 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:935407 CAPLUS
DN 136:53768
T Preparation of N-[{piperazino or piperidino|phenyl} benzamides as microsomal triglyceride transfer protein (MTP) inhibitors
Daugn, Alein Claude-Marie
PA Glaxo Group Limited, UK; Kirilovsky, Jorge Eduardo
PCODEN: PIXXD2
D Patent
LA English
FAN.CNT 1
FAN.CNT 1
FATENT NO. KIND DATE APPLICATION NO. DATE PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2001097810 A2 20011227 WO 2001-EP6242 20010601

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BB, GB, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KZ, KG, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MK, MZ, NO, MZ, PL, PT, RO, RU, SD, SZ, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VM, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RN: GH, GM, KZ, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BZ, CH, CY, DE, DK, SS, FI, FR, GB, GR, IZ, IT, LU, KC, NL, PT, SZ, TR, BF, BJ, CF, CG, CI, CH, GA, GM, GW, HL, MR, NK, SM, TD, TG

EP 1286670 A2 20030355 EP 2001-947331 20010601

R: AT, BE, CH, DZ, DK, SS, FR, GB, GR, IT, LI, LU, NL, SS, MC, PT, IZ, ST, LT, LV, FI, RO, MK, CY, AL, TR

JP 20033535900 T2 20031202 JP 2002-503294 20010601

PRAI GB 2000-13378 A 20000601

WO 2001-EP6242 V 20010601 DATE

The title compds. [I; A = N, CH; X = alkylene, O, S, SO, etc.; Z = a

The title compas. [1] A = N, CH; X = alkylene, O, S, SO, etc.; Z = a,

(un) substituted alkylene, optionally containing one double bond; R1 = H,

perfluoroalkyl, aryl, etc.; Y = a bond, O, alkylene, etc.; R2 =

(un) substituted Ph, cycloalkyl, heterocyclyl; R3 = H, haio, alkyl, etc.],

useful as microsomal triglyceride transfer protein (MTP) inhibitors for

treating obesity and post-prandial hyperlipemia, were prepared and

formulated. Thus, amidation of 4-[4-(3-cyanobenzyl)-piperazin-1
yl]phenylamine with 4-trifluoromethylbiphenyl-2-carboxylic acid (prepns.

of both reactants were given) in the presence of HOBt, EDCl and EUN in

CH2Cl2 afforded II which showed ICSO of 0.9 nM in human MTP assay.

10333-68-3, 2-[Pyrrol-1-yl]benzoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-[(piperazino or piperidino)phenyl) benzamides as

microsomal triglyceride transfer protein (MTP) inhibitors)

10333-68-3 CAPLUS

Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 115 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 116 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:868447 CAPLUS 136:5917 Preparation of (hetero)arylacyl-piperidinyl-benzylamines for use as Preparation or (hetero)arylacy1-plperidiny1-benzylamines for use as tryptase inhibitors Astles, Peter C., Eastwood, Paul R.; Houille, Olivier; Levell, Julian; Pauls, Heinz; Czekaj, Mark; Liang, Guyan; Gong, Yong; Pribish, James; Neuenschwander, Kent Aventis Pharmaceuticals Products Inc., USA PCT Int. Appl., 267 pp. CODEN: PIXXD2
Patent IN DT English

FAN.	CNT	1																
	PA'	TENT						DATE										
PI	WO	2001																
		W:						AU,										
								DM,										
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				ZA.											,	,		
		RW:	GH.	GM.	KE.	LS.	MW.	MZ,	SD.	SL.	sz.	TZ.	UG.	ZW.	AT.	BE.	CH.	CY.
								GB,										
								GA,									,	,
	US	2003		20		A1		2003	1002								0010	426
	US	6977	263			B2		2005	1220									_
	CA	2409	827			AA		2001	1129		CA 2	001~	2409	827		2	0010	427
	EP	1296	972			Al		2003	0402		EP 2	001-	9309	25		2	0010	427
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR.	GB,	GR,	IT,	LI,	LU,	NL.	SE.	HC.	PT.
								RO,										
		2001						2003	0415		BR 2	001-	1120	6		2	0010	427
	JP	2004	5106	97		T2		2004	0408		JP 2	001-	5862	88		2	0010	427
	CN	1740	169			А		2006	0301		CN 2	005-	1010	6304		2	0010	427
	МО	2002	0056	01		А		2003	0106		NO 2	002-	5601			2	0021	121
	ZA	2002	0094	84		А		2004	0223		ZA 2	002-	9484			2	0021	121
	US	2005	2280	18		A1		2005				005-					0050	
PRAI	GB	2000	-123	62		А		2000	0522									
	US	2001	-843	126		А		2001	0426									
	CN	2001	-811	952		A3		2001	0427									
	WO	2001	-US1	3811		w		2001	0427									
os	MAI	RPAT	136:	5917														
GI																		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [Ar = (hetero)aryl, where the two groups on the Ar ring are ß to each other; R1-2 = H, alkyl; R3 = (un)substituted(hetero)aryl, arylalkenyl, cycloalkenyl, cycloalkyl, etc.; R4 = H, acyl, alkoxy, alkyloxycarbonyl, carboxy, CN, halo, etc.; n = 0 - 4] were prepared Over 300 synthetic examples were disclosed. For ance.

ance,
3-bromobenzylbromide was converted in two steps to boronate II. II was
coupled to the triflate ester derivative of the enol of 4-oxo-Nbenzyloxycarbonylpiperidine (DMF, K2CO3, PdC12 (dppf)=CR2C12,
80°C, 18 h) to give the corresponding bicyclic intermediate. This
intermediate was deprotected and reduced to the piperidine (EtOH, 10%)

10/706,027

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L9 ANSWER 116 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Pd-C/H2, room temp., 5 h) and coupled to
5-phenethylthiophene-2-carboxylic
acid (DMT, RAPyU, iPrZNEt, room temp., 18 h) to give III. III had Ki =
```

nM for tryptase. I are useful in the treatment of e.g., asthma and inflammatory diseases. 22106-33-8, 4-(1H-Pyrrol-1-yl)benzoic acid RE: RCT (Reactant); RACT (Reactant or reagent) (reactant; preparation of (hetero)arylacyl-piperidinyl-benzylamines

IT

for use

use as tryptase inhibitors) 22106-33-8 CAPLUS Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 117 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

363607-41-4 CAPLUS
Benzoic acid, 3-(4,5-dihydro-2-phenyl-3H-benz(e]indol-3-yl)- (9CI) (CA
INDEX NAME)

363607-43-6 CAPLUS Benzoic acid, 4-(4,5-dihydro-2-phenyl-3H-benz(e]indol-3-yl)- (9CI) (CA INDEX NAME)

: acid, 4-(4,5-dihydro-2-phenyl-3H-benz(e)indol-3-yl)-2-hydroxy-(CA INDEX NAME)

ANSWER 117 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:726388 CAPLUS 135:272871 135:272871
Preparation of 3-phenyl-4,5-dihydrobenz[e]indoles as thrombolytics Ries, Une: Stassen, Jean Marie: Wienen, Wolfgang Boehringer Ingelheim Pharma KG, Germany Ger. Offen., 8 pp.
CODEN: GWXXBX PA SO DT Patent LA German FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE PI DE 10015939 PRAI DE 2000-10015939 OS MARPAT 135:272871 GI A1 20011004 DE 2000-10015939 20000330 20000330

Page 119

AB Title compds. [I: R = H, alkyl, thienyl, (substituted) Ph; R1 = CO2H, alkoxycarbonyl, carbamoyl, N-alkylcarbamoyl, etc.; R2 = H, halo, OH, SH, CF3, alkyl, alkoxy, alkanoyloxy, etc.; R3 = H, alkanoyl, Ph; D = H, halo, alkyl, alkoxy, alkanoyloxy, alkanoyloximio, No. Gy; n = 1, 2], were prepared Thus, 1-phenacyl-2-tetralone and 5-aminosalicylic acid in glacial AcOH were stirred for 90 min at 140° to give 573 3-(3-carboxy-4-hydroxyphenyl)-4,5-dhydro-2-phenylbenz(e)lindole 363607-41-4P 363607-43-6P 363607-44-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study); PREP (Preparation); THU (Therapsutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

logical study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic study, unclassified); SPN (Synthetic preparation); USES (Uses) (preparation of phenyldihydrobenzindoles as thrombolytics) 53597-27-6 CAPLUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz(e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)

ANSWER 118 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:507732 CAPLUS 135:103458

Novel bacterial genes and proteins that are essential for cell viability and their uses and their uses
Dougherty, Thomas J.; Pucci, Michael J.; Dougherty, Brian A.; Davison,
Daniel B.; Bruccoleri, Robert E.; Thanassi, Jane A.
Bristol-Myers Squibb Company, USA
PCT Int. Appl., 380 pp.
CODEN: PIXXD2 IN

Patent English

FAN	. CNT	1																
	PA'	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
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PI	WO	2001	0497	21		A2		2001	0712		WO 2	000-	US35	604		2	0001	229
	WO	2001	0497	21		A3		2002	0912									
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR.	BY,	BZ,	CA.	CH.	CN.
									DZ,									
									KE,									
									MN,									
									TJ,									
			ΥU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM				
		RW:	GH,	GΜ,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI.	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF.
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR.	NE,	SN,	TD,	TG		
	CA	2396	040			AA		2001	0712		CA 2	000-	2396	040		21	0001	229
			A2		2002	1204		EP 2	000-	9922	97		21	0001	229			
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
PRA	US	1999																

US 199-1/4007 r
w0 2000-12335604 w 20001229
The present invention provides novel bacterial genes and their encoded polypeptides thereof which are essential for bacterial cell viability, AB

their uses. Conserved essential gene (ceg) nucleotide sequences of the invention were obtained by large-scale computational comparisons of multiple genome sequences to identify conserved protein coding regions, followed by gene disruption to identify cegs. The conservation of

sequences in many cases is believed to reflect the higher level conservation of common biochem. pathways essential for bacterial function and viability. A procedure is provided to generate recombinant vectors

pEVP-3 having inserts of candidate ceg nucleotide sequences. Knockout primers are used to generate DNA fragments comprising candidate ceg sequences. The high throughput gene disruption procedure used in Streptococcus pneumoniae identified 113 candidate genes and their encoded protein sequences. Bacterial gene sequences that encode gene products essential for bacterial cell viability are useful in strategies for developing new antimicrobial agents.

54659-55-7

RL: BPR (Biological process); BSU (Biological study, unclassified); TEU (Therapeutic use); BIOL (Biological study); PROC (Process);

TRUM (Therapoutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(ligand; bacterial genes and proteins that are essential for cell viability and their uses)
54669-65-7 CAPUS
Benzolc acid, 2-hydroxy-5-(4,5,6,7-tetrahydro-2-phenyl-lH-indol-1-yl)-(9CI) (CA INDEX NAME)

ANSWER 118 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 119 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:489366 CAPLUS 135:92541 DN 135:92541
TI Preparation of a substance library from iminium salts and naphthalene, pyrrole, and/or indole compounds and use of the library in discovery of active compounds.

IN Gerlach, Matthias; Maul, Corinna
Gerlach, Matthias; Maul, Corinna
GOODEN: PIXXD2

DT PATENT NO. PATENT NO. DATE APPLICATION NO. DATE BA, BB, BG, BR, BY, BZ, CA, CH, CN, EE, ZS, FI, GB, GD, GE, GH, GM, KR, KG, KP, KR, KK, LC, LK, LR, LS, LT, ME, MC, MZ, NO, NZ, PL, PT, RO, RU, TM, TR, TT, TZ, UA, UG, US, UZ, VN, KZ, MD, RU, TJ, TM
SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, 1E, IT, LU, HC, NL, PT, SZ, TR, BF, GW, ML, MR, NE, SN, TD, TG
DE 1999-19963177
19991227 iminium salts with naphthalene, pyrrole, or indole compds. Thus, reaction
of 1H-indole with benzylidenedimethylaminen chloride gave
([1H-indol-3-yl)phenylmethyl]dimethylamine. The latter gave 41%
inhibition of phenylquinone-induced writhing in mice.

IT 347897-66-9
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); TMU (Therapeutio use); BIOL (Biological
study); USES (Uses)
(Uses)
(Uses)
(Uses)
RN 197897-66-9
RN 347897-66-9
RN 347897-66

ANSWER 119 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 120 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:489365 CAPLUS 135:92537 AN DN TI Preparation of novel pyrroles as cyclic AMP-specific phosphodiesterase inhibitors
Martins, Timothy J.; Fowler, Kerry W.; Oliver, Amy; Hertel, Carmen C. Icos Corp., USA PCT Int. Appl., 151 pp. CODEN: PIXXD2 PA SO DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ΡĪ AU 781063 US 2003013754 US 6569890 PRAI US 1999-171954P US 2000-686054 WO 2000-US28496 20030116 20030527 19991223 MARPAT 135:92537

Et

The title compds. [I or II; R1 = alkyl, cycloalkyl, aryl, etc.; R2 = H, alkyl; R3 = alkyl, alkoxy, alkoxyalkyl, etc.; n = 0-3] that are potent ΑB

selective inhibitors of PDE4, and useful in the treatment of inflammatory diseases and other diseases involving elevated levels of cytokines, as well as central nervous system (CMS) disorders, were prepared Thus, reacting m-chloroaniline with 3-oxo-2-(2-oxo-2-phenylethyl)butyric acid

ANSWER 120 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) ester in the presence of tosic acid in EtoH afforded I [Rl = 3-clc6H4; R2 = Et; R3 = H] which showed IC50 of 0.20 µM against PDE4 and EC50 of 1.50 µM against TNF0 release.

347885-05-6P ΙŢ

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic study, unclassified); SPN (Synthetic preparation); USES (Uses) (preparation of novel pyrroles as CAMP-specific phosphodiesterase inhibitors) 347885-05-6 CAPLUS 1H-Pyrrole-3-carboxylic acid, 1-(3-carboxyphenyl)-5-methyl-2-phenyl-, 3-ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 121 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) R3-R5 = H, F, C1, Br, CF3, cyano, NO2, etc.; R6 = (substituted) Ph; R7,

R8

= (substituted) alkyl, Ph, PhCH2, PhEt; R7R8 = (CH2)20(CH2)2, (CH2)n; n = 3-6] were prepd. Thus, 4-(2-methoxybenzylidene)morpholin-4-ium chloride (prepn. given) was stirred with 1-phenyl-1H-pyrrole at 18 for 16 h in a Zymark device to give 4-[(2-methoxybhenyl)-(1-phenyl-1H-pyrrol-2-yl)methyl)morpholine. Several I inhibited serotonin reuptake by 39-83% and inhibited phenylquinone-induced writhing in mice by 17-87%.

IT 347897-66-99
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation).

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic study, unclassified); SPN (Synthetic preparation); USES (Uses) (preparation of 2-[amino(aryl)methyl]pyrroles as analgesics) 347897-66-9 CAPIUS Benzolc acid, 2-[2-{(5-bromo-2-fluorophenyl)-1-pyrrolidinylmethyl]-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

10333-68-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-[amino(aryl)methyl]pyrroles as analgesics)
10333-68-3 CAPLUS
Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 121 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:489363 CAPLUS 133:76789 Preparation of 2-[amino(aryl)methyl]pyrroles as analgesics Gerlach, Matthias; Maul, Corinna Gruenenthal G.m.b.H., Germany PCT Int. Appl., 70 pp. CODEN: PIXXD2 Patent PA 50 DT LA German FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE A1 20001220 W0 2001047878 A1 20010705 W0 2000-EP12976 20001220

W: AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DX, DM, DZ, EZ, ES, FI, GB, GD, GE, GH, GM, HR, HU, LV, HA, HD, MG, MX, MY, MY, MX, KZ, LC, LK, LR, LS, LT, LU, LV, HA, HD, MG, MX, MY, MY, MX, MZ, NC, NZ, PL, PT, RO, RU, SD, SZ, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZR, ZW

RY: GH, GM, KE, LS, HW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DX, ES, FI, FR, GB, GR, IE, TT, LU, MC, NL, PT, SZ, TR, BF, BJ, CF, CG, CT, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DZ 19953174 A1 20010712 DE 1999-19953174

CA 23965302 AA 20010705 CA 2000-2396502 20001220

EP 1246799 A1 20021009 EP 2000-991220 20001220

EP 1246799 B1 20031015 WO 2001047878 20010705 WO 2000-EP12976 PΙ EP 1246799 BL 20031015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 2003527350 T2 20030916 JP 2001-549351 20001220 AT 252077 E 20131115 AT 2000-991220 20001220 PT 1246799 T 20040227 MZ 2009-519975 20001220 ES 2208466 T3 20040227 MZ 2009-991220 20001220 ES 208466 T3 20040616 ES 2000-991220 20001220 AU 782909 B2 20050968 AU 2001-31611 20001220 AZ 200200129 A 200200129 A 200200120 AZ 200200120 AZ 2003023100 AI 20030130 US 2002-168964 20020621 US 2003023100 AI 20030130 US 2002-168964 20020625 WK 1051855 AI 20040723 HK 2003-102525 20030409 BE 1999-19963174 A 19991227 WO 2000-EPI2976 W 20001220 MARPRT 135:76789 EP 1246799 20031015 ES 2208466 AU 72909 2A 2002004199 NO 2002003028 US 7034018 HK 1051855 PRAI DE 1999-19963174 WO 2000-EP12976 OS MARPAT 135:76789 GI

Title compds. [I: R1 = H, alkyl, aryl, heteroaryl, etc.; R2 = CHR6NR7R8;

ANSWER 122 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:453076 CAPLUS 135:46047

Preparation of pyrimidine heterocycles with a phosphorus containing

ry
for pharmaceutical use in the treatment of bone disorders
Weigele, Manfred; Dalgarno, David C.; Luke, George P.; Sawyer, Tomi K.;
Bohacek, Regine; Shakespeare, William C.; Sundaramoorthi, Rajeswari;

Yihan: Metcalf, Chester A., III; Vu, Chi B.; Kawahata, Noriyuki H. Ariad Pharmaceuticala, Inc., USA PCT Int. Appl., 186 pp. CODEN: PIXXD2
Patent Wang,

DI						
	English					
FAN.	CNT 5					
					APPLICATION NO.	
PI	WO 2001044	258	A1	20010621	WO 2000-US34487	20001218
	W: AE	, AG, AL	AM,	AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
					EE, ES, FI, GB, GD,	
					KG, KP, KR, KZ, LC,	
					MW, MX, MZ, NO, NZ,	
			, SI,	SK, SL, TJ,	TM, TR, TT, TZ, UA,	UG, US, UZ, VN,
		, ZA, ZW				
					SL, SZ, TZ, UG, ZW,	
					IE, IT, LU, MC, NL,	
					GW, ML, MR, NE, SN,	
	CA 2394650		AA	20010621	CA 2000-2394650 AU 2001-24397	20001218
	US 2002132	819	Al	20020919	US 2000-740653	20001218
					EP 2000-988160	
					GB, GR, IT, LI, LU,	NL, SE, MC, PT,
				FI, RO, MK,		
	JP 2003532				JP 2001-544748	
	US 2005096	298	Al	20050505	US 2004-994962	20041122
PRAI	US 1999-17	2161P	P	19991217 19991217 20001016 20001218		
	US 1999-17	2510P	P	19991217		
	US 2000-24	0788P	P	20001016		
	US 2000-74	0653	A	20001218		
	US 2000-74	1619	A	20001218		
	US 2000-74	0619	A	20001218		
	WO 2000-US		w	20001218		
os	MARPAT 135	:46047				
GI						

Heterocycles with a pyrimidine subunit and a phosphorus containing AB He moiety,

- ANSWER 122 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) such as Hc-X-M-Y-M-Cy-M-Y-M-Z-Tb [Cy = aryl, heterocyclyl, heteroaryl, cycloalkyl; Hc = heterocycle contg. a pyrimidine subunit; M = (CH2)n; Tb L9
- phosphorus contg. moiety: X, Y, Z = NR, O, S; R = H, alkyl, alkenyl, arvl.
- heterocyclyl, heteroaryl, etc., n = 1 10], were prepd. for pharmaceutical use in the treatment of debilitating bone disorders, such as osteoporosis, Paget's disease, hyperparathyroidism, various cancers where bone tissue reacorption is increased, and rheumatoid arthritis.

 Thus, pyrido[2,3-d]pyrimidine I was prepd. in 41% yield by condensation of
- Br-4-C6H4CH[P(0) (OEt) 2]2 with 2-amino-6-(2,6-dichlorophenyl)-8-methyl-pyrido[2,3-d]pyrimidin-7(8H)-one using Pd(OAc)2, Ca2CO3, and (S)-BINAP in toluene. The prepd, phosphorus contg. purines were tested for anti-resorption activity, Src kinase inhibition, and inhibition of tumor

- 344891-91-4 CAPLUS
 Benzoic ecid,
 -amino-5-(3-methoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7yll- (9CI) (CA INDEX NAME)

ANSWER 123 OF 185 CAPLUS COPYRIGHT 2006 ACS on STM 2001:434854 CAPLUS 135:51045 L9 AN DN TI Therapeutic compositions containing anti-inflammatory agents and blodegradable polyanhydrides Uhrich, Kathryn; Macedo, Braz Rutgers, the State University of New Jersey, USA; University of Medicine and Dentistry
PCT Int. Appl., 40 pp.
CODEN: PIXXD2 so DT Patent LA English FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2001041753 WO 2001041753 20010614 20020912 A2 A3 WO 2000-US33378 20001207 WO 2001041753 A2 20010614 WO 2000-US33378 20001207 WO 2001041753 A3 A2 20020912 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KY, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MW, MX, MO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VM, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GW, ML, MR, NE, SN, TD, TG
CA 2393676 A2 20061614 C2 2000-2393676 20001207 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003528044 T2 20030924 JP 2000-982544 20001207 US 2004038948 A1 20040226 US 2003-368288 20030218 US 1999-304190P P 19991207 US 2002-165320 B1 20020607
Methods of promoting healing through enhanced regeneration of tissue lard tissue or soft tissue) by contacting the tissue or the surrounding lard tissue or soft tissue) by contacting the tissue or the surrounding lard tissue or soft tissue) by contacting the tissue or the surrounding PRAI US 1999-455861 US 1999-304190P WO 2000-US33378 hard tissue or soft tissue) by contacting the tissue or the surrounding tissue with an antiinflammatory agent are useful in a variety of dental and orthopedic splications. Thus, poly[1,6-bis(o-carboxyphenoxy)hexane] was prepared in a series of steps by the treatment of salicylic acid with 1,6-dibromohexane, and polymerization of the resulting 1,6-bis(o-carboxyphenoxy)hexane. The polymer was characterized by glass transition temperature measurements and then subjected to compression molding. 53597-27-6, Fendosal RL: THU (Therepeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. containing antiinflammatory agents and egradable

- biodegradable
- polyanhydrides) 53597-27-6 CAPLUS
- 53597-27-6 CAPUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAMZ)

ANSWER 122 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 123 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

```
ANSWER 124 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
2001:416939 CAPLUS
133:46203
TI Preparation and effect of triazaspiro[5.5]undecane derivatives as active ingredients in remedy for inflammatory diseases
IN Habashita, Hiromu; Hamano, Shinichi; Shibayam, Shiro; Takaoka, Yoshikazu
Ono Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 1149 pp.
COOEN: PIXXD2

DT Patent
LJ Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
                 20050225
20050429
20050616
20051127
20030827
20020726
20040520
19991203
20000127
20000204
20000519
                                                                                                                                                               NZ 2000-519183
PT 2000-979050
ES 2000-979050
RU 2002-117652
ZA 2002-4203
NO 2002-2609
US 2003-148382
ES 2233479

RU 2265021

ZA 2002004203

NO 2002002609

US 2004097511

PRAI JP 1999-344967

JP 2000-18673

JP 2000-17968

JP 2000-147882
                                                                                                                                                                                                                                                     20001201
                   WO 2000-JP8517
MARPAT 135:46203
```

ANSWER 124 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN CMF C28 H38 N4 O4 (Continued)

$$i-Bu \xrightarrow{H} \circ N \longrightarrow CH_2 \xrightarrow{Me} N \longrightarrow CO_2H$$

343836-34-0 CAPLUS
Benzoic acid, 4-[2,5-dimethyl-3-[[3-(2-methylpropyl)-2,5-dioxo-1-propyl-1,4,9-triazaspiro[5.5]undec-9-yl]methyl]-1H-pyrrol-1-yl]-, monoacetate
(SCI) (CA INDEX NAME)

CM 1

CRN 343836-33-9 CMF C29 H40 N4 O4

$$i-Bu$$

N

 CH_2
 Me
 CO_2i
 Me
 Me

2 **CH**

343837-17-2 CAPLUS
Benzoic acid, 4-[3-[{1-butyl-3-(2-methylpropyl}-2,5-dioxo-1,4,9-triazaspiro[5.5]undec-9-yl]methyl]-2,5-dimethyl-1H-pyrrol-1-yl}-, monoacetate (9CI) (CA INDEX NAME)

ANSWER 124 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Title compds. [I: R1 = H, aryl, arylalkyloxycarbonyl, alkenyloxycarbonyl, heterocyclylalkyl, alkyl, alkenyl, alkynyl: R2 = alkyl, alkynyl: R3 = H: R4 = alkyl; R5 = H, alkyl), tsterooisomers, quaternary ammonium salts thereof, N-oxides thereof and nontoxic salts thereof, are prepared via

phase synthesis using divinylbenzene-polystyrene or divinylbenzene-Rink resin. Title compds. I, having controlling effects of chemokines/chemokine receptors, are useful in preventing and/or treating various inflammatory diseases, asthma, atopic dermatitis, urticaria, allergic diseases, nephritis, nephropathy, hepatitis, arthritis, rheumatoid arthritis, etc. Thus, the title compound II·HCl was prepared and biol. tested.
34385-55-39 34383-34-09 34387-17-29
343840-29-9P 343841-17-8P 343842-09-1P
343840-22-PP
RL: BAC (Biological activity or effector, except adverse); BSU logical study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and effect of triazaspire). 510mdecame derive. as active

logical study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic uses); BIOL (Blological study); PREP (Preparation); USES (Uses) (preparation and effect of triazaspiro[5.5]undecane derivs. as active ingredients in inflammatory disease therapy) 343825-56-3 CAPLUS
Benzoic acid, 4-[3-[(1-ethyl-3-(2-methylpropyl)-2,5-dioxo-1,4,9-triazaspiro[5.5]undec-9-yl]methyl]-2,5-dimethyl-1H-pyrrol-1-yl]-, monoacetate (9CI) (CA INDEX NAME)

CRN 343835-55-2

ANSWER 124 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CH 1

343837-16-1 C30 H42 N4 O4

2 CH

CRN 64-19-7 C2 H4 O2

343840-29-9 CAPLUS
Benzoic acid, 4-[3-[[3-(cyclohexylmethyl)-2,5-dioxo-1-propyl-1,4,9-triazaspiro[5.5]undec-9-yl]methyl]-2,5-dimethyl-1H-pyrrol-1-yl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 343840-28-8 CMF C32 H44 N4 O4

CM 2

CRN 64-19-7 CMF C2 H4 O2

(Continued)

ANSWER 124 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continue 343841-17-8 CAPLUS Benzoic acid, 4-[3-[[1-buty1-3-(cyclohexylmethy1)-2,5-dioxo-1,4,9-triazaspiro[5.5]undec-9-yl]methyl]-2,5-dimethyl-1H-pyrrol-1-yl]-, monoacctate (9CI) (CA INDEX NAME)

CH 1

CRN 343841-16-7 CMF C33 H46 N4 O4

CH 2

CRN 64-19-7 CMF C2 H4 O2

343842-09-1 CAPLUS
Benzoic acid, 4-[3-[(3-(cyclohexylmethyl)-1-(2-methoxyethyl)-2,5-dioxo-1,4,9-triazaepiro[5.5]undec-9-yl]methyl)-2,5-dimethyl-1H-pyrrol-1-yl]-,
monoacetate (9CI) (CA INDEX NAME)

CH 1

CRN 343842-08-0 CMF C32 H44 N4 O5

ANSWER 125 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 2001:232516 CAPLUS 134:275760

AN DN TI

1.44:273-760 Medicine compositions for treatment of integrin α4-mediated cell adhesion-associated diseases Siccer, Ila; Gudmundsson, Kristjan 5.; Martin, Richard Tanabe Selyaku Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 88 pp. CODEN: JROXANF

IN PA SO

DT LA Patent

Japanese

	CIVI				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001089368	A2	20010403	JP 2000-216898	20000718
PRAI	JP 1999-204581	A	19990719		

MARPAT 134:275760

2 (CH₂)_n R⁵

AB The medicine compns. (1; A = maximum m. 1

linkage;

N = 0, 1, 2; W = 0, S, -CH=CH-, -N=CH-; Z = 0, S; R1, R2, R3 = H,

| N = 0, 1, 2; W = 0, S, -CH=CH-, -N=CH-; Z = 0, S; R1, R2, R3 = H, The medicine compns. (I; A = aromatic hydrocarbon ring; Q = binding

halogen, (substituted)low alkyl; R4 = tetrazolyl, carboxyl, etc.; R5 = H, nitro, (substituted)amino, OH low alkanoyl, etc.; R6 = (substituted)phenyl,

and their pharmacol. acceptable salts are claimed for treatment of integrin 4-mediated cell adheaion-associated diseases, including asthma, diabetes, rheumatoid arthritis, inflammatory bowel disease, and digestive tract and other diseases associated with leukocyte infiltration in the epithelium (e.g. skin, urethra, bronchiole, synovial membrane and transplanted kidney, liver, heart, blood vessel, and nerve tissues, and pancreas and other diseases including psoriasis, atopic dermatitis, contact dermatitis, systemic lupus erythematosus, etc.). I were pred,

Benzoic acid, 2-chloro-4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 124 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN CRN 64-19-7 CMF C2 H4 O2 (Continued)

RN 343843-02-7 CAPLUS
CN Benzoic acid,
4[-3-[3-(cyclohexylmethyl)-2,5-dioxo-1-(phenylmethyl)-1,4,9triazaspiro[5.5]undec-9-yllmethyl]-2,5-dimethyl-1H-pyrrol-1-yl]-,
monoacetate (9Cl) (CA INDEX NAME)

CM 1

CRN 343843-01-6 CMF C36 H44 N4 O4

CM 2

CRN 64-19-7 CMF C2 H4 O2

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 23

ANSWER 125 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

232275-67-1 CAPLUS
Benzoic acid, 2-chloro-4-[2-(trifluoroacetyl)-1H-pyrrol-1-yl]- (9CI) (CA
INDEX NAME)

232275-69-3 CAPLUS Benzoic acid, 2-chloro-4-(2,5-dichloro-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

232275-71-7 CAPLUS Benzoic acid, 2-chloro-4-(2-formyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 125 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

332394-46-4 CAPLUS
Benzoic acid, 2-chloro-4-(2-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX

ANSWER 126 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 126 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:167849 CAPLUS 134:217194 DN TI 134:217194
Systemic inflammatory markers as diagnostic tools in the prevention of atherosclerotic diseases
Ridker, Paul; Hennekens, Charles H.
The Brigham and Women's Hospital, Inc., USA
PCT Int. Appl., 33 pp.
CODEN: PICK DT Patent LA English FAN.CNT 3 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2001015744 WO 2001015744 A1 C2 20010308 WO 2000-US24251 ΡI 20000831 20020926 #: AU, CA, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
US 7030152 B1 20060418 US 1999-387028 19990831
AU 2000071103 A5 20010326 AU 2000-2381926 20000831
AU 2000071103 A5 20010326 AU 2000-71103 20000831
AU 782386 B2 20050721
EP 1212101 A1 20020612 EP 2000-959851 20000831
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY
JP 2003508453 T2 20030304 JP 2001-520155 20000831
AU 2005225101 A1 20051117 AU 2005-225101 20051021
PRAI US 1999-387028 A 19990831
US 1997-41950P P 19970402
US 1998-70894P P 19980402
US 1998-70894P P 19980402
WO 2000-US24251 W 20000831
AB The invention involves methods for characterizing an individual's risk profile of developing a future cardiovascular disorder such as atherosclerosis, stroke, and myocardial infarction by assessing the level of systemic inflammation marker (such as SICAM or C-reactive protein) in an individual. The invention also involves methods for evaluating the likelihood that an individual will benefit from treatment with an agent for reducing the risk of future cardiovascular disorders; and of drug combinations (anti-inflammatory agents, lipid-reducing agents, B-adrenergic receptor blockers) suitable for prevention future cardiovascular diseases.

IT 53597-27-6, Fendosa.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study); USES (Uses) THU (Therapeutic use); BIOL (Biological study); USES (Uses) THU (Therapeutic use); BIOL (Biological study); USES (Uses) THU (Therapeutic use); BIOL (Biological activity or effector) markers to predict and inhibit W: AU, CA, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE
US 7030152
B1 20060418 US 1999-387028 19990831 cardiovascular diorders in humans)
53597-27-6 CAPLUS
Benzolc acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

L9	ANSWER 127 OF 185	CAPILIS	COPVETGHT	2006 ACS on STN	
AN	2001:142150 CAPLUS		00111110111	LUUC ACS ON SIN	
DN	134:193213	•			
TI	Preparation of cart	ooxydi a	rylamines fo	r nharmaceuticals	
IN	Tecle, Haile		.,	- pintimoodilouli	
PA	Warner Lambert Co.	USA			
so	Jpn. Kokai Tokkyo P		9 рр.		
	CODEN: JKXXAF				
DT	Patent				
LA	Japanese				
FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001055376	A2	20010227	JP 1999-53567	19990302
PRAI	US 1999-115650P	₽	19990113		
os	MARPAT 134:193213				
GI					

Title compds. I (W = ORB, NR2OR1, NRARB, NR2NRARB, O (CH2) nnRARB, NR2(CH2) mNRARB; n = 2-4; m = 1-4; R1, RA, RB = H, C1-8 alkyl, C3-8 alkenyl, C3-8 alkynyl, C3-8 cycloalkyl; R2 = H, C1-4 alkyl, Ph, C3-6 cycloalkyl; none of R3 and R4 = H, F, the other = C2-6 heterocyclyl, C3-7 cycloalkyl, C2-6 heterocyclyl C1-4 alkyl; R5 = H, Me, C1; R6 = H, F).

compds. are useful for treatment of psoriasis, restenosis, autoimmune disease, atherosclerosis, cancers, heart failure, symptoms of xenograft rejection, osteoarthritis, rheumatoid arthritis, asthma, cystic fibrosis, hepatomegaly, cardiomegaly, Alzheimer, a disease, disbetes, septic shock, and HIV. 2-flutor-d-aminobenzoic acid was cyclized with 2,5-dimethoxytetrahydrofuran in the presence of NaOAc in AcOH under IX

reflux for 3 h 76% 2-fluoro-4-(pyrrol-1-yl)benzoic acid, which was condensed with

2-methylfluoroaniline in the presence of LDA in THF at room temperature to give 93% I (W = OH, R3, R6 = H, R4 = pyrrol-1-ly, R5 = Me).
326926-64-19

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of carboxydiarylamines for pharmaceuticals) 326926-64-1 CAPLUS

Benzoic acid, 2-fluoro-4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 127 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

326926-65-2P IT

RL: SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of carboxydiarylamines for pharmaceuticals) 326926-65-2 CAPLUS

Benzoic acid, 2-[(4-iodo-2-methylphenyl)amino]-4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 128 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) compds. for treatment of oxidative stress and/or endothelial dysfunction are disclosed. The precursors are such as to meet the pharmacol. test reported in the description.

53597-27-6, Fendosal
RL: RCT (Reactant): RACT (Reactant or reagent)
(antinflammatory; synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction)

53597-27-6 Caplus
Benzolc acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)

ANSWER 128 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:137173 CAPLUS 134:178396 134:178396
Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction Del Soldato, Piero Nicox S.A., Fr.
PCT Int. Appl., 94 pp.
CODEN: PIXXD2
Patent
English DN TI DT LA English FAN.CNT 1 PATENT NO. DATE KIND DATE APPLICATION NO. (CO)t or (X)t', wherein X=0, S, NR1c, R1c is H or a linear or branched alkyl or a free valence, t and t' are integers and equal to zero or 1, with the proviso that t=1 when t'=0; t=0 when t'=1; B=-TB

ANSWER 129 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:62381 CAPLUS 134:115960

134:115960
Triazole and imidazole derivatives, methods of preparation and use in treatment or prophylaxis of diseases caused by overactivation of respective NMDA receptor subtypes
Alanine, Alexander; Buettelmann, Bernd; Heitz, Neidhart Marie-Paule;
Jaeschke, Georg; Pinard, Emmanuel; Wyler, Rene
F. Hoffmann-La Roche A.-G., Switz.
Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
Patent

wherein TB = (CO) when t = 0, TB = X when t' = 0, X being as above defined; X2, bivalent radical, is such that the precursor drug of A and the precursor of B meet resp. the pharmacol. tests described in the description. Synthesis, activity and formulations of pharmacutical

DT LA

	PATI	ENT N	ю.		KIN	DATE									D.	ATE	
PI	EP :	10707	08			2001	0124						83		2	0000	713
	EP :	10707	80		B1	2004	0114										
		R:				ES,	FR,	GB,	GI	٦, :	IT,	LI,	LU,	NL,	SE,	MC,	PT,
						RO											
		25782			E	2004							83			0000	
		10707			T	2004	0531						83			0000	713
		22114				2004			ES	20	00-:	1141	83		2	0000	713
		23140			AA	2001	0121		CA	20	00-:	2314	009		2	0000	717
	AU 1	77346	3		В2	2004	0527		ΑU	20	00-	4865	1		2	0000	717
	SG S	98422			A1	2003	0919		SG	20	00-:	3981			2	0000	718
	TR 2	20000	209	7	A2	2001	0221		TR	20	00-2	2097			2	0000	719
	US (62654	26		B1	2001	0724		US	20	00-	6195	18		2	0000	719
	NO 2	20000	0372	23	A	2001	0122		ΝО	20	00-:	3723			2	0000	720
	ZA 2	20000	0368	В0	А	2001	0122									0000	720
	CN :	12816	52		А	2001	0131		CN	20	00-	1201	81			0000	
	HR 2	20000	004	82	A1	2001	0630									0000	
	BR 2	20000	030	75	A	2001										0000	
	JP 2	20010	642	63	A2	2001										0000	
	JP :	36289	46		B2	2005									_		
PRAI		1999-		313	A	1999											
os		PAT 1			••												
GI																	

$$R^2$$
 R^3
 R^4
 R^4
 R^5
 R^5
 R^2
 R^4
 R^2
 R^4
 R^4
 R^4
 R^4
 R^4
 R^4

ANSWER 129 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN L9 (Continued)

The present invention relates to I wherein R1-R4 = H, CF3, OCF3, OCHF2, AB The present invention relates to I wherein Rl-R4 = H, CF3, OCF3, OCH72, OCH72, OCH72, lower alkyl, lower alkyl, halogen, hydroxy, Ph, benryl, amino, nitro, pyrrol-1-yl, lower alkylsulfonyl, lower alkylthio, cyano or benzyloxy; or R2 and R3 may be together = O-(CH2)2-O-, -O-CH2)3- or CR:CH-CH:CH-CH: x = N; imino with N possibly substituted, CH:; Y = -N; iN-, imino with N possibly substituted, CH:; Wherein one of X or Y has to be N; R5 = aminomethyl with N possibly substituted and to their pharmaceutically acceptable acid addition salts. The methods of preparation comprise cyclizing a carboxylic acid hydrazide with

a benzenecarboximidamide hydrochloride or benzenecarboximidic acid ester to give a triazole; arylating a 4-iodo-2-phenylimidazole with a phenylboronic acid in the presence of Pd(PPh3)4 to give an imidazole; reducing II to the aminomethyl analog followed by di-N-alkylation using acyl chlorides and LiAlH4. These compds. may be used for the treatment or

or

prophylaxis of diseases related to the N-methyl-D-aspartate
(NNDA)-receptor-subtype selective blockers. Such diseases include acute
forms of neurodegeneration caused, e.g., by stroke or brain trauma;
chronic forms of neurodegeneration such as Alzheimer's disease,
Parkinson's disease, Huntington's disease or ALS (amyotrophic lateral
sclerosis); neurodegeneration associated with bacterial or viral
infections,
and diseases such as schizophrenia, anxiety, depression and acute/chronic
pain.

and diseases such as Schizophiemia, emiliery, depleasion and acute contonion pain. 22106-33-8, 4-Pyrrol-1-ylbenzoid acid RL: RCT (Reactant); RACT (Reactant or reagent) (reactant; triazole and imidazole deriva., methods of preparation and

treatment or prophylaxis of diseases caused by overactivation of resp.
NMGA receptor subtypes)
22106-33-8 CAPLUS
Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

one

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 130 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) iodo; R2 = H) with ClSO3H to give II (R1 = C1, Br, iodo; R2 = SO2C1), (2) redn. of the latter to give II (R1 = C1, Br, iodo; R2 = S(0)OH), (3) treatment of the sulfninc acid with a salt of ClCl2CO2H under decarboxylation to give II (R1 = C1, Br, iodo; R2 = SO2Me), (4) introduction of the pyrrole group via nucleophilic halogen-pyrrole exchange to give (III; A = H), (5) esterification to give III (A = elkyl, PhCH2), (6) reaction of the ester with guanidine, and (7) salification with MeSO3H. In comparison to the procedure of M. Baumgarth, the current procedure features 28% higher yields, is more reproducible, may be scaled up without loss of material, has a 7-fold greater space/time yield, is

step shorter, and does not require product recrystn.
294204-22-1P
RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of
iaminomethylene)-2-methyl-5-methylsulfonyl-4-(pyrrol-1yl)benzamide methanesulfonate (eniporide) from 4-halo-2-methylbenzoic
acids)
28204-22-1 CRPUIS IT

294204-22-1 CAPLUS

Benzoic acid, 2-methyl-5-(methylsulfonyl)-4-(1H-pyrrol-1-yl)- (9CI) (CA

ANSWER 130 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:12124 CAPLUS 134:71489 Preparation of rreparation of N-(diaminomethylane)-2-methyl-5-methylsulfonyl-4-(pyrrol-1-yl)benzamide methanesulfonate (eniporide) from 4-halo-2-methylbenzoic acids.
Stein, Ingeborg: Bathe, Andreas: Bartmann, Ekkehard
Merck Patent G.m.b.H., Germany
Ger. Offen., 8 pp.
CODEN: GWXXBX
Patent FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2001002353 A1 20010104 DE 1999-19929857 19990629

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, IT, UL, V, MA, MD, MG, MK, MN, MM, MK, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RN: GH, GH, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DE 1999-19929857 A 19990629

GI 20010104 20010111 DE 19929857 WO 2001002353 A1 A1 19990629 DE 1999-19929857 WO 2000-EP5276

AB Title compound (I) was prepared by (1) treatment of benzoate (II; R1 = C1, Br,

ANSWER 131 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2001:10086 CAPLUS 134:86277

1,3-Diazines with platelet-derived growth factor receptor inhibitory

1,3-Diazines with platelet-derived growth factor receptor inhibitory activity Matauno, Kenji: Ichimura, Michio: Nomoto, Yuji: Fujiwara, Shigeki: Ide, Shinichi: Tsukuda, Eiji: Irie, Junko: Oda, Shoji Kyowa Hakko Kogyo Co., Ltd., Japan U.S., 127 pp., Cont.-in-part of PCT 9814431.
CODEN: USXXXM

Patent

English

OS GI

MARPAT 134:86277

FAN.	~	2																
EAN.		PENT	NO.			KIN	_	DATE			APPL	ICAT	ION	NO.		D.	ATE	
																-		
PI	US	6169	088			B1		2001	0102		US 1	998-	8819	9		1	9980	601
	WO	9814	431			Al		1998	0409		WO 1	997-	JP35	10		1	9971	001
		W:	ΑU,	BG,	BR,	CA,	CN,	CZ,	HU,	JP,	KR,	MX,	NO,	NZ,	PL,	RO,	SG,	SI,
			SK,	UA,	US,	VN,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM			
		RW:	AT.	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,
SE																		
	US	6207	667			Bl		2001	0327		US 2	000-	4815	44		2	0000	112
	US	2002	0687	34		A1		2002	0606		US 2	000-	7349	18		2	0001	213
	US	6472	391			В2		2002	1029									
PRAI	J₽	1996	-260	743		A		1996	0110									
	WO	1997	-JP3	510		A2		1997	1001									
	US	1998	-881	99		A.3		1998	0601									
	US	2000	-481	544		A3		2000	0112									

1,3-Diazines and related N heterocycles {I; wherein V=0 or S; W=1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X = N or CR9; Y = N or CR8; Z = N or CR7.

ANSWER 131 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) with at least one of X, Y and Z being N; Rl = H, (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = substituted alkyl, (un)substituted cycloalkyl, aryl, heterocyclyl, etc.; R3, R4, R5, R6 = H, halo, (un)substituted alkyl, NO2, cyano, (un)substituted OH or NH2, etc.; R7, R8 = R1 groups, halo, etc.; R9 = H, CO2H or derival) and their pharmacol. acceptable salts are prepd. These compds. inhibit the phosphorylation of PDGF receptors and the abnormal proliferation or migration of cells, and so are effective in preventing or treating cell proliferative diseases such as arteriosclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6, T-dimethoxy-4-(1-piperazinyl)quinazoline reacted with Ph isocyanate in refluxing EtOH to give invention compd. II (R = COMHPh) in 44% isolated yield. The analog II (R = Q) showed an IC30 of 0.03 µH for inhibiting the phosphorylation of PDGF receptor in vitro. Pharmaceutical formulations, e.g. tablets contg. II (R = N-(p-nitrophenyl)carbamoyl), were prepd.

AL: RCT (Reactant): RACT (Reactant or reagent) IT

RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of 1,3-diazines with platelet-derived growth factor

receptor

ptor inhibitory activity) 22106-33-8 CAPLUS Benzoic acid, 4-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 132 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) EP 2000-938211 WO 2000-US15702 US 2001-166321 US 2001-27272 20000608 20000608 20010615

20011221 2004-826836 20040416

MARPAT 134:56665

The title compds. [I; R1 = alkylNR3COR4, alkenylNR3COR4 (wherein R4 = (un)substituted aryl, heteroaryl, alkyl, etc.]; R2 = H, alkyl, alkenyl, etc.; R = alkyl, alkoy, halo, CF3, n = 0-41 and their pharmaceutically acceptable salts, useful as immune response modifiers, were prepared ΑВ

reacting 1-(4-aminobuty1)-lH-imidazo[4,5-c]quinolin-4-amine with benzoyl chloride in pyridine afforded the benzamide II which showed the lowest concentration of 0.37 pM to induce interferon in human cells. The

ds. I
can induce the biosynthesis of various cytokines (data given for
interferon a and TNFa) and are useful in the treatment of a
variety of conditions including viral diseases and neoplastic diseases.
22106-33-9, 4-(1-Pyrroly!)benroic acid
RE: RCT (Reactant): RACT (Reactant or reagent)
(preparation of amide substituted imidszoquinolines as immune response
modifices)
22106-33-8 CAPJUS
22106-33-8 CAPJUS

Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 132 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2000:900448 CAPLUS 134:56665 134:30665 Preparation of amide substituted imidazoquinolines as immune response modifiers modifiers
Coleman, Patrick L.; Crooks, Stephen L.; Lindstrom, Kyle J.; Merrill,
Bryon A.; Rice, Michael J.
3M Innovative Properties Company, USA
PCT Int. Appl., 170 pp.
CODEN: PIXXD2 IN DT Patent LA English FAN.CNT 7 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2000076505 Al 20001221 WO 2000-US15702 20000608
W: AE, AG, AL, AN, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, EE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MG, MK, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, PI LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, RE: CH, CM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, LT, UJ, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GA, GW, ML, MR, NE, SN, TD, TG
US 6451810

B1 20020917

US 20031304

AA 2001221

CA 2000-2376304

AA 2001221

CA 2000-2376304

AA 2001221

CA 2000-2376304

CR, AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

RR, AT, BE, CM, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY

AU 773113

B2 20040520

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY

NZ 515639

R 2000011448

A 20041221

BR 2000101448

A 20041224

BR 20001009854

A 20030228

CA 2001009857

A 20030228

CA 2001009861

CA 20040021

CA 20040029

CA 2001005503

CA 2000009861

CA 20040021

CA 20040029

CA 2001009861

CA 20040029

CA 20040086

CA 20 7.W

L9 ANSWER 132 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L9 ANSWER 133 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:900433 CAPLUS
DN 134:56480
If Hethod of inhibiting amyloid protein aggregation, treating Alzheimer's disease, and imaging amyloid deposits using [[iphenylatky]]phenyl]amino]be noic acids and analogs
IN Augelli-Stafran, Corinne Elizabeth: Barvian, Mark Robert; Bigge, Christopher Franklin; Glase, Shelly Ann; Hachiya, Shunichiro; Keily, John Steven; Kimura, Takenori; Lai, Yingjie; Sakkab, Annette Theresa; Suto, Mark James; Walker, Lary Craswell; Yaaunaga, Tomoyuki; Zhuang, Nian PA Warner-Lambert Company, USA; Yamanouchi Pharmaceutical Company, Ltd.; et al.

al.
PCT Int. Appl., 135 pp.
CODEN: PIXXD2
Patent
English SQ

	CNT 1	•				
PAN.		NO.	KIND	DATE	APPLICATION NO.	DATE
					*	
PI					WO 2000-US15071	20000531
	WO 2000	076489	A3	20020530		
	w:	AE, AG, A	L, AU,	BA, BB, BG,	BR, CA, CN, CR, CU, C	Z, DM, DZ, EE,
		GD, GE, H	R, HU,	ID, IL, IN,	IS, JP, KP, KR, LC, I	LK, LR, LT, LV
		MA, MG, M	K, MN,	MX, MZ, NO,	NZ, PL, RO, SG, SI, S	K, SL, TR, TT.
		UA, US, U	Z, VN,	YU, ZA, AM,	AZ, BY, KG, KZ, MD, I	RU, TJ, TM
	RW:	GH, GM, K	E, LS,	MW, MZ, SD,	SL, SZ, TZ, UG, ZW, J	AT, BE, CH, CY,
		DE, DK, E	S, FI,	FR, GB, GR,	IE, IT, LU, MC, NL, I	PT, SE, BF, BJ,
		CF, CG, C	I, CH,	GA, GN, GW,	ML, MR, NE, SN, TD, 1	rg .
	CA 2375	5551	AA	20001221	CA 2000-2375551	20000531
	BR 2000	011728	А	20020226	BR 2000-11728	20000531
	EP 1225	886	A2	20020731	EP 2000-939471	20000531
	R:	AT, BE, C	H, DE,	DK, ES, FR,	GB, GR, IT, LI, LU, 1	VL, SE, MC, PT.
		IE, SI, L	T, LV,	FI, RO, MK,	CY, AL	
	TR 2001	103551	T2	20021223	TR 2001-3551	20000531
	JP 2003	3504310	T2	20030204	JP 2001-502823	20000531
	EE 2001	00673	А	20030217	JP 2001-502823 EE 2001-673 NZ 2000-515621	20000531
	NZ 5156	521	A	20040528	NZ 2000-515621	20000531
	AU 7751	157	B2	20040722	AU 2000-54553	20000531
	ZA 2001	009794	A	20030701	ZA 2001-9794	20011128
	NO 2001	005995	A	20020204	NO 2001-5995	20011207
	BG 1062	293	А	20020628	BG 2002-106293	20020109
	HR 2002	2000026	A1	20030831	HR 2002-26	20020110
	US 6972	2287	B1	20051206	US 2002-9611	20020520
	US 2004	1220235	A1	20041104	US 2004-858912	20040602
PRAI	US 1999	-138550P	P	19990610		
	WO 2000	1-11915071	~	20000531		

ANSWER 133 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

20020520

A3

PAGE 2-A

ANSWER 133 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The invention provides a method of treating Altheimer's disease using compds. I and their pharmaceutically acceptable salts (wherein: R = H, alkyl, alkanoyl; n = 0-5; R1-R7 = H, halo, OH, (un)aubstituted MH2 or cyclic amino, CO2H or derivs., NO2, alkoxy, CF3, cyano, (un)aubstituted OPh, etc.; or R1R2 = CCH20; R8 = CO2H, tetrazolyl, SO2M9, CONNSO2R9; R9 = H, alkyl, CF3, or Ph; A = CH or N]. Alao provided is a method of inhibiting the aggregation of amyloid proteins using I, and a method of imaging amyloid deposits, as well as new compds. Claims further include pharmaceutical formulations containing I. Examples include 163 synthetic examples and 4 bioassays. For instance, title compound II was prepared

sequence of: (1) reaction of 4-(bromomethyl)-1,2-dichlorobenzene with

to give a bromophosphorane (i.e., phosphonium salt) (78%); (2) Swern oxidation of 4-(4-nitrophenyl)butan-1-ol to the aldehyde (65%); (3)

to give a bromopnosputable 1.0., particles of the didety of 4-(4-nitrophenyl) button-1-ol to the aldehyde (65%); (3)

Wittig

reaction of the above 2 products to give an alkene (99%); (4)

hydrogenation of the alkene and nitro functions (46%); and (5) lithiation
and coupling of the amine with 2-fluoro-5-nitrobenzoic acid (75%). In an
assay for inhibition of self-seeded amyloid fibril growth, II had an IC50
of 0.9 µM. A combinatorial methodol. for preparation of I is also
described.

13 13675-38-6F, 2-[[4-[2-(3,4-Dichlorophenyl) ethyl]phenyl]amino]-5pyrrol-1-ylbenzoic acid
Ri: BAC (Biological activity or effector, except adverse); BSU

(Biological
atudy, unclassified); SPN (Synthetic preparation); TBU (Therapsutio
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation and use of
[[(phenylalkyl]phenyl]amino]benzoic
acids and analogs as amyloid protein aggregation inhibitors)
RN 313675-38-6 CAPLUS
CN Benzoic acid, 2-[[4-[2-(3,4-dichlorophenyl]ethyl]phenyl]amino]-5-(IHpyrrol-1-yl)- (SCI) (CA INDEX NAME)

ANSWER 134 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2000:742057 CAPLUS 133:309791 Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction Del Soldato, Piero Nicox S.A., Fr. PCT Int. Appl., 140 pp. CODEN: PIXXD2 Patent DT Patent LA English FAN.CNT 1 MO 2000061541 A2 2001019 WG 2000-EP3239 20000411
W0 2000061541 A3 20010927
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, DM, EE, GE, HR, HU, ID,
IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX,
NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, CM, CM, ML, MR, ME, SM, TD, TG
IT 1311923 B1 2002020 IT 1999-M1752 19990413
CA 2370425 AA 2001019 CA 2000-2370425 20000411
BR 200009703 A2 20020109 EP 2000-926670 20000411 EP 1169298 B1 20060104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY
JP 2002541236 T2 20021203 JP 2000-610818 20000411
TR 200102928 T2 20021223 TR 2001-2928 20000411
RU 2237057 C2 20040927 NL 2000-114270 20000411
RU 2237057 C2 20040927 RU 2001-127574 20000411 1E, SI, LT, LV, FI, RO, CY
1P 2002541236 T2 20021203 JP 2000-610818 20000411
RR 200102928 T2 20021223 TR 2001-2928 20000411
RU 514270 A 20040227 RU 2001-127574 20000411
RU 2237057 C2 20040927 RU 2001-127574 20000411
RU 777579 B2 20041021 AU 2000-45474 20000411
RU 777579 B2 20041021 AU 2000-45474 20000411
RU 301008126 A 20030403 ZA 2001-8126 2001003
RO 2001004928 A 20011213 NO 2001-8126 20011003
RO 2001004928 A 20011213 NO 2001-8268 20011010
RU 50963120 B1 20060117 US 2001-926322 20011015
RU 5006030605 A1 20060209 US 2005-234084 20050926
RI 1999-HI752 A 19990413
RU 2001-926322 A3 20011015
RUS 2001-926322 A3 20011015
RUS 2001-926322 A3 20011015
RUS 2001-926323 A3 20011015
Synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction are disclosed. The precursors are such as to meet the pharmacol. test reported in the description.
S3597-27-6, Fendosal
RL: RCT (Reactant): RACT (Reactant or reagent)
(antiinflammatory; synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction are disclosed. The precursors are such as to meet the pharmacol. test reported in the description.
S3597-27-6, Fendosal
RL: RCT (Reactant): RACT (Reactant or reagent)
(antiinflammatory; synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction)
S3597-27-6 CAPLUS
Benzoic acid, 5-(4, 5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME) PRAI

ANSWER 134 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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ANSWER 135 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STM 2000:742053 CAPLUS 133:310142
DN 133:310142
TI Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction
IN Del Soldato, Piero
PA Nicox S.A., Fr.
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO
                              DATE
                                      PATENT NO.
                                                                                                                                                                   KIND
                                                                                                                                                                                                                                                                                            APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                               DATE
      PΙ
                                 as pharmaceuticals. Thus, (S,S)-N-acetyl-S-(6-methoxy-q-methyl-2-naphthalenylacetyl) cysteine 4-nitroxybutyl ester was prepared (NCX 2101) from naproxene and N-acetylcysteine in the first of 28 synthetic examples given. Pharmacol. test examples and tabular data are also given. S3597-27-6, Fendosal RL: RCT (Reactant); RACT (Reactant); RACT (Reactant); TACT (Reactant); RACT (Reac
                                      Senzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz(e)indol-3-yl)-2-hydroxy-
(9CI) (CA INDEX NAME)
```

ANSWER 135 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L9 ANSWER 136 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:441768 CAPLUS
DN 133:74124
TI Preparation of amino acid sulfonamide hydroxamates as inhibitors of procollagen C-proteinase.
IN Billedeau, Roland Joseph; Broke, Chris Allen; Campbell, Jeffrey Allen; Chen, Jian Jeffrey; Dankwardt, Sharon Marie; Delaet, Nancy; Robinson, Leslie Ann; Walker, Keith Adrian Murray
PA F. Hoffmann-La Roche A.-G., Switz.
FOT Int. Appl., 133 pp.
CODEN: PIXXD2
DT Patent
LE English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO.*

NO 2000037436

AL 20000629

WO 1999-RP9920

WO 1999-RP9920

**IN 2E, ALI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KK, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MM, MX, NO, MS, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW

**RH: GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, CG, CI, CM, GA, GN, GW, ML, MR, SN, MT, DT, TG

CA 23355902

AR 20000629**

AR 20000629**

AR 20000629**

AR 20000629**

AR 20010311**

EP 1149072**

AR 20010311**

EP 1149072**

AR 20011031**

EP 11999-2355902**

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

TR 200101868

TZ 20011121**

AU 769319**

BE 20040122**

AU 769319**

BE 20040122**

AU 200012979**

AU 200213322**

AU 200012979**

AU 200213324**

AU 20010310**

AR 200100434**

AR 2001005014**

AR 2001006434**

AR 2001005014**

AR 2001006310**

AR 200100840**

AR 200100629**

AR 200100840**

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AR 2003102605**

AR 2003102605**

AR 20031028**

AR 20031029520**

AR 20031028**

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AR 20031029520**

AR 20031028**

AR 20031028** APPLICATION NO. PATENT NO. KIND DATE DATE PI PRAI MARAPAT 133:74324

HOHNCOCHRINRSO2Ar2 [R1 = alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, aralkyl, aralkenyl, heteroaryl, heteroaralkyl, aminl, aryl, aralkyl, etc.;

R = CHR2Arl, CHR2CH:CHArl; Ar2 = specified (substituted) Ph, naphthy; R2 = H, alkyl; with provisos], were prepared Thus, N-hydroxy-2(R)-[(3,4-methylenedioxybenzyl)(4-methoxy-2,3,6-trimethylbenzenesulfonyl)amino]-3-methylbutyramide was prepared by solution phase synthesis from BOC-D-Val-OH.

Title compds. inhibited procollagen C-proteinase with IC50 0.01-2 µM.

17 10333-68-3

ANSWER 136 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

- ANSWER 136 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued RL: RCT (Reactant); RACT (Reactant or reagent) [prepn. of amino acid sulfonamide hydroxamates as inhibitors of procollagen C-proteinase) 10333-68-3 CAPLUS L9 (Continued)
- Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 137 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

H2NCR1R2ZCH2CHR3CHR4NR8COR5 [R1,R2 = H; R1R2 = NR9; R3 = H, COR6, CO2R6, CON(R6)2,CH2OR7, CH2SR7; R4 = H, (hydroxy)alkyl, aminoalkyl, (CH2CH2)nR, (CH2CH)R, CH2R = (un)aubatituted (hetero)aryl, R5 = (ar)alk(en)yl, heterocyclyl, (hetero)aryl, etc.; R6,R8 = H or alkyl; R7 = H, alkyl,

acyl (hetero)ary1, etc.; R9 = H, OH, alkoxy(carbony1), alkanoy1, etc.; Z = phenylene; n = 0-2) were prepared as factor Xa inhibitors (no data).

4-(NC)C6H4CH:CHCO2Me was cyclocondensed with 4-(MeO)C6H4N:CHCH:CHPh (preparation each given) to give, after N-deprotection, β-lactam I. The latter was N-acylated by 4-PhC6H4COCl and the product hydrolyzed to give, after amination/esterification, title compound II. 22106-33-8

RL: RCT (Reactant): RACT (Reactant or reagent) (preparation of α-amidinobenzyl-β-(aroylamino)alkanoates and analogs as factor Xa inhibitors) 22106-33-8 CAPLUS
Benzoic acid, 4-(lH-pyrrol-l-yl)- (9CI) (CA INDEX NAME)



THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 137 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2000:433346 CAPLUS 133:73861 133:73861
Preparation of a-amidinobenzyl-B-(aroylamino)alkanoates and analogs as factor Xa inhibitors
Klein, Scott I.; Guertin, Kevin R.; Spada, Alfred P.
Aventis Pharmaceuticals Products, Inc., USA
U.S., 118 pp., Cont.-in-part of U.S. 9724118.
CODEN: USXXAM
Patent DT Patent LA English FAN.CNT 5 DT LA ΡI

ANSWER 138 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 2000:421138 CAPLUS 133:58814

Preparation of piperazines for treating or preventing tachykinin-mediated

Take, Kazuhiko; Konishi, Nobukiyo; Shiqenaga, Shinji; Kayakiri, Natsuko; Azami, Hidenori; Eikyu, Yoshiteru; Nakai, Kazuo; Ishida, Junya; Morita, IN

Masataka
Fujisawa Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 245 pp.
CODEN: PIXXD2 PA SO

FAN.	CNT 1					_											
	PATENT	NO.			KIN		DATE			APPL	ICAT	ION	NO.		D.	ATE	
PI	WO 2000	0359	15		Al			0622		WO 1	999-	JP69	43		1	9991	210
	W:			AM,	AT,			BA,						CH.			
								GD.									
		JP,	KE,	KG,	KR,	KZ,	LC,	LK.	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
		MW,	MX,	NO,	NZ,	PL,	PT,	RO.	RU,	SD,	SE,	SG,	SI,	SK.	SL,	TJ,	TM,
		TR,	TT,	UA,	UG,	US,	υz,	VN,	YU,	ZA,	ZW						
	RW:							SL,									
								IE,						SE,	BF,	₿J,	CF,
								ML,									
	CA 2354				AA			0622								9991	
	EP 1140				A1			1010		EP 1	999-	9597	51		1	9991	210
	EP 1140				Bl		2006										
	R:							FR,	GB,	GR,	IT,	LI,	w,	NL,	SE,	MC,	PT,
				LT,			RO,							_	_		
	TR 2001 BR 9917		9					1022 0730									
	JP 2002		00		A		2002 2002				999-					9991 9991	
	JP 3454				B2		2002			JP Z	-000	3081	/3		- 1	3331	210
	JP 2003		6 2		A2			0827		10 2	003-	2240	,		1	0001	210
	AU 7686		-		B2		2003				000-				1	0001	210
	RU 2258				C2		2005				001-					9991	
	TW 5096				В		2002				999-				1	9991	214
	ZA 2001		97		Ā			0905		ZA 2	001-	4597			2	0010	605
	HK 1043				Al		2005	0318		HK 2	002-	1056	09		2	0020	730
	AU 2004	2011	11		A1		2004	0422	- 1	AU 2	004-	2011	11		2	0040	316
	US 2006	0149	48		A1		2006	0119		US 2	004-	9684	73		2	0041	020
PRAI	AU 1998				А		1998	1214									
	AU 1999				A		1999	1021									
	AU 1999				A		1999										
	JP 2000				A3		1999										
	WO 1999				W		1999										
	US 2001				B1		2001	0612									
OS	Marpat	133:	5881	4													

(Continued)

ANSWER 138 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

$$\bigcap_{R^1} \bigvee_{N-R^4} \bigvee_{F_3C} \bigvee_{F_3C} \bigvee_{CF_3} \bigvee_{Me} \bigvee_{Me} \bigvee_{N} \bigvee_{Me} \bigvee_{N} \bigvee_{Me} \bigvee_{Me}$$

The title compds. [I; Y = bond, alkylene; R1 = (un)substituted aryl; R2 = (un)substituted aryl; R3 = H, alkyl; R4 = (3-pyridyl)alkyl, (3-pyridyl)alkyl, thiazolylalkyl, etc.] and their pharmaceutically acceptable salts, useful for treating or preventing tachykinin-mediated diseases in human being or animals, were prepared E.g., the piperazine cis-II.2HCl showed more than 80% inhibition of 1251-BH-Substance P AB

binding to h-NK1 receptors at 1 mg/kg, and 100% inhibition of emesis in the dog at

0.32 mg/kg. 276861-97-3P IT

Ri: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of piperazines for treating or preventing tachykinin-mediated

diseases) 276861-97-3 CAPLUS

Benzoic acid, 3-(1H-pyrrol-1-yl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 AN DN TI IN PA SO 133:30740
Preparation of benzamides as ApoB-100 secretion inhibitors
Daugan, Alain Claude-marie
Glaxo Group Limited, UK
PCT Int. Appl., 94 pp.
CODEN: PIXXD2 DT Patent
LA English
FAN.CNT 1
PATENT NO. DATE 19991201 ΡI

ANSWER 139 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2000:384166 CAPLUS 133:30740

19991201 19991201 19991201 SE, MC, PT, 20011022 20020711 20020924 20031128 20031217 20030101 20020614 20030422 20010531 19981203 19991201 TR 2001-200101513 AU 2000-16566 JP 2000-585224 NZ 1999-511481 CN 1999-815925 TW 1999-815925 ZA 2001-3680 US 2001-831844 NO 2001-2688 19991201 19991201 19991201 19991201 19991201 19991206 20010507 20010515 20010531 T2 B2 T2 A B B A B1 A TR 200101513 AU 750259 JP 2002531444 NZ 511481 CN 1131222 TW 515796 ZA 2001003680 US 6552022 NO 2001002688 GB 1998-26412 WO 1999-EP9320 PRAT MARPAT 133:30740

ANSWER 139 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The title compds. [I; A=N, CH; X=(un) substituted alkylene (optionally containing one or two double bonds), O, SO2, etc.: Z=a direct link, (un) substituted alkylene (optionally containing one double bond); R1=N, perfluoroalkyl, aryl, etc.; Y=a direct or oxy link, alkylene, etc.; R2

11

(un) substituted Ph, cycloalkyl, heterocyclyl; R3 = H, halo, alkyl, etc.), useful in the treatment of conditions mediated by ApoB-100 regulation, were prepared and formulated. Thus, reacting (-3-cyanobenzyl)lpiperazin1-y1]phenylamine with 4'-trifluoromethylbiphenyl-2-carboxylic acid (prepns. given) in the presence of HOBI, E13N and EDC1 in CH2C12 afforded benzamide II which showed IC50 of 13 nM against ApoB-100 and ApoA-1

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 139 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 140 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2000:356164 CAPLUS 133:805 133:805

Benzimidazole derivatives as neovascularization inhibitors and pharmaceutical compositions containing them Kubo, Keiji; Bori, Akira; Kusaka, Masami Takeda Chemical Industries, Ltd., Japan Jpn. Kokai Tokkyo Koho, 77 pp. CODEN: JKXXAF
Patent
Japanese
CNT 1 DT FAN. CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE PI JP 2000143635 PRAI JP 1998-162489 JP 1998-246689 OS MARPAT 133:805 A2 A A 19990604 20000526 JP 1999-158035 19980610 19980901

AB Neovascularization inhibitors contain the derivs. I [ring A = (un)substituted phenyl; ring B = (un)substituted cyclyl; R4, R6 = (1) H, (ii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6

alkyl)amino, 5-7-membered cyclic amino, CO2H, or C2-7 alkoxycarbonyl, (iii) C2-6 alkenyl, (iv) C3-7 cycloalkyl, (v) C7-13 aralkyl which may

(111) C.-o alkenyi, (1v) C3-7 cycloalkyi, (v) C7-13 aralkyl which may have

1-5 substituents selected from halo, C1-6 alkoxy, C1-6 alkyl, mono- or di(C1-6 alkyl) amino, (vi) C2-7 alkoxycarbonyl; R5 = (i) H, (ii) halo, (iii) C1-6 alkyl which may have substituents selected from mono- or di(C1-6 alkyl) amino and halo, (iv) C1-6 alkoxy, (v) C2-7 alkoxycarbonyl, (vi) mono- or di(C1-6 alkyl) amino, (vii) carbamoyl which may be substituted with C1-6 alkyl) amino; (vi) C1-6 alkylene, (iii) C2-6 alkenylene, (iv) C1-6 alkylene-aminocarbonyl, (v) C1-6 alkylene-carbonyl, C2-6 alkenylene, (iv) C1-6 alkylene-aminocarbonyl, C1-6 alkylene-orbonyl, C1-6 alkylene) (c1-6 alkylene) (c1-6 alkylene), C1-6 alkylene), C1-6 alkylene), C1-6 alkylene-orbonyl, C1-6 alkylen

n] against recombinant VEGF-induced proliferation of HUVEC was 0.012 µM. 22106-33-8, 4-{Pyrrol-1-yl}benzoic acid RL: RCT (Reactant); RRCT (Reactant or reagent) (preparation of benzimidazole compds. as neovascularization

inhibitors) RN 22106-33-8 CAPLUS

ANSWER 141 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2000:335406 CAPLUS 132:347492 Preparation of 2-aminopyridine derivatives as nitric oxide synthase inhibitors COOK, Anthony: Hamley, Peter: Tinker, Alan Astrazeneca UK Limited, UK: Astrazeneca AB PCT Int. Appl., 54 pp. CODEN: PIXKD2 DT LA Patent English

FAN.		1																
	PA:	PENT I																
							-									-		
PI	WO	2000	0278	42		A1		2000	0518	1	WO 1	999+	SE 19	88		1	3991	103
		W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
			CZ.	DE,	DK,	DM.	EE,	ES,	FI,	GB,	GD,	GE,	GH,	G₩,	HR,	HU,	ID,	IL,
			IN.	IS,	JP,	KE.	KG,	KP.	KR,	KZ.	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
			MD.	MG.	MK.	MN.	MW,	MX,	NO,	NZ.	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
								TT,										
								RU.			-				-		-	
		RW:	GH.	GM.	KE,	LS.	MW,	SD,	SL,	SZ.	TZ.	UG,	ZW,	AT,	BE,	CH,	CY,	DE,
			DK.	ES.	FI.	FR.	GB.	GR.	IE.	IT.	LU.	MC.	NL.	PT.	SE.	BF.	BJ.	CF.
								GW,									- •	•
	EP	1124														1	9991	103
		R:	AT.	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE.	SI,	LT.	LV.	FI,	RO										
	JΡ	2002	5294	63 [°]		T2		2002	0910		JP 2	000~	5810	20		1:	9991	103
PRAI		1998																
		1999																
os		RPAT																

ANSWER 140 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME) (Continued)



ANSWER 141 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

The title compds. [I; X = (CR6R7)n; R1 = H, alkyl, alkoxy, etc.; R2-R7 = H, alkyl; R2 and R4 joined together = (CH2)m; Y = H, alkyl; R2 and Y joined together = (CH2)p; R4 and Y joined together = (CH2)p; Y is joined to the ortho position of ring A and represents (CH2)r; Z = a bond, CH2; Q = H, alkyl, alkoxy, etc.; A = Ph, naphthyl, 5-membered heteroaryl containing

aining
1-3 heteroatoms selected from O, S or N, etc.; m = 0-5; n = 0-3; p = 0-4;
r = 0-3] and their pharmaceutically acceptable salts, useful in the
treatment or prophylaxis of inflammatory disease and pain, were prepared
E.g., a 3-step synthesis of II.HCl which showed IC50 of < 25 µM against
nitric oxide synthase, was given.
22106-33-8, 4 (-1H-Pyrrol-1-yl)benzoic acid
RE. RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-aminopyridine derivs; as nitric oxide synthase
bitors)

inhibitors RN 22106-33-8 CAPLUS CN Benzola caid, 4-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 5

```
ANSWER 142 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 2000:214835 CAPLUS 132:265201
        Preparation of imidazole derivatives as gonadotropin-releasing hormone antagonists
       antagonists
Suruki, Nobuhiro; Takekawa, Shiro; Kubo, Keiji; Imaeda, Yasuhiro
Takeda Chemical Industries, Ltd., Japan
Jpn. Kokai Tokkyo Koho, 79 pp.
CODEN: JNOXAF
       Patent
LA Jap-
FAN.CNT 1
PATENT NO.
                                      KIND
                                                DATE
                                                                   APPLICATION NO.
                                                                                                      DATE
        JP 2000095767
                                                20000404
                                       A2
                                                                   JP 1998-273013
                                                                                                       19980928
PRAI JP 1998-273013
OS MARPAT 132:265201
                                                 19980928
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$$\begin{array}{c|c}
 & R^6 \\
 & R^5
\end{array}$$

$$\begin{array}{c}
 & N \\
 & N \\
 & R^4
\end{array}$$

Claimed are gonadotropin-releasing hormone (GnRH) antagonists containing

title compds. [I; ring A = (un)substituted Ph; ring B = (un)substituted cyclic group; R4 , R6 = R, (un)substituted Cl-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, (un)substituted Cl-13 aralkyl, C2-7 alkoxycarbonyl; R5 = H, halo, (un)substituted Cl-6 alkyl, Cl-6 alkoxy, C2-7 alkoxycarbonyl, etc.; X = bond, Cl-6 alkylene, C2-6 alkenylene, C1-6 alkylene-NCO, C1-6 alkylene-CO, C1-6 alkylene-CO, C2-6 alkylene-CO, C

C1-6 alkylene] or pharmacol. acceptable salts thereof. These compds. are useful for the treatment or prevention of gonadotropin-releasing hormone-related diseases such as sex hormone-dependent cancer, prostate cancer, uterine cancer, breast cancer, prostatic hypertrophy, true precocious puberty, endometriosis, hysteromyoma, pregnancy regulators,

menstruation regulators. Thus, 5-amino-2-(4-methoxyphenyl)benzimidazole was condensed with 4-pyrrolidinobenzoic acid using di-Et cyanophosphate

the presence of Et3N and 4-dimethylaminopyridine in DMF at room temperature for

1 h to give 418
2-(4-methoxyphenyl)-5-((4-pyrrolidinobenzoyl)amino)benzimi
dazole (II). II in vitro showed IC50 of µg/mL for inhibiting the binding of (1251]leuprolelin to a membrane sample of CHO cell expressing human GnRH receptor.

IT 22106-33-8, 4-(Pyrrol-1-yl)benzoic acid
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of imidazole derivs. as gonadotropin-releasing hormone

L9	ANSWER 143 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
AN	1999:464267 CAPLUS
DN	131:116517
TI	Preparation of N-acyl-phenylalanine derivatives as inhibitors of $\alpha 4$ -mediated cell adhesion
IN	Sircar, Ila: Gudmundsson, Kristjan S.; Martin, Richard
PA	Tanabe Seiyaku Co., Ltd., Japan
50	PCT Int. Appl., 243 pp.
	CODEN: PIXXD2
DT	Patent
LA	English
FAN.	CNT 1
	PATENT NO. KIND DATE APPLICATION NO. DAT
PI	WO 9936393 A1 19990722 WO 1999-US993 199
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, C
	DV FF FF FF CB CB CB CB CB CB III IN TO TE THE

	WO	9936																
		W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU.	CZ.	DE.
												HR,						
												LT,						
			MW.	MX.	NO.	NZ.	PL.	PT.	RO.	RU.	SD.	SE,	SG.	SI.	SK.	SL.	TJ.	TM.
									VN,						,			
		RW:										AT.	BE.	CH.	CY.	DE.	DK.	ES.
												PT.						
												TG	,	,		,	,	,
	CA	2318	527			AA						999-	2318	527		19	9990	119
	AU	9924	584			A1		1999	0802			1999-						
		7495						2002	0627									
	BR	9907	040			Α		2000	1017		BR I	1999-	7040			19	9990	119
	EP	1049	662			A1		2000	1108		EP 1	999-	9041	15		19	9990	119
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR.	IT,	LI.	LU.	NL.	SE.	HC.	PT.
			IE,															
		2002						2002	0326		JP 2	2000-	5401	11		19	9990	119
	JP	3634	749			B2		2005	0330									
	NZ	5060	81			А		2003	0228	- 1	NZ 1	1999-	5060	81		19	9990	119
		59100				В		2004	0611	•	TW 1	999-	8810	0776		1:	9990	119
	SG	1181	17			A1		2006	0127		SG 2	2002-	2002	04434	1	1:	9990	119
		6521						2003	0218	1	US 2	-000	6197	12		20	0000	719
		2003		18		Al		2003	1009	1	US 2	2002-	2867	77		20	0021	104
		68551						2005	0215									
	JΡ	2005	0021	16		A2		2005	0106		JP 2	004-	2020	46		20	040	708
ΑI	US	1998	-718	40P		P		1998	0120									
		2000-				A3		1999										
		1999-				W		1999										
	US	2000-	-619	712		A3		2000	0719									

PR

US 2000-619712 AS 200007.5 MARPAT 131:116517 For diagram(s), see printed CA Issue. The present invention relates to a pharmaceutical composition comprising

active ingredient a compound of formula [I; wherein ring A is an

heterocyclic ring; Q is a bond, carbonyl, lower alkylene optionally substituted by Ho or Ph. lower alkenylene, or -O-(lower alkylene)-; n is 0, 1 or 2; Z is oxygen or sulfur: W is oxygen, sulfur, -CH:CH-, -NH- or -N:CH-; R1, R2 and R3 are the same or different and are hydrogen,

hydroxyl, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted group, CO2H or an amide or an ester thereof, cyano, lower alkylthio,

alkanesulfonyl, substituted or unsubstituted SOZNH2, etc.; R4 is tetrazolyl, carboxyl group, amide or ester; R5 is hydrogen, nitro, ami hydroxyl, lower alkanoyl, lower alkyl, etc.; R6 is selected from (a) a

ANSWER 142 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN antagonists for drugs) 22106-33-8 CAPLUS Benzolc acid, 4-{H-pyrrol-1-yl}- (9CI) (CA INDEX NAME) L9 (Continued)



ANSWER 143 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) substituted or unsubstituted Ph group, (b) a substituted or unsubstituted pyridyl group, (c) a substituted or unsubstituted benzofuranyl group, etc.; or a substituted or unsubstituted benzofuranyl group, etc.; or a pharmaceutically acceptable salt thereof]. These phenylalanine derivs. are useful for treating or preventing conditions caused by c4-mediated cell adhesion such as rheumatoid arthritis, asthma, psoriasis, eczema, contact dermatitis and other skin inflammatory diseases, diabetes, multiple sclerosis, systemic lupus crythematosus (SLE), inflammatory bowel disease including ulcerative colitis and m's

issue), initammatory bowel diseases including ulcerative colicis and disease, and other diseases involving leukocyte infiltration of the gastrointestinal tract, or other epithelial lined tissues, such as skin, urinary tract, respiratory airway, and joint synovium.

N-(tert-butoxycarbonyl)-0-(trifluoromethanesulfonyl)-1-tyrosine Me ester (prepn. given) was coupled with 2-methoxybenzene boronic acid in toluene/DMF in the presence of KZCO3 and Pd(PPh3)4 at 80 °C for 24 h to give N-(tert-butoxycarbonyl)-4-(2-methoxyphenyl)-1-phenylalanine Me ester. The latter compd. was treated with CF3CO24 in CH2C12 for 1.5 h to remove the Boc group and then condensed with 2,6-dichlorobenzoyl chloride in the presence of disopropylethylamine at room temp. for 24 h to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-1-phenylalanine Me ester (II) which was appond. with 100H in TMF/MeOH at room temp. for 3 h, evapd., treated with H2O, adjusted Ph 2, and extd. with EtOAc to give N-(2,6-dichlorobenzoyl)-4-(2-methoxyphenyl)-1-phenylalanine (III). II Crohn'

III in vitro inhibited at IC50 of 1≥ and 0.3≥ μM, resp., β7-mediated cell adhesion which measured the adhesive interactions of a B-cell line, RPMI, known to express αβ7, to the alternatively spliced region of fibronectin referred to as CS-1, in the presence of test compds. 232275-65-9P 232275-67-1P 232275-69-3P 232275-71-7P

232275-71-79
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of N-acyl-phenylalanine derivs. as inhibitors of α4-mediated cell adhesion for prevention and treatment of diseases caused by α4-mediated cell adhesion)
232275-65-9 CAPLUS
Benzoic acid, 2-chloro-4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

Benzoic acid, 2-chloro-4-[2-(trifluoroacetyl)-lH-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 143 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN L9 (Continued)

232275-69-3 CAPLUS

Benzoic acid, 2-chloro-4-(2,5-dichloro-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

232275-71-7 CAPLUS Benzoic acid, 2-chloro-4-(2-formyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 4

ANSWER 144 OF 185 CAPLUS COPPRIGHT 2006 ACS on STN (Continued) NO2 or they are combined together to form a 3 - to 6-membered ring; and Ar represents a (un)substituted 5- to 6-membered heteroaryl group) are

d. having NOS inhibitory activities, and being useful as medicines such as a remedy for cerebrovascular disorder. Also claimed are (a) therapeutics for brain vascular disorders such as cerebral hemorrhage, sub-arachnoid hemorrhage, cerebral infarction, atherothermbotic infarction, lacunar infarction, embolism, transient ischemic attack (TIA), cerebral edema,

and cerebral trauma, spinal injury, Parkinson's disease, Alzheimer's disease, and morphine resistance and dependence, (b) anticonvulsants, and (c) analgesics for headache, migraine, tension-type headache, cluster headache, and chronic paroxysmal headache contg. I as the active ingredients. Thus,
N-[3-[bis(tert-butoxycarbonyl)aminomethyl]-4-(pyrrol-1yl)phenyl)thiourea was heated with Et iodide in acetone under reflux for 14 h followed by treatment with 4 N aq. HCl to give N-[3-aminomethyl-4-(pyrrol-1-yl)phenyl]-5-ethylisothiourea dihydrochloride (II). II showed ICSO of 3.7, 1,920, and 7,930 nM against NOS isoforms, i.e. nNOS (type 1),

eNOS (type 2), and iNOS (type 3), resp.
55540-36-8P, 5-Nitro-2-(pyrrol-1-yl)benzoic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(Reactant or reagent)
(preparation of N-(heterocyclylphenyl)isothiourea and -isoureas having nitric oxide synthase (NOS) inhibitory activities as therapeutics)
55540-36-8 CAPIUS

Benzoic acid, 5-nitro-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 144 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1999:311182 CAPLUS 130:338112 DN TI 130:338112
Preparation of N-(heterocyclylphenyl)isothiourea and -isoureas having nitric oxide synthase (NOS) inhibitory activities
Makino, Toshihko
Chugai Seiyaku Kabushiki Kaisha, Japan
PCT Int. Appl., 49 pp.
CODEN: PICKO2 PA SO DT Patent LA Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE WO 9923069 W: AL Ρī 460460 2307581 2307581 9897614 737967 AU 737967
EP 1043312
R: AT, BE, CF
US 6414005
HK 103451
PRAI JP 1997-339267
JP 1998-J46492
W0 1998-J74967
OS MARPAT 130:338112
GI 20020702 20060224 19971104 19980420 19981104 US 2000-530752 HK 2001-104945

Compds. represented by general formula [I; wherein R1 represents (un) substituted aminoalkyl; R2 represents hydrogen, lower alkyl, or halo; R3 represents SR4 OR4, or NRSR6; wherein R4 represents lower alkyl or (un) substituted lower alkyl; R5 and R6 represent hydrogen, lower alkyl;

ANSWER 145 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

1999:222935 CAPLUS

130:267423

130:267423
Preparation of N-{2-thiazolyl}indole-2-carboxamides and analogs as CCK-A receptor agonists
Brodin, Roger; Bojeggrain, Robert; Bignon, Eric; Molimard, Jean-Charles;
Olliero, Dominique
Sanofi, Fr.
PCT Int. Appl., 121 pp.
CODEN: PIXXD2
Patent
Franch

IN

DT

LA	French CNT 1																		
.,	PATENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D.	ATE			
						-									-				
PI																			
	w:	AL,	AH,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR	, BY,	CA,	CH,	CN,	CU,	CZ,	DE,		
											, HU,								
											, LV,								
									SE,	SG	, sı,	SK,	SL,	TJ,	TM,	TR,	TT,		
							YU,												
	RW:										, AT,								
											, PT,		BF,	ΒJ,	CF,	CG,	CI,		
		CM,	GΑ,	GN,	G₩,	ML,	MR,	NE,	SN,	TD	, TG								
	FR 2768	737			Al		1999	0326		FR	1997-	1171	В		19970919				
	FR 2768	737			B1		2000	0519											
	FR 2777	887			Al		1999	1029		FR	1998-		19980423						
	FR 2777	887			В3		2000	0707											
	ZA 980/	961			Α.		1999	0407		ZA	1998-	7961			1	9980	901		
	FR 2777887 FR 2777887 ZA 9807961 CA 2304397 AU 9891705				AA		1999	0401		CA	1998-	2304	397		19980918				
	AU 9891	705			Al		1999	0412		AU	1998-	9170	5		1	9980	918		
	AU 7467 EP 1017	07			82		2002	0502											
					- AT	D.//	2000	0/12		EP	1998-	9440	24		1	9980	918		
											, IT,								
	BR 9812 EE 2000 TW 4306 TR 2000 JP 2001 JP 3456 NZ 5033 IL 1349 NO 2000 NO 3144		31,	ы,	LV,	г,,	2000				1000	1266							
	BR 3012	100161			~		2000	0022		DK PP	2000-	1463	3		•	3380	210		
	TW 4306	64			6		2001	0410		E.E.	1000-	100 1011	5600		- 1	9990	710		
	TR 2000	0121	я		Ŧ2		2001	0521		TD.	2000-	2000	0121		•	9990	010		
	JP 2001	5176	67		T2		2001	1009		JD.	2000-	5128	30	•	1	9980	918		
	JP 3456	970			B2		2003	1014		••					-	,,,,,,,			
	NZ 5033	39			A		2002	0328		NZ	1998-	5033	39		11	9980	918		
	IL 1349	61			A1		2002	0725		TI.	1998-	1349	61		1	9980	918		
	NO 2000	00140	9		A		2000	0516		NO :	2000-	1409			2	0000	317		
	NO 3144	55			B1		2003	0324							_				
	HR 2000	0001																	
	BG 1042				A		2001	0831		BG .	2000-	1042	54		2	0000	317		
	US 6380	230			81		2002	0430			2000~								
PRAI	FR 1997	-1171	18		A		1997	0919							_				
	FR 1998	-510	5		A		1998	0423											
	FR 1997 FR 1998 WO 1998		W		1998	0918													
OS	MARPAT	130:2	2674	23															

L9 ANSWER 145 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

Title compds. (I; R = NHCOR3; R1 = MeO2; R2 = R7CH2, R7CH2S, R7SCH2,

R3 = e.g., 21(CH2)nR15 or 21(CH2)nC6H4R15; R7 = (di) (methyl) cycloalkyl; R15 = CO2H or alkoxycarbonyl; <math>Z = (un) substituted 1, 2-phenylene; Z1 = (un) substituted indole-2, 1-diyl; <math>m = 0 or 1; n = 1-5) were prepared

Thus, I

(RI = 2,5-dimethoxy-4-methylphenyl, R2 = 2-cyclohexylethyl)(II; R = NH2)

was amidated by l-tert-butoxycarbonylmethyl-5-methylindole-2-carboxylic

acid (preparation each given) to give, after saponification, II (R = NHCO21cH2CO2H, ZI

21CHZCOZH, Z1 = 5-methylindole-2,1-diyl). Data for biol. activity of I were given. 221671-71-2P 221671-73-4P 221671-74-5P RL: BAC (Biological activity or effector, except adverse); BSU logical IT

(Biological

ogical study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-(2-thiazoly1)indole-2-carboxamides and analogs as

receptor agonists)
221671-71-2 CAPLUS
Benzoic acid, 3-[2-[{[5-{2-cyclohexylethyl}-4-{2,5-dimethoxy-4-methylphenyl}-2-thiazolyl]amino]carbonyl]-1H-indol-1-yl]- (9CI) (CA INDEX NAME)

221671-73-4 CAPLUS

Benzoic acid, 2-[2-[{[5-{2-cyclohexylethyl}-4-{2,5-dimethoxy-4-methylphenyl}-2-thiazolyl]amino]carbonyl]-1H-indol-1-yl]- (9Cl) (CA INDEX

ANSWER 146 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1998:682113 CAPLUS 129:299893

L9 AN DN TI 129:299893
Means of ascertaining an individual's risk profile for atherosclerotic disease based on systemic inflammation marker levels Ridker, Paul; Hennekens, Charles H. Brigham and Women's Hospital, Inc., USA PCT Int. Appl., 48 pp. CODEN: PIXXD2

Patent English

PI

PR

AN.	CNT	3																
										A	PPI	LICAT	ION	NO.		D.	ATE	
										_								
1	WO	9843	630			A1		1998	1008	W	0 1	1998-	US66	13		1	9980	402
		w:	AU,	CA,	JP													
		RW:		BE, SE		CY,	DE,	, DK,	ES,	FI,	FR,	, GB,	GR,	IE,	IT,	LU,	MC,	NL,
	C.	2205	001	36				1000		_								
	-	2203	031			**		1330	1008	C.	Α.	1998-	2283	091		1	9980	402
	AU	98/1	008			AI		1998	1022	A	U 1	1998-	/100	B		1	9980	402
										E	P 3	1998-	9179	92		1	9980	402
								2005										
		R:	ΑT,	BE,	CH,	DE,	DK,	, ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	FI														
	JΡ	2001	5250	58		T2		2001	1204	J	P 1	1998-	5420	23		1	9980	402
	JΡ	2003	1285	82		A2		2003	0508	J J	P 2	2002-	2203	53		1	9980	402
	EP	1493	439			Al		2005	0105	E	P 3	004-	1042	4		1	9980	402
										GB,								
			TE.	FT.	CY													
	AT	2903	75 [°]			E		2005	0315	A' P' E:	r 1	1998-	9179	92		1	9980	402
	PT	1003	501			T		2005	0729	 P	r 1	998-	9179	92		1	9980	402
	ES	2239	801			т3		2005	1001	P.	. 1	998-	9179	92		ĩ	9980	402
TAS	US	1997	-419	SOP		P		1997	0402	-						-	,,,,,	
	116	1997	-430	300		-		1007	0402									
	116	1000	-700	DAD		-		1998	0102									
	73	1998	- / 0 0	245		P												
								1998										
	WO	1998	-US6	613		w		1998	0402									

WO 1998-US6613 W 19980402

The invention involves methods for characterizing an individual's risk profile of developing a future cardiovascular disorder by obtaining a level of the marker of systemic inflammation in the individual. The invention also involves methods for evaluating the likelihood that an individual will benefit from treatment with an agent for reducing the

of future cardiovascular disorder. The primary basis for this invention is evidence from the Physicians' Health Study, a large scale, randomized, double-blind, placebo-controlled trial of aspirin and β-carotene in the primary prevention of cardiovascular disease conducted among 22,000 apparently healthy men. In that trial, baseline level of C-reactive protein, a marker for underlying systemic inflammation, was found to main

rmine the future risk of myocardial infarction and stroke, independent of a large series of lipid and non-lipid risk factors. Baseline C-reactive protein level was not associated with venous thrombosis, a vascular event generally not associated with atherosclerosis. Further, the data

generally not associated with atheroscierosis. Further, the data
indicate
 that the magnitude of benefit that apparently healthy individuals can
expect from prophylactic aspirin is dependent in large part upon baseline
level of C-reactive protein.
IT 53597-27-6, Fendosal
RL: BSU (Biological study, unclassified); THU (Therapeutic use);

ANSWER 145 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

221671-74-5 CAPLUS
Benzoic acid, 2-[2-[[[5-(2-cyclohexylethyl)-4-(2,5-dimethoxy-4-methylphenyl)-2-thiazolyl]amino|carbonyl]-H-indol-1-yl]-, monosodium

(9CI) (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 146 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) BIOL (Biological study); USES (Uses) (systemic inflammation marker level in evaluation of cardiovascular

disorder risk redn. by)
53597-27-6 CAPUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L9
AN
DN
TI
                      ANSWER 147 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1998:604906 CAPLUS 129:216422
                      129:216422
Preparation of N-(ar)alkyl-4-(hetero)arylbenzamides and analogs as class III antiarrhythmic agents
Lloyd, John; Rownyak, George C.; Stein, Phillip D.; Ahmad, Saleem; Atwal, Karnail S.: Caulfield, Thomas J.; Poss, Michael A.
Bristol-Myers Squibb Co., USA
PCT Int. Appl., 143 pp.
CODEN: PIXXD2
Patent
 IN
 DT Patent
LA English
FAN.CNT 1
                  Patent
English
NT 1
PATENT NO. KIND DATE APPLICATION NO.

WS 937068 A1 19980827 WO 1998-U32364 19980206
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CH, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, NG, MK, NM, MM, MC, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FF, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, CA, GN, ML, NR, NE, SN, TD, TG
US 963209 A1 19980909 AU 1998-63209 19980206
US 2002137968 A1 20020925 US 2001-973826 20011010
US 6624309 B1 20030923 US 2002-254398 20020925
US 1997-38811P P 19970221
US 1998-US2364 W 19980206
A1 19981221
 PI
                    AU 9863209 Al 19980909 AU 1998-63209 19980206
US 2002137968 Al 20020926 US 2001-973826 20011010
US 6624309 Bl 20030923 US 2002-254398 20020925
US 1997-38811P P 19970221
US 1998-8825 Bl 19980120
WO 1998-US2364 W 19980120
WO 1998-US2364 W 19980206
US 1999-468648 Al 19991221
US 2001-973826 Bl 20011010
WARPART 129:216422
RZZC(:X)HRR1 [R1 = (cyclo)alkyl, heterocyclyl, aryl, etc.; R2 = heterocyclyl, aryl; X = O, S, (alkyl)imino, NCN, etc.; Z = bond, C:C (sic), NR] were prepared as class III antiarrhythmic agents (no data). Thus, 2,2-dimethylcyclopentanone was treated with 4-Mec6H4S02CH2NC and
 PRAI
                         reduced product amidated by 4-(BuCH2CH2O)C6H4COC1 to give 4-(BuCH2CH2O)C6H4CONHR1 (R1 = 2,2-dimethylcyclopentylmethyl).
71935-16-59
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(ar)alkyl-4-(hetero)arylbenzamides and analogs as
                        s
III antiarrhythmic agents)
71935-16-5 CAPLUS
Benzoic acid, 4-(1H-indol-1-y1)- (9CI) (CA INDEX NAME)
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L9 ANSWER 148 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN AN 1998:527297 CAPLUS																		
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		sk H						Liic,	Dai	C11,	MLC.	, 3411	4001	a, n	arrc	DIT.	anu	
		Int																
		EN:			120	PP.												
		ent	1	-														
		lish																
FAN.Ch																		
		ENT I	MO.			KIN	n	DATE			APP	LICAT	TON	MO.		D	ATE	
PI W	0	9832				A1						1998-1					9980	
		W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	· BA,	BB,	BG,	BR.	BY,	CA,	CH,	CN,	cu,	CZ,	DE,
												, HU,						
			ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU	LV,	MD,	MG,	MK,	MN,	MW,	MX,
										SE,	SG	, SI,	SK,	SL,	TJ,	TM,	TR,	TT,
								Yυ,										
		RW:										, AT,						
											PT.	, SE,	BF,	ΒJ,	CF,	CG,	CI,	CM,
				GN,	ML,				TD,	TG								
		2321				A1			0729		GB :	1997-	1441				9970	
		9800				A			0723			1998-					9980	
		2276				AA		1998	0730 0818		CA	1998-	2276	594			9980	
		9857				A1					AU .	1998-	5782	В		1	9980	123
		7333				B2			0510									
						A1 B1			0209		EP.	1998-	9012	93		1	9980	123
	EP	9777; R:			611				0616			, іт,						
		3367		BE,	CH,	A			0629			, 11, 1998-:			SE,		9980	
	10	2001	64 52221	. 1					1113			1998~					9980	
		2227				C2			0427			1999-					9980	
		2692				F.			0715			1998-				- 1	9990	122
		2224				E T3			0301		re :	1998-	9015	33		1	9980	123
		1308				a1			0320			1998-					9980	
		2848				A1 B6			1103			1999-					9980	
		2312				В1			0421			1998-					9980	
		9903				A			0917			1999-					9990	
t	JS	2001	0069	52		A1		2001	0705		US :	1999-	3551	11			9990	
Ü	JS	2003	1535	14		A1		2003	0814		US :	2002-	1163	58		2	0020	405
υ	JS	6762	175			В2		2004	0713									
υ	JS	2004	0636	77		A1		2004	0401		US :	2003-	6624	41		21	0030	916
PRAI G	3B	1997	-144	l		A		1997	0124									
		1998				W		1998										
u	J\$	1999	355	111		В1		1999										
		2002				A1			0405									
												r exam						hems.
												incti						
. 1	Cro	m ale	c., •	the	r, Pi	h, ai	nino	, am	ido,	thi	ol,	carb	oxyl:	ic a	cid,	and		

carboxylic

acid ester groups are modified by replacing one or more of these functional groups by a lipophilic group selected from those of the

formula

RCOO-, RCONH-, RCOS-, RCH2O-, RCH2NH-, -COOCH2R, -CONHCH2R and -SCH2R, (R

a lipophilic moiety selected from cis-8-heptadecenyl, ctans-8-heptadecenyl, cis-10-nonadecenyl and trans-10-nonadecenyl and for biol. activity of title compds. were given.

IT \$3597-27-60F, Fendosal, lipophilic derivative
RL BAC (Biological activity or effector, except adverse); BSU (Biological)

ANSWER 147 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Page 137

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 148 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of fatty acyl and alkyl derivs. of drugs and agrochems.) 53597-27-6 CAPLUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME) L9

IT 53597-27-6, Fendosal

S3597-27-6, Fendosal RACT (Reactant or reagent)
[Preparation of fatty acyl and alkyl derivs. of drugs and agrochems.)
S3597-27-6 CAPLUS
Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy(9CI) (CA INDEX NAME)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 149 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1998:509180 CAPLUS 129:161414
        129:151414
Preparation of benzamidine derivatives as anticoagulants
Takayanagi, Masaru; Sagi, Karuyuki; Nakagawa, Tadakiyo; Yamanashi,
Masahiro; Kayahara, Takashi; Takehana, Shunji; et al.
Ajinomoto Co., Inc., Japan
pch Int. Appl., 453 pp.
CODEN: PIXXO2
 IN
 DT
        Patent
Japanese
 FAN. CNT 1
PATENT NO.
                                       KIND
                                                 DATE
                                                                   APPLICATION NO.
                                                                                                       DATE
19980119
         MARPAT 129:161414
```

The title compds. I {L = CH2CH2, NWCOCH2, etc.; W = H, alkyl, etc.; Y = CH:CH, CONH, etc.; Z = H, alkyl, halo, etc.; when L is CH2CH2, V is benzoyl, cinnamoyl, etc., having substituents; further details on V are given] are prepared These compds. show anticoagulant effects based on

excellent effects of inhibiting activated blood coagulation factor X,

```
ANSWER 150 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1998:338114 CAPLUS 129:12755
AN
DN
TI
          Use of selected nonsteroidal antiinflammatory compounds for the
         and the treatment of neurodegenerative diseases
and the treatment of neurodegenerative diseases
Grilli, Mariagrazia; Pizzi, Marina; Memo, Maurizio; Spano, Pierfranco
Universita' Degli Studi di Breacia - Dipartimento di Scienze Biomediche,
         Italy
PCT Int. Appl., 24 pp.
CODEN: PIXXD2
so
DT
         Patent
LA English
FAN.CNT 1
         PATENT NO.
                                             KIND
                                                          DATE
                                                                               APPLICATION NO.
                                                                                                                          DATE
                                                         19980522
         WO 9820864
WO 9820864
                                                                               WO 1997-EP6323
                                               A2
A3
                                                                                                                          19971113
                RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
SE
PRAI IT 1996-HI2356 A 19961113
OS MARPAT 129:12755
AB Nonsteroidal antiinflammatory compds. are used for the prevention and the treatment of neurodegenerative diseases, e.g. Alzheimer's disease and Parkinson's disease.

IT 53597-27-6, Fendosal
         RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
        logical
study, unclassified); TRU (Therepeutic use); BIOL (Biological
study); USES (Uses)
(nonstroidal antiinflammatory compds. for prevention and treatment of
neurodegenerative diseases)
53597-27-6 CAPLUS
            enzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-
9CI) (CA INDEX NAME)
```

(9CI)

ANSWER 149 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued which makes them useful as anticoagulants. In in vitro tests for tinhibition of activated blood coagulation factor X, compds. of this invention showed pICSO values of 5.5 to 8.1. 22106-33-8. 4-(1H-Pyrrol-1-y-l)benzoic acid
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of benzamidine derivs. as anticoagulants)
22106-33-8 CAPLUS (Continued)

Page 138

Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

CO2H

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

DN	129:16148													
TI														
IN		onald: Venkatesan, A	ranapakam M.; Dusza,	John P.; Sum,										
	Fuk-wah													
PA	American Cyanam	id Co., USA												
so	U.S., 119 pp., CODEN: USXXAM	Contin-part of U.S	. 5,536,718.											
DT	Patent													
LA	English													
FAN	CNT 4													
	PATENT NO.		APPLICATION NO.	DATE										
PΙ	US 5753648													
	US 5536718		US 1995~373132											
	CA 2258885		CA 1997-2258885	19970620										
	WO 9749707	A1 19971231	WO 1997-US10736	19970620										
	W: AL, AU,	BA, BB, BG, BR, CA,	CN, CU, CZ, EE, GE,	GH, HU, IL, IS.										
	JP, KP,	KR, LC, LK, LR, LT,	LV, MG, MK, MN, MX,	NO, NZ, PL, RO										
	RU, SG,	SI, SK, SL, TR, TT,	UA, UZ, VN, YU, ZW,	AM, AZ, BY, KG										
	KZ, MD,	TJ, TM												
	RW: GH, KE,	LS. MW. SD. SZ. UG.	ZW, AT, BE, CH, DE,	DK, ES, FI, FR.										
			PT, SE, BF, BJ, CF,											
		MR, NE, SN, TD, TG												
	AU 9734063	A1 19980114	AU 1997-34063	19970620										
	AU 731925	B2 20010405												
	EP 915876		EP 1997-930167	19970620										
	R: AT, BE,	CH, DE. DK. ES. FR.	GB, GR, IT, LI, LU,	NL. SE. PT. IE.										
		LV. FI. RO												

19990810

BR 1997-10087 CN 1997-197413 JP 1998-503379 NZ 1997-332605

19970620

19970620 19970620 19970620

19981228

ANSWER 151 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1998:324820 CAPLUS

R: AT, BE, CH, DE, DK, SI, LT, LV, FI, RO 9710087 A 1231666 A 2000510154 T2

BR 9710087 CN 1231666 JP 2000510154 NZ 332605 KR 2000022297 US 1995-373132 US 1996-672150 WO 1997-US10736

WO 1997-US10736 MARPAT 129:16148

PRAI

Title compds. [I; D.E.F = N or (un)substituted CH; R1R2 = atoms to complete an(un)substituted (hetero)aromatic ring; Y = bond, CH2, CH2CH2, alkylidene; Z = (CH2)mNR3 or NR3(CH2)m; R3 = COZ1R6; R6 = acylamino, etc.;

- ANSWER 151 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 21 = (un)substituted 1,4-phenylene or -3,6-pyridinediy1; m = 1 or 2) were prepd. Thus, 1-(2-nitrobenzyl)pyrrole-2-carboxaldehyde (prepn. given) L9
- reductively cyclized and the product N-acylated by 2-PhC6H4CONHC6H4(OMe)(CO2H)-3,4 (prepn. given) to give, after condensation with HCHO/CH2(NMe2)2, title compd. II. Data for biol. activity of I were given
- given. 10333-68-3, 2-(1-Pyrrolyl)benzoic acid IT
- RE: RCT (Reactant): RACT (Reactant or reagent)
 [preparation of tricyclic benzodiazepines as vasopressin antagonists)
 10333-66-3 CAPLUS
 Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 152 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

- Nitrogenous heterocyclic compds. of general formula [1, wherein V is oxygen or sulfur; W is 1,4-piperaxinediyl or 1,4-homopiperaxinediyl which may be substituted with unsubstituted alkyl on the ring; X is nitrogen or C-R9; Y is nitrogen or C-R9; X is n
- phosphorylation of PDGF acceptors and the abnormal proliferation or migration of cells and so are effective in preventing or treating cell proliferative diseases such as arterial sclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-piperazinylquinazoline was dissolved in ethanol, followed by adding phe isocyanate, and the resulting mixture was heated at reflux for ogive 4(4-quinazolinyl)piperazine derivative (II; R = CONHPh). II (R = n)
- vitro showed IC50 of 0.03 µM for inhibiting the phosphorylation of PDGF receptor. Pharmaceutical formulations, e.g. tablet containing II (R = N-p-nitrophenylcarbamoyl), were prepared 2106-33-8
- RI: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of nitrogenous heterocyclic compds. inhibiting phosphorylation
- of platelet-derived growth factors (PDGF) receptors)
 22106-33-8 CAPLUS
 Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 152 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1998:219795 CAPLUS 128:257447 AN DN TI 128:257447

Preparation of nitrogenous heterocyclic compounds inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors Matsuno, Kenji: Ichimure, Michio: Nomoto, Yuji: Fujiware, Shigeki: Ide, Shinichi: Tsukuda, Ejii: Irie, Junko: Oda, Shoji Kyowa Hakko Kogyo Co., Ltd., Japan PCT Int. Appl., 312 pp. CODEN: PIXXD2 IN DT Patent LA Japanese FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE WO 9814431 AI 19980409 WO 1997-JP3510 19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MK, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TU, TM
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE CA 2239227 AU 9744708 AU 719392 EP 882717 19980409 CA 1997-2239227 AU 1997-44708 19980424 20000511 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LE, FI
CN 120404 A 18880217 CN 1997-191741 MX 1998-4356 US 1998-88199 US 2000-481544 US 2000-734918 MX 9804356 US 6169088 US 6207667 US 2002068734 20000831 20010102 20010327 US 2002068734
US 6472391
US 2003229077
US 6750218

PRAI JF 1996-260743
WO 1997-JF9510
US 1998-88199
US 2000-481544
US 2000-734918
OS MARPAT 128:257447
GI 20020606 20001213 20021029 20021029 20031211 20040615 19961001 19971001 19980601 20000112 20001213 US 2002-227302 20020826

ANSWER 152 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 153 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1998:154786 CAPLUS 128:180344 128:180344
Preparation of 9-arylacridine-1,8-diones and analogs as herpes simplex virus thymidine kinase inhibitors
Martin, Joseph Armstrony: Sherborne, Bradley Stuart; Taylor, Gareth Mark F. Hoffmann-La Roche A.-G., Switz.
Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE PI EF 823426 A1 19980211 EP 1997-113085 19970370

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LJ, LJ, NL, NL, SE, MC, PT,

CA 2210415 AA 19980207 CA 1997-2210415 19970310

BR 9704271 A 19980310 JP 1997-209149 19970806

US 5969139 A 19981019 US 1997-94271 19970806

CN 1100759 B 20030205 CN 1997-16160 19970806

US 6162918 A 20001219 US 1997-940829 19970806

BR 9704 GB 1997-6655 A 19960807

GB 1997-6659 A 19970418

US 61897-6659 A 19970418

US 61897-86959 A3 19970806

OS MARPAT 128:180344

Title compds. [I; R = (un)substituted (hetero)aryl; Rl = H or alkyl; R2 = alkyl; Z = O or NR3; R3 = H, alkyl, alkoxycarbonyl(alkyl)) were prepared Thus, 3-amino-5,5-dimethyl-2-cyclohexenone was cyclocondensed with 3,4-clFc6H3CNG to give I (R = C6H3ClF-3,4, Rl = R2 = Me, Z = NH). Data for biol. activity of I were given.

203179-04-8, 4-Chloro-3-(1-pyrrolyl)benzoic acid RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 9-arylacridine-1,8-diones and analogs as herpes lex lex
virus thymidine kinase inhibitors)
203179-04-8 CAPJUS
Benzoic acid, 4-cyano-3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 154 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1998:42402 CAPLUS

KIND DATE

19960627 19950117

APPLICATION NO.

PATENT NO.

BK 9710087 JP 2000510154 PRAI US 1996-672150 US 1995-373132 WO 1997-US10736

MARPAT 128:114970

ΡI

AN 1998:42402 CAPLUS

128:114970

TI Preparation of tricyclic benzazepine as vasopressin antagonists

Albright, Jay bonald: Venkatesan, Aranapakam Mudumbai; Dusza, John Paul;

Sum, Fuk-wah

American Cyanamid Co., USA

PCT Int. Appl., 411 pp.

CODEN: PIXXD2

DT Patent

A English

FAN.CNT 4

FAN.CNT 4 W0 9749707 A1 19971231 W0 19971-US10736 19970620
W: AL, AU, BA, BB, BC, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS,
JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RC,
RU, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, ZN, AM, AZ, BY, KG,
KZ, MD, TJ, TM
RW: GH, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, NL, MR, NE, SN, TD, TC
US 5753648 A 19980119 US 1996-672150 19960627
AU 9734063 A1 19980114 AU 1997-34063 19970620
AU 731925 B2 2010405
EP 915876 A1 19990519 EP 1997-930167 19970620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, JU, NL, SE, PT, IE, HZ 20010405 AU 1997-34063 19970620

EF 915876 AI 1990519 EP 1997-930167 19970620

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
SI, LT, LV, FI, RO

BR 9710087 A 1990810 BR 1997-10087 1990810 US 1996-672150 A 2000808 JB 1007-10087

DATE

ANSWER 153 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 6

ANSWER 154 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The title compds. I [AB = CH2NR3, R3NCH2; R3 = (un)substituted arylcarbonyl; DEF ring = 5-member N-containing (un)substituted erocyclic ring; Y = o-bond, CH2; R = alkyl, NH2, halogen,etc; R1 = alkyl, OH, C1, OMe, etc.], which exhibit antagonist activity at V1 and/or V2 receptors and exhibit in vivo vasopressin antagonist activity would be useful in treating diseases characterized by excess remal reabsorption of water (e.g., brain edema, cirrhosis, hyponatremia, brain edema, prestive heart failure, etc.), are prepared Thus, 2-chloro-4-(4'-trifluoromethyl)[1,1'-biphenyl-2-carbonyl]amino]benzoyl chloride was reacted with 10,11-dihydro-5H-pyrrolo[2,1-c][1,4-]benzodiazepine, producing benzodiazepine II which demonstrated a rat kidney-derived V1 receptor IC50 of 418 at 1 µM and 928 for the V2 receptor at 10 µM.
RL: RCT (Reactant); RACT (Reactant or reagent)
[preparation of tricyclic benzazepines as vasopressin antagonists)
10333-68-3 CAPLUS
Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

nzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

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ANSWER 155 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1997:640655 CAPLUS 127:307398
DN 127:307398

I New piperidinyl- and piperazinyl-substituted
1,2,3,4-tetrahydronaphthalene derivatives useful as 5-HT antagonists

IN Berg, Stefan: Florvall, Lennart: Ross, Svante; Thorberg, Seth-Olov Astra AB, Swed.

PA This AB, Swed.

OPT. Int. Appl., 137 pp.
CODEN: PIXXD2
DT
LA
                                    Patent
 LA English
FAN.CMT 1
                          PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9734883 A1 19970925 WC 1997-5E469 19970320

W: AL, AM, AT, AU, AZ, BA, BB, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MK, MX, NX, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: CH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IZ, IT, LU, MC, ML, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, MS, MS, DS, SU, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, CA, 2247940 AA 19970922 ZA 1997-2247940 19970320

AU 3721865 A1 19971010 AU 1997-21865 19970310

AU 3721865 A1 19971010 AU 1997-21865 19970320

EP 888319 A1 19990107 EP 1997-914727 19970320

EP 888319 B1 20030129

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

CN 1219170 A 19990609

CN 19973101 B 20011017

BR 9708093 A 19990727 BR 1997-8093 19970320

AU 219163 A 20000327 BR 1997-8093 19970320

AU 221847 E 20000666 JP 1997-331613 19970320

AU 231613 A 20000327 BR 1997-8030 19970320

AU 231647 E 200001617

BR 9708093 A 19990727 BR 1997-8093 19970320

AU 231847 E 200001617

BR 9708093 A 19990727 BR 1997-8093 19970320

AU 231847 E 20000161 AT 1997-836004 19970320

AU 231847 E 20030215 AT 1997-83410 19970320

AU 321847 E 20030215 AT 1997-84785 19980921

MO 3904385 A 19981123 NO 1998-4385 19980921

MO 3919-836004 A3 19970322

WU 1997-836004 A3 19970322

WU 1997-836004 A3 19970322

WA 21997-836004 A3 19970322
                                        PATENT NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               DATE
                                                                                                                                                                                              KIND
                                                                                                                                                                                                                                                  DATE
                                                                                                                                                                                                                                                                                                                                               APPLICATION NO.
 PΤ
                              CN 1219170
CN 1073101
EN 9708093
NZ 331613
JP 2000506883
SK 282359
AT 231847
US 6124283
NO 9804385
NO 311803
US 6410530
IS E 1996-1110
WO 1997-S2469
US 1997-636004
NARPAT 127:307398
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ANSWER 155 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



ANSWER 155 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB New piperidinyl- and piperazinyl-substituted
1,2,3,4-tetrahydronaphthalene
derivs. I (X = N or CH; Y = NR2CH2, CH2NR2, NR2CO, CONR2, or NR2SO2; R1 =
H, C1-6 alkyl, or C3-6 cycloalkyl: R2 = H or C1-6 alkyl: R3 = C1-6 alkyl,
C3-6 cycloalkyl, or (CH2)n-aryl where aryl = Ph or heteroarom. ring

C3-6 cycloalkyl, or (CH2)n-aryl where aryl = Ph or heteroarom. ring containing
1 or 2 N/O/S atoms and which may be mono- or di-substituted; n = 0-4], as enantiomers, racemates, free bases, or pharmaceutically acceptable salts or hydrates, are disclosed. Also disclosed are pharmaceutical formulations containing I, use of I in the treatment of disorders

Iormutations Contenting ...

S-hydroxytryptamine (5-HT), and processes and intermediates for the preparation of I. The compds. are primarily selective antagonists of the 5-HTID receptor (no data). A variety of preferred compds., mostly (R)-isomers, are specifically claimed. Synthetic examples (138) include preparation of both

of both

I and their intermediates. For instance, (R)-8-methoxy-2-amino-1,2,3,4tetrahydronaphthalene-HCl was converted in 8 steps to (R)-2-amino-1,2,3,4methylpiperazin-1-yl)-1,2,3,4-tetrahydronaphthalene, which was condensed
with 4-morpholinobenzoic acid using 1,1'-carbonyldimidazole in DMF to
give title compound II.

IT 22106-33-8, 4-(IR-Pyrrol-1-yl)benzoic acid
RL: RCT (Reactant): RACT (Reactant or reagent)
(starting material; preparation of piperidinyl- and
piperazinyl-substituted
tetrahydronaphthalenes as 5-HTlD antagonists)
RN 22106-33-8 CAPLUS
CN Benzoic acid, 4-(IH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 156 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1997:613834 CAPLUS 127:278149 Preparation of heterocyclyl-substituted benzoylguanidines as antiarrhythmics Lang, Hans-Jochen; Kleeman, Heinz-Werner; Scholz, Wolfgang; Albus, Udo Hoechat A.-G., Germany U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 334,008, abandoned CODEN: USXXAM

DT LA

	CNT 2 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5665739	A	19970909	US 1995-440619	19950515
PRAI	DE 1992-4242191	A	19921215		
	DE 1993-4311800	A	19930409		
	US 1993-165649	B1	19931213		•
	US 1994-334008	B2	19941102		
os	MARPAT 127:278149				
-					

The title compds. [I; R1 = H, halo, NO2, etc.; R2 = C1-9 heteroaryl AB T

via C or N, S-CaH2a-Cl-9 heteroaryl (wherein a = 0-2), etc.; R3 = R1, C1-6

alkyl, etc.], outstandingly suitable as antiarrhythmic pharmaceuticals with a cardioprotective component for the prophylaxis and treatment of infarctions and for the treatment of angina pectoris, and they also preventively inhibit, or greatly reduce, the pathophysiol. processes in the formation of ischemia-induced damage, in particular in the triggering of ischemia-induced cardiac arrhythmias, were prepared Thus, reaction

4-fluoro-3-trifluoromethylbenzoate with 3-hydroxypyridine in the presence of K2CO3 in DMF followed by treatment of the resulting Me 4-(3-pyridyloxy)-3-trifluoromethylbenzoate with guanidine afforded I [R1

H; R2 = 3-pyridyloxy; R3 = Cf3] which showed IC50 of 0.03 µM/L against
Na+/H+ exchange.
157069-51-79 15069-53-9P 196707-35-4P
RL: RCT (Reactant); SFN (Synthetic preparation); PREF (Preparation); RACT
(Reactant or reagent)
(preparation of heterocyclyl-substituted benzoylguanidines as
antiarrhythmics)
157069-51-7 CAPLUS
Benzoic acid, 3-chloro-5-methyl-4-(H-pyrrol-1-yl)- (9CI) (CA INDEX)

ΙŢ

ANSWER 156 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

157069-53-9 CAPLUS Benzoic acid, 3,5-dimethyl-4-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

196707-35-4 CAPLUS Benzoic acid, 3,5-dichloro-4-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 157 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN L9 (Continued)

IT

ANSWER 157 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN (Lontinued, in blood pressure in rats. 175153-00-1P 175153-01-2P RL: RCT (Reactant); SPR (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzazepine derivs, and analogs as pharmaceuticals

with

affinity for vasopressin receptors)
175153-00-1 CAPLUS
Benzolc acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-2-methoxy- (9CI) (CA INDEX NAME)

175153-01-2 CAPLUS
Benzoic acid, 4-(2,5-dimethyl-lH-pyrrol-1-yl)-3-methoxy- (9CI) (CA INDEX NAME)

L9 AN DN TI

ANSWER 157 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
1997:594560 CAPLUS
127:248027
Preparation and formulation of benzazepine derivatives and analogs as pharmaceuticals with affinity for vasopressin receptors
Ogawa, Hidenori; Kondo, Kazumi; Yamashita, Hiroshi; Suga, Keizo;
Matsuzaki, Noriyuki; Shinohara, Tomokazu; Tanada, Yoshihisa; Kurimura,
Muneaki; Tominaga, Michiaki; Yabuuchi, Yoichi
Otsuka Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 646 pp.
CODEN: JKXXAF
Patent IN

PA SQ

Patent Japanese

rage.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09221476	A2	19970826	JP 1996-354761	19961216
PRAI	JP 1995-348123	A	19951215		
os	MARPAT 127:248027				
CT					

The title compds. I [G = CR2R3X, etc.; X = CH2, etc.; R1 = H, halo, etc.; R2 = H, etc.; R3 = H, CH2CO2R15, etc.; R15 = H, alkyl, etc.; R = adamantylcarbonyl, etc.]. useful as pharmaceuticals with affinity for the vasopressin receptors and as oxytocin antagonists, are prepared. The

title compound II showed oral ED50 of 1 mg/kg against vasopressin-induced increase

ANSWER 158 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
1997:189938 CAPLUS
126:186111
Preparation of heterocyclic carboxylic acid derivatives as retinoid
receptor agonists
Kikuchi, Kowichi; Tagami, Katsuya; Yoshimura, Hiroyuki; Hibi, Shigeki;
Nagai, Mitsuo; Abe, Shinya; Okite, Makoto; Hida, Takayuki; Higashi,
O; IN Seiko:

O; Tokuhara, Naoki; Kobayashi, Seiichi; et al. Eisai Co., Ltd., Japan PCT Int. Appl., 160 pp. CODEN: PIXXD2 Patent

LA FAN.		panes 1																	
	PA	TENT				KIN		DATE							NO.			ATE	
PI		9702						1997	0123									9960	627
		W:	AU,	CA,	CN,	HU,	KR,	MX,	NO,	NZ,	RU	J, U	13						
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GE	3, G	R,	IE,	IT,	LU,	MC,	NL,	PT,
SE																			
	JP	0907	1566			A2		1997	0318		JΡ	199	6-	1414	33		1	9960	604
	ΑU	9662	422			A1		1997	0205		ΑU	199	6-	6242	2		1	9960	627
	EP	8384	53			A1		1998	0429		EΡ	199	6-	9211	04		1	9960	627
	EP	8384	53			Bl		2005	0427										
		R:	AT,	BΣ,	CH,	DE,	DK,	ES,	FR,	GB,	GF	ì, I	т,	LI,	LU,	NL,	SE,	PT,	IE,
FI																			
	ΑT	2941	60			£		2005	0515		ΑT	199	6-	9211	04		1	9960	627
	EP	2941 1559	709			Al		2005	0803		ΕP	200	5-	1823			1	9960	627
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	ì, I	Τ,	LI,	LU,	NL,	SE,	PT,	IE,
FI																			
	US	5977	108			А		1999	1102		US	199	7-	9817	70		1	9971	230
	US	6329	402			Bl		2001	1211		US	199	9-	3130	87		1	9990	517
		2002						2002			US	200	1-	9100	12		2	0010	723
		6541				B2		2003											
		2002						2002			US	200	1-	9100	68		2	0010	723
		6630				B2		2003											
		2003		76		A1		2003			US	200	3-	3367	56		2	0030	106
		6884				B2		2005											
PRAI	JP	1995	-166	004				1995											
		1996				А		1996											
		1996				A3		1996											
		1996				W		1996											
		1997				A3		1997											
		1999				ХX		1999											
		2001				A3		2001	0723										
os	MAI	RPAT	126:	1861	11														
GI																			

ANSWER 158 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) which has at least one nitrogen atom and may be substituted, or the 11 B is heteroarylene, CONH, CR6:CR7 (R6 and R7 being each H, lower alkyl the like) or the like; D is arylene, heteroarylene or the like; n is 0 1; and M is hydroxyl, lower alkoxy or the like) are prepd. In an in 0

retinoid receptor binding assay, tetrahydroquinoxaline deriv. I showed IC50 of 1.6 nM, vs. IC50 of 1.1 nM shown by all-trans-retinoic acid. 187400-36-89 RL: BAC (Biological activity or effector, except adverse); BSU

RI: BAC (Blological activity or effector, eachy testing, but (Biological study, anclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of heterocyclic carboxylic acid derivs. as retinoid

(preparation of heterocyclic carboxylic acid derivs. receptor agonists)
RN 187400-36-8 CAPLUS
CN Benzoic acid,
4-[2-[1,2,3,4-tetrahydro-1-(1-methylethyl)-6-quinolinyi]-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAME)

ANSWER 159 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RE: RCT (Reactant): RACT (Reactant or reagent) (repn. of fused five-membered heterocycloazepine compds. as wasopressin antagonists) 10333-68-3

Benzoic acid, 2-(1H-pyrrol-1-y1)- (9CI) (CA INDEX NAME)

ANSWER 159 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1997:148847 CAPLUS 126:186116

126:186116
Preparation of fused five-membered heterocycloazepine compounds as vasopressin antagonists
Cho, Hidetsura; Wakitani, Yukikyo
Nippon Tobacco Sangyo, Japan
Jpn. Kokai Tokkyo Koho, 106 pp.
CODEN: JKXXAF
Patent AN DN TI

DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE PI JP 09020779 PRAI JP 1995-107485 OS MARPAT 126:186116 GI A2 19970121 19951225 JP 1995-351538 19950501

The title compds. (I: dotted line = single or double bond; A1 = 0, S,

A2 = CH2, NH, etc. when dotted line = single bond: A2 = CH when dotted line = double bond: A3, A4 = CH or one of them represents N: R1, R2, R9 H, lower alkyl, etc.: R3, R4 = H, lower alkyl, aryl, etc.: R5 = Cycloalkyl, aryl, etc.) are prepared I, possessing vasopressin and oxycotin antagonism, are useful for prevention and treatment of corpective heart failure, cerebral edema, hypertension, and oversecret exaggerated secretion of arginine vasopressin and as diuretics. Thus, 4-(4-nitrobenzoyl)-5,6,7,8-tetrahydro-4H-thieno(3,2-b)azepine (preparation given) was hydrogenated over platinum oxide and

reacted with 2-phenylbenzoyl chloride in the presence of Et3N to give the title compound (II), which showed IC50 of 5 X 10-8 and 3 X 10-7 M against vasopressin (V1) and vasopressin (V2) receptors resp. when tested on rate

ANSWER 160 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1996:485727 CAPLUS 125:142700

DN 125:142700
TI Tricyclic oxime ethers process for their preparation and pharmaceutical compositions containing them
IN Rault, Sylvain; Robba, Max; Lancelot, Jean-Charles; Prunier, Herve; Renard, Pierre; Pfeiffer, Bruno; Guardiola-Lemaitre, Beatrice; Rettori, Marie-Claire
PA Adir Et Compagnie, Fr.
SO Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE 19960626 Al Bl EP 1995-402865 19951219 19960626 20000405 , ES, FR, 19960628 19970131 19960623 20010410 20000415 20000901 19960623 19960627 19980702 DK, GB, GR, IE, IT, LI, LU, FR 1994-15431 NL, PT, SE 19941222 CA 1995-2165618 19951219 AT 1995-402865 PT 1995-402865 ES 1995-402865 FI 1995-6136 19951219 19951219 19951219 19951220 19951220 NO 1995-5215 ZA 1995-10901 JP 1995-333347 19960624 19951221 19960910 19990823 19951221 19970506 US 1995-576678 CN 1995-120144 19951221 19951222 19960918 20010530 20000726 CN 1999-120993 GR 2000-401198 19991203 20000525 19941222

AB The present invention concerns compds. I, in which A represents a thieno group, x and y are independently 0-4, Rl is H, alkyl, alkenyl, cycloalkyl,
OH, alkoxy, substituted Ph, phenylalkyl, substituted phenoxy, R2 and R3 are H, alkyl, alkenyl, cycloalkyl, substituted indanyl, substituted Ph,

- ANSWER 160 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) phenylalkyl, or RZ and R3 form azacycloalkyl rings, and their oxalates or fumarates. I, e.g. II (X = NOCHPhcHZCHZNNe2) are prepd. from the ketone, e.g II (X = 0), via hydroxyimination followed by 0-alkylation, e.g with phcHCICHZCHZNHe2:RCl. I were tested as serotoninergic receptor antagonists (ICSO 1.1 x 10 -10 to 10-4 H), anxiolytics and antidepressants.
 10333-68-29 55540-33-59 55540-34-6P
 13362-26-7P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of tricyclic oxime ethers as serotoninergic receptor antagonists)
- IT

antagonists)
10333-68-3 CAPLUS
Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



55540-33-5 CAPLUS
Benzoic acid, 5-chloro-2-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

55540-34-6 CAPLUS Benzoic acid, 4-chloro-2-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

- ANSWER 161 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1996:455768 CAPLUS 125:114322
 Preparation of urea derivatives as cholesterol acyltransferase inhibitors Terasawa, Takeshi: Tanaka, Akira; Chiba, Toshiyuki; Takasugi, Hisashi Fujisawa Phatmaceutical Co., Ltd., Japan CT Int. Appl., 228 pp. CODEN: PIXXD2
 Patent English CMT 1

FAN.	CNT 1			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	WO 9610559	A1 19960411	WO 1995-JP1982	19950929
	W: AU, CA, CN,	HU, JP, KR, MX,	RU, US	
	RW: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IE, IT, LU, MC,	NL, PT, SE
	CA 2200981	AA 19960411	CA 1995-2200981	19950929
	AU 9535779	A1 19960426	AU 1995-35779	19950929
	EP 784612	Al 19970723	EP 1995-932934	19950929
	R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IE, IT, LI, LU,	NL, PT, SE
	JP 10510512	T2 19981013	JP 1995-511616	19950929
	ZA 9508365	A 19960508	ZA 1995-8365	19951004
PRAI	GB 1994-19970	A 19941004		
	GB 1995-6720	A 19950331		
	GB 1995-14021	A 19950710		
	WO 1995-JP1982	W 19950929		
04	MARDAT 125-114322			

- W0 1995-JP1982 W 19950929

 MARPAT 125:114322

 AB R4YC6H4(CR2) NN32CONRR3 [R2 = (ar)alkyl, heterocyclyl(alkyl), alkoxyalkyl, etc.; R3,R4 = (un)substituted aryl, heterocyclyl; Y = bond, alkylene, O, CO, CONN, etc.; n = 0 or 1) were prepare Thus, 1-cycloheptyl-1-(4-phenoxyphenylmethyl)-3-(2,4,6-trifluorophenyl)urea had IC50 of 1.1x10-8M against cholesterol acyltransferase in vitro.

 IT 22106-33-8, Benzoic acid, 4-(1H-pyrrol-1-yl)-61471-45-2, 3-(1-pyrrol)lbenzoic acid
 RE: RCT (Reactant); RACT (Reactant or reagent) [preparation of urea derivs. as cholesterol acyltransferase inhibitors)
 RN 22106-33-8 CAPLUS
 CN Benzoic acid, 4-(1H-pyrrol-1-yl)- [9CI] (CA INDEX NAME)



61471-45-2 CAPLUS Benzoic acid, 3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

- ANSWER 160 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continuing 133662-26-7 CAPLUS Benzoic acid, 5-methyl-2-(14-pyrrol-1-yl)- (9CI) (CA INDEX NAME) (Continued)

ANSWER 161 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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DN		:866																
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		DEN:																
DT	Pat	ent																
LA	En	lish																
FAN.	CNT	1																
		TENT				KIN		DATE				ICAT				D.	ATE	
PI		9610	028			A1		1996	0404		WO 1	995-	EP35	36		1	9950	908
		W;						, BY,										
								, LT,						MΧ,	NO,	NZ,	PL,	RO,
								, TM,										
		RW:						, AT,										
						PT,	SE,	, BF,	BJ,	CF,	CG,	CI,	CH,	GΑ,	GN,	МL,	MR,	NE,
				TD,	TG													
		2200				AA			0404			995~					9950	
		9535				Al		1996	0419		AU 1	995~	3564	3		1	9950	908
		6948				B2		1998	0730									
		7835				A1		1997	0716		EP 1	995-	9326	93		1	9950	908
	EΡ	7835				B1			0307									
		R:	AT,	BE,	CH,	DE,	DK.	, ES,	FR,	GB,	GR,	IE,	IT,	LI,	w,	MC,	NL,	PT,
SE						_										_	- -	
		1164				A			1105		CN 1	995~	1963	ОB		1	9950	908
		1046				B A2 A			1124							_		
		7678				A2			1128			997-					9950	
		9509				T2			0106			995-					9950	
		1050							0630			995-						
		1995 2157				E T3			0315 0816		AT 1	995- 995-	9326	93		1	9950	908
		7835				T			0830									
		5869				A			0209		PT 1	995-	9326	93			9930 9970	908
		9701				A			0321		VO 1	997- 997-	1333	13			9970 9970	
		3081				B1			0724		NO 1	. 991-	1342			1	9970	321
		9701				A		1997			nr 1	997-					9970	
		1128				B1		2004			6.T T	991-	1225			1	99/0	324
		3035				T3		2004			cp 2	001-	4000	40		-	0010	
DDAT		1994				A		1994	0020		GR Z	.001-	-000	4,7		- 2	0010	000
. 101		1995				ŵ		1995	0908									
os		REAC								n								
GT				00	,					-								

L9 ANSWER 162 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• Na

ANSWER 162 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds. [I; R1, R3 = (substituted) aryl; R2 = H, alkyl, halo], useful for the treatment of osteoporosis, breast cancer and cardiovascular disorders, e.g. thrombosis, were prepared by e.g. treatment of

tituted
2-amino-3-cyano-pyrrole with (EtO)3CH followed by treatment of II (X =
EtO) with NH3/EtOH and cyclization of II (X = NH2) with NH3/EtOH at
130° in an autoclave. Tablets formulations containing I are given. In
general, compds. I showed IC50 of 0.001-10 µM against protein tyrosine
kinase pp80C-src.
17890S-37-09

IT 178909-37-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological Study); PR2P (Preparation); RACT (Reactant or reagent); USS (Uses) (preparation) RACT (Reactant or reagent); USS (Uses) (preparation) of 4-aminopyrrolo[2,3-d]pyrimidines as inhibitors of the protein tyrosine kinase pp60c-src.
RN 178909-37-0 CAPLUS (CR BENZOLC ACTUS (CR INDEX NAME))

178910-30-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-aminopyrrolo[2,3-d]pyrimidines as inhibitors of the protein tyrosine kinase pp60c-src)
178910-30-0 CAPLUS
Benzoic acid, 3-(2-amino-3-cyano-4-phenyl-1H-pyrrol-1-yl)-, monosodium salt (9CI) (CA INDEX NAME)

ANSWER 163 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

AN	1996:211765 CAPLUS			
DN	124:260869			
TI	Preparation of benzaze	nine and benzod	invenine derivatives	
••	antagonists and agonis			as vasopressin
IN	Ogawa, Hidenori; Kondo			-1
		, Kazumi, Tamas	nica, mitosni; kan, k	e120;
Mate	suzaki,			
	Takayuki; Shinohara, T		, Yoshihisa; Kurimura	, Muneaki;
	Tominaga, Michiaki; Ya			
PA	Otsuka Pharmaceutical		n	
50	PCT Int. Appl., 678 pp	•		
	CODEN: PIXXD2			
DT	Patent			
LA	English			
FAN.	.CNT 2			
			APPLICATION NO.	DATE
PI	WO 9534540 A	1 19951221	WO 1995-JP1124	19950607
	W: AU, CA, CN, KR	, MX, US		
	RW: AT, BE, CH, DE	, DK, ES, FR, G	B, GR, IE, IT, LU, MC	, NL, PT, SE
		A 19951221	CA 1995-2192928	19950607
	AU 9526293 A		AU 1995-26293	19950607
		2 19980423		
	EP 765314 A		EP 1995-921112	19950607
		1 20030507		2230000
			B, GR, IE, IT, LI, LU	MC NT DT
SE	R. AI, DE, CH, DE	, טוו, בט, זוו, ט	D, GR, 12, 11, 21, 20	, NC, ND, F1,
-	CN 1150799 A	19970528	CN 1995-193642	19950607
	CN 1104418 B		CN 1993-193642	19930007
			EP 2002-7987	19950607
IE	R: AT, BE, CH, DE	, DK, ES, FK, G	B, GR, IT, LI, LU, NI	, SE, MC, PT,
15	nm 220210 m	20020515		10050507
		20030515	AT 1995-921112	19950607
		20030930		19950607
		3 20040216	ES 1995-921112	19950607
	TW 467899 B		TW 1995-84105805	
	JP 08301848 A		JP 1995-177127	19950615
	JP 3215910 B			
	JP 11349570 A		JP 1999-111038	19950615
		2 20001219	JP 2000-155830 US 1996-737432	19950615
	US 6096735 A		US 1996-737432	19961113
		1 20020101	US 1999-431635	19991101
		20010919	CN 2000-131787 US 2001-874452	20001018
	US 2002049194 A		US 2001-874452	20001018 20010606
		2 20031104		
PRAI	I JP 1994-132355 A	19940615		
	JP 1995-70727 A	19950303		
	EP 1995-921112 A	3 19950607		
	WO 1995-JP1124 W			
		3 19950615		
		3 19961113		
		3 19991101		
os	MARPAT 124:260869			
GI				

ANSWER 163 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Title compds. I and II (R1 = H, halo, alkyl, etc.; R2 = H, OH, (substituted) amino, etc.; R3 = H, hydroxy-substituted alkyl; R2R3 = O, (substituted) alkylidene; R4 = H, alkoxy, (substituted) benzoyl, etc.; X AB

CH2, a single bond, (substituted) imino, etc.; Y = (substituted) imino], useful as anthypertensives, diuretics and antidiuretics, were prepared

and formulated. Treatment of 4-ethoxy-2-methoxybenzoic acid with SOC12 followed by addition of I [R1 = 7-C1; R2 = Et2NCH2CH2N(Me)COCH2; R3 = R4

- H; X = CH2) in the presence of Et3N in CH2Cl2 and treatment of the base with concentrate HCl afforded I.HCl [R1 = 7-Cl; R2 = Et2NCH2CH2N(Me)COCH2; R3 = H: R4

R4 = 4,2-(Eto) (Meo)C6H3CO; X = CH2) which showed IC50 of 0.021 µM in a vasopressin V1 receptor binding assay and IC50 of 0.15 µM in a vasopressin V2 receptor binding assay.
15998-26-79 175133-00-127 175135-01-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

IT

(Reactant or reagent)
(preparation of benzazepine and benzodiazepine derivs. as vasopressin antagonists and agonists or oxytocin antagonists)
15898-26-7 CAPLUS

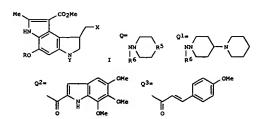
15898-26-7 CAPLUS
Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

175153-00-1 CAPLUS
Benroic acid, 4-(2,5-dimethyl-lH-pyrrol-l-yl)-2-methoxy- (9CI) (CA INDEX NAME)

ANSWER 164 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1995:998395 CAPLUS 124:176153 Preparation of DC-89 derivatives as antitumor agents Amishiro, Nobuyoshi; Nagamura, Satoru; Saito, Hiromitsu; Kobayashi, Eiji; Okamoto, Akiniko; Gomi, Kataushige Kyowa Hakko Kogyo Co., Ltd., Japan PCT Int. Appl., 58 pp. CODEN: PIXXD2 Patent Japanese

DT

FAN.		panes 1	se .																
	PA'	TENT	NO.			KIN	D	DATE			AP	PLI	CAT	ION	NO.		D	ATE	
							-										-		
PI	WO	9529	179			A1		1995	1102		WO	19	95-	JP77	9		1	9950	420
		W:	AU,	CA,	JP,	KR,	US												
		RW:	AT,	BE,	CH,	DE,	DK.	ES,	FR,	GB,	GI	₹,	IE,	IT,	LU.	MC,	NL,	PT,	SE
	CA	2165	819			AA		1995	1102		CA	19	95-	2165	819		1	9950	420
	CA	2165	5819			c		2005	1227										
	ΑU	9522	2671			A1		1995	1116		ΑU	19	95-	2267	1		1	9950	420
	ΑU	6855	339			B2		1998	0129										
	EP	7058	33			A1		1996	0410		EP	19	95-	9160	20		1	9950	420
	EP	7058	33			B1		2004	0721								-		
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	G	٧,	IE,	IT.	LI,	LU,	MC,	NL,	PT,
SE																			
	AT	2715	557			E		2004	0815		AT	19	95-	9160	20		1	9950	420
	PT	7058	333			T		2004	1130		PT	19	95-	9160	20		1	9950	420
	ES	2220	927					2004	1216		ES	19	95-	9160	20			9950	
	US	5641	780			A		1997	0624		US	19	95-	5641	78		ī	9951	215
PRAI			-847					1994	0422										
			5-JP7			w			0420										
os	MA	RPAT	124:	1761	53														



DC-89 derivs. [I; X = Cl or Br; R = (un)substituted alkyl,

(un) substituted OR2, SR2, NR3R4, Q, Q1, SO2R8; wherein R1 = H,
 (un) substituted alkyl, aryl, or heterocyclyl; R2 = (un) substituted alkyl, aryl, R3, R4 = H, (un) substituted alkyl, M12, mono- or dialkylamino;
 provided that R3 = R4 = H, R5 = NR7, O; R6, R7 = H, (un) substituted

ANSWER 163 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

175153-01-2 CAPLUS
Benzoic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-3-methoxy- (9CI) (CA INDEX NAME)

ANSWER 164 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) alkyl; R8 = (un)substituted alkyl or aryl; Y = Q2, Q3] or pharmacol. acceptable salts thereof are prepd. Thus, the tert-butyldimethylsilyl ether I (R = Me3CSiMe2, X = Br, Y = Q2) (50 mg) was dissolved in THF, treated with 0.11 mL 1.0 M Bu4NF/THF, and stirred at room temp. for 1 h

give, after workup, the alc. I (R = H, X = Br, Y = Q2) which was

olved in HeCN, treated with 48% aq. HBr, stirred at room temp. for 1 h, treated with 1 N aq. HBr, and extd. with CHCl3. The CHCl3 ext. was dried over anhyd. Na2SO4 and evapd. to dryness to give the crude product which was dissolved in CH2Cl2, treated with 0.027 mL Ph chloroformate and 0.030 mL Et3N, and stirred at -78° to $^\circ$ for 1 h to give, after workup and silica gel chromatogr, the title pyrroloindoline I (R = CO2Ph, X = Br, Y = Q2). The latter compd. in vitro showed ICSO of 0.051 mM for inhibiting the proliferation of HeLaSI cells and in vivo exhibited T/C of 0.090 (tumor vol. of the treated animal/tumor vol. of the control) in

transplanted with sarcoma 180.
22106-33-8, 4-[HR-Pyrrol-1-yl]benzoic acid
RL: RCT (Reactant); RRCT (Reactant or reagent)
(preparation of DC-89 (pyrroloindoline) derivs. as antitumor agents)
22106-33-8 CAPUS
Benzoic acid, 4-[H-pyrrol-1-yl]- (9CI) (CA INDEX NAME) IT



mice

ANSWER 165 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1995:938557 CAPLUS AN DN TI 124:21782 124:21782
Preparation of 2-(arylmethyl)-1-naphthols and 5-lipoxygenase inhibitors containing them
Kobori, Takeo; Fujita, Mikako; Kondo, Sei; Higuchi, Shohei
Sagami Chem Res, Japan; Taisho Pharma Co Ltd
Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JOCKAP Patent Japanese FAN. CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE JP 07233052 A2 19950905 19940218 JP 1994-43395 19940218 PRAI JP 1994-43395 OS MARPAT 124:21782 GI

AB 5-Lipoxygenase inhibitors containing the title compds. I [R1 = {un}substituted tun, substituted aryl: RZ-4 = H, halo, alkyl, alkoxy, alkylthio, NO2] as active ingredients

aryl; RZ-4 = 8, halo, alkyl, alkoxy, alkylthio, NO2] as active ingredients
are claimed. The inhibitors are useful for treatment of airway disorders,
e.g. allergic asthma, bronchitts, inflammation, rheumatism, thrombosis, ischemia, angina pectoris, arteriosclerosis, skin diseases, e.g., psorlasis, inflammatory skin disease, and as cytoprotective agents for gastrointestinal tracts. ICSO value of 2-[(4-b)phenyl)methyl]-1-naphthol (II; preparation given) against 5-lipoxygenase (prepared from rat basophilic leukemia cell RBL-1) was 0.14 µM. Tablets containing I were also formulated.

I 22106-33-89
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction with tetralone; 5-lipoxygenase inhibitors containing [(arylphenyl)methyl]naphthols for treatment of airway disorders, inflammation, vascular diseases, skin diseases, and for protection of gastrointestinal cells)
RN 22106-33-8 CAPLUS
CN Benzoic acid, 4-(H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

Title compds. (I; X = 0, S; Rl = aryl; R2 = H, OH, O2CR3; R3, R4 = H, alkyl, aralkyl; R3R4 = atoms to form a 5-7 membered ring; R5 = H, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, cycloalkylalkyl, cycno NO2, alkylthio, alkylaulfinyl, alkylsulfonyl, halo, alkoxy, OCF3, CF3 OCH2CF3

alkoxycarbonyl, etc.; R6 = H, alkyl, halo, OH, alkoxy, amino, alkoxy, alkoxycarbonyl, etc.; R7 = H, alkyl, aralkyl; R8 = H, alkyl, aryl,

alkoxy; R9 = H, alkyl, aryl, alkoxycarbonyl, alkylcarbonyl; Y = O), were

prepared as
potassium channel activators (no data). Thus, 6-cyano-2,2-dimethyl-2H-1benzopyran was treated with Na2HPO4- and NaOH-treated household bleach

11.3) and Mn(III) salen complex to give 99% (laR)-cis-la,7b-dihydro-2,2-dimethyl-2H-oxtreno[c][1]benzopyran-6-carbonitrile. This was heated with aqueous NH3 in EtOH/THF at 50° for 16 h to give 86% (33-trans)-4-amino-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-6-carbonitrile. The latter in THF was treated with cinnamoyl chloride in THF and aqueous NS2CO3 ti give 62.6% [33-[3a,4]62]])-N-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-3-phenyl-2-propenanide.

propenamide.

IT 53242-70-9, Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-yl)-

L9 ANSWER 165 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 166 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) RL: RCT (Reactant), RACT (Reactant or reagent) (prepn. of benzopyranylpropenamides for treatment of ischemia and arrhythmia) 52427-09 CAPLUS

Benzoic acid, 2-hydroxy-5-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

DATE

19931019

ANSWER 167 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1995:858578 CAPLUS 123:256508 123:256508
Preparation of pyrrole derivatives as ACAT inhibitors
Ito, Yoshikuni: Oone, Kazuhiko; Tanaka, Hirokazu
Fujisawa Pharmaceutical Co, Japan
JDn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF Patent Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. PI JP 07118229 PRAI JP 1993-284471 OS MARPAT 123:256508 A2 19950509 19931019 JP 1993-284471

R1NHCONR2CH2A

The title compds. I [RI = (un)substituted aryl; R2 = alkyl, etc.; R3 = (un)substituted aryl; R4 = H, halo, etc.; A = single bond, alkylene] are prepared In an in vitro test for acyl-CoA: cholesterol acyltransferase (ACAT) inhibiting activity, N-[1-(4-Chlorophenyl)pyrrol-2-ylmethyl]-N-heptyl-N'-(2,4,6-trifluorophenyl)urea showed IC50 of 5.7 x 10-8 M. 22106-33-6 IT

RE: RCT (Reactant); RACT (Reactant or reagent) (preparation of pyrrole derivs. as ACAT inhibitors) 22106-33-8 CAPLUS Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 168 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
The N-benzoylguanidine derivs. or N-(heteroaroyl)guanidine derivs. I (X,
Y, Z = nitrogen, methine; R2 = H, aryl, etc.; R3 = H, alkoxy, hydroxy,
etc.) and pharmaceutically acceptable salts thereof were disclosed as
pharmaceuticals. I inhibit the sodium/hydrogen exchange in cells and are
hence useful for the treatment of cardiovascular diseases,

brovascular
diseases, renal diseases, arteriosclerosis or shock. A claimed example
compound is N-[3-(1H-pyrrol-1-yl)benzoyl]guanidine [i.e.,
N-(aminoiminomethyl)-3-(1H-pyrrol-1-yl)benzamide] (II).
166618-91-39 166618-92-49 166618-93-59
166618-94-69 166619-50-99
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of N-(aroyl)guanidine derivs. as sodium exchange
bitors)

inhibitors)
RN 168618-81-3 CAPLUS
CN 1H-Pyrrole-2-carboxylic acid, 1-(3-carboxyphenyl)-, 2-(phenylmethyl)

(9CI) (CA INDEX NAME)

168618-82-4 CAPLUS Benzoic acid, 3-(3-cyano-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

168618-83-5 CAPLUS Benzoic acid, 3-{2-cyano-1H-pyrrol-1-yl}- (9CI) (CA INDEX NAMZ)

ANSWER 168 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1995:835463 CAPLUS 123:256771

DN TI IN

143:250://1
Guanidine derivatives as inhibitors of Na+/H+ exchange in cells
Kuno, Atsushi; Inoue, Yoshikazu; Takasugi, Hisashi; Mizuno, Hiroaki;
Yamasaki, Kumi
Fujisawa Pharmaceutical Co., Ltd., Japan

PCT Int. Appl., 212 pp. CODEN: PIXXD2

Patent English

	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9426709	A1	19941124	WO 1994-JP786	19940512
	W: AU, CA, CN,	HU, JP	, KR. RU.	US	
	RW: AT, BE, CH,	DE. DK	ES. FR.	GB, GR, IE, IT, LU, MC,	NL. PT. SE
	CA 2163004	AA	19941124	TW 1994-83104223 CA 1994-2163004	19940512
	AU 9466912	A1	19941212	AU 1994-66912	
	AU 685457	B2	19980122		
	KU 70206	A2	19950928	HII 1994-3233	19940512
	EP 699185	A1	19960306	EP 1994-914623	19940512
	EP 699185				
				GB, GR, IE, IT, LI, LU,	NL. PT. SE
	CN 1123545	A		CN 1994-192121	
	CN 1080257	В	20020306		
	JP 08511243	T2	19961126		19940512
	DII 2141046	C1	19991127		
	AT 205191	E	20010915		
	ES 2159558	Т3	20011016		
	PT 699185	T	20020130		
	ZA 9403388	Ā		ZA 1994-3388	
	US 5824691		19981020		19951109
	GR 3036549	Т3	20011231		
PRAI	GB 1993-10074		19930517		2001000
	GB 1993-25268		19931210		
	WO 1994-JP786		19940512		
os	MARPAT 123:256771	-	155 10512		

$$\begin{array}{c|c} & & & & & \\ & & & & \\$$

L9 ANSWER 168 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

168618-84-6 CAPLUS
Benzoic acid, 3-cyano-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

168619-50-9 CAPLUS 1,3-Benzenedicarboxylic acid, 5-(1H-pyrrol-1-y1)-, monomethyl ester (9CI) (CA INDEX NAME)

IT 168620-28-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Blological study); PREP (Preparation); USES (Usea)
(preparation of N-(aroyl)guanidine derivs. as sodium exchange
inhibitors)
RN 168620-28-8 CAPLUS
CN Benzoic acid, 3-[[(aminoiminomethyl)amino]carbonyl]-5-(1H-pyrrol-1-yl)(9CI) (CA INDEX NAME)

10/706,027 L9 Page 149

(Continued)

XYNHC(B)(CH2OR1)(CH2OR2) (X = residue of therapeutic compound; Y = null,

ANSWER 169 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

amino acids, peptide residue, spacer group; B = H, CH2OR3; R1, R2, R3 =

Me, Et, OH, acyl group derived from a fatty acid; ≥1 of R1-R3 = acyl group derived from a fatty acid), were prepared Thus, ibuprofen was stirred with O-(N-succinimidyl)-N.N,N'.N'-tetramethyluronium tetrafluoroborate in DMF at pH 8.5; ATPl [ATPl = alanine trismonopsimitate; tris = 2-amino-2-hydroxymethyl-1,3-propanediol) in CH2Cl2 was added to give ibuprofen-ATPl [1]. I applied topically had a much greater protective effect than ibuprofen itself on UVB-induced skin buprofen infe.

much greater process.

burns on mice.

53597-27-GDP, Fendosal, conjugates

RL: BAC (Biological activity or effector, except adverse); BSU

RI: BAC (Blological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of drug conjugates incorporating amino acid spacers and

y acid ester residues) 53597-27-6 CAPIUS Benzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz(e)indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)

ANSWER 169 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1995:801426 CAPLUS 123:199403 Preparation of drug conjugates incorporating amino acid spacers and fatty acid ester residues. Whittaker, Robert George; Bender, Veronika Judith; Reilly, Wayne Gerrard Commonwealth Scientific and Industrial Research Organization, Australia PCT Int. Appl., 50 pp. CODEN: PIXXDZ IN PA SO

Patent English

I ALT	·wi	Τ.																
	PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		0	ATE	
							-									-		
PI	WO	9504	030			A1		1995	0209		WO 1	994-	AU44	0		1	9940	802
		w:	AM,	AT,	ΑU,	BB.	BG,	BR,	BY.	CA.	CH.	CN,	CZ.	DE.	DK.	ES.	FI.	GB.
								KP,										
			NL,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SI,	SK,	TJ.	TT.	UA.	US.	UZ.
VN																		
		RW:	KE,	MW,	SD,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE.	IT.	LU.	MC.
			NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	KL,	MR,	NE,	SN,	TD
TG																		
	CB	2167	010					1005	~~~~									

AA A1 B2 A1 CA 2167818 AU 9473420 AU 683289 EP 712389 EP 712389 19950209 19950228 CA 1994-2167818 AU 1994-73420 19940802 19940802 19971106 19960522 EP 1994-922189 19940802 20010124 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, CN 1128531 19960807 CN 1994-192985 19940802 CN 1128531 CN 1125040 JP 09501655 RU 2137755 AT 198880 ES 2156156 NO 9600389 NO 313227 FI 9600504 US 5792786 19960807 20031022 19970218 19990920 20010215 20010616 19960130 20020902 JP 1994-505456 RU 1996-104379 AT 1994-922189 ES 1994-922189 NO 1996-389 T2 C1 19940802 19940802 19940802 E T3 19940802 19960130 A B1 FI 1996-504 US 1996-592399 US 1998-16633 19960202 19960202 19960202 19980811 20020305 19930802 19940802 19960412 19960412 19980130 VS 5/92/80 A 19980811
PRAI AU 1993-325 A 19930802
WO 1994-AU440 W 19940802
US 1996-59229 AI APPAT 123:199403

GASRACT 123:199403; MARPAT 123:199403

ANSWER 170 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1995:354655 CAPLUS 123:226509 Substituted indole derivatives as angiotensin II antagonists Clark, Robin D.; Clarke, David E.; Fisher, Lawrence E.; Jahangir, Alam Syntex (U.S.A.) Inc., USA U.S., 45 pp. Cont.-in-part of U.S. 5,212,195. CODEN: USXCAM Patent English CRT 3

PAT	TMBT	NO.			KIN	D	DATE			API	PLI	CAT	ION	NO.		D	ATE	
						-										-		
US	5380	739			A		1995	0110		US	19	93-	4869	1		1	9930	204
US	5212	2195			A		1993	0518		US	19	92-	8823	90		1	9920	513
WO	9323	3391			A1		1993	1125		WO	19	93-	US 15	33		1	9930	226
										ΑU	19	93-	3727	4		1	9930	226
ΑU	6725	599			B2		1996	1010										
										EP	19	93-	9061	23		1	9930	226
EΡ	6400	080			B1		1997	1022										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹,	IE,	IT,	LI,	LU,	MC,	NL,	PT,
										ЖU	19	94-	3238			1	9930	226
					T2					J₽	19	93-	5201	79		1	9930	226
JP	3332	2234			B2													
ΑT	1595	524			E		1997	1115		ΑT	19	93-	9061	23		1	9930	226
																	9930	226
ES	2110	086			T3													
CN	1039	714			В		1998	0909		CN	19	93-	1024	01		1	9930	226
NZ	2991	146			A		2000	0623		NZ	19	93-	2991	46		1	9930	226
FI	9405	319			A		1994	1111		FI	19	94-	5319			1	9941	111
NO	9404	311			А		1994	1114		NO	19	94-	4311			1	9941	111
NO	3085	35			В1		2000	0925										
US	1992	-882	390		A2		1992	0513										
US	1993	3-486	9		A		1993											
					Α		1993	0226										
MAP	PAT	123:	2565	09														
	PAT US WO AU AU EP EP HU JP AT IL ECN NO NO US WO WO	US 531: WO 932: W: RW: AU 933: AU 672: EP 6400 EP 6400 EP 11. 1093: AT 1599: IL 104: ES 2111 CO 103: NZ 2991: FI 940: NO 940:	PATENT NO.	PATENT NO. """ """ """ """ """ """ """	PATENT NO. US 53180739 US 5212195 WO 9223391 N: AU, CA, FT, RE, CH, AU 9337274 AU 672599 EP 640080 R: AT, BE, CH, HO 68056 JP 07506826 JP 07506826 JP 3332234 AT 159524 IL 104869 ES 2110086 CN 1039714 NO 209146 FI 9405319 NO 9404311 NO 308535 US 1992-882390 US 1993-4869 NS 1993-4869 NS 1993-4869 NS 1993-4869 NS 1993-48729	PATENT NO. US 5380739 A WS 5212195 A WO 9323391 A1 W: AU, CA, FI, HU, RW: AT, BE, CH, DE, AU 93237274 B1 B2 F640080 A1 R: AT, BE, CH, DE, HU 68056 T2 JP 3332234 B2 AT 159524 E1 LI 104869 A1 ES 2110086 T3 CN 1039714 B NC 9404311 A NO 1993-249729 A1 NI 1993-249729 A1	PATENT NO. US 5380739 A WS 5212195 A WO 9323391 A1 W: AU, CA, FI, HU, JP, RW: AT, BE, CH, DE, DK, AU 9323727 A1 AU 672599 B2 EP 640080 A1 R: AT, BE, CH, DE, DK, HU 68056 T2 JP 3332234 B2 AT 159524 E IL 104869 A1 ES 2110086 T3 CN 1039714 B NZ 999146 A NZ 999146 A NZ 199146 A NZ	PATENT NO. KIND DATE	PATENT NO. KIND DATE	PATENT NO. KIND DATE	PATENT NO.	RATENT NO. KIND DATE APPLI	RATENT NO. KIND DATE APPLICAN	RATENT NO.	PATENT NO.	RATENT NO.	RATERY NO. KIND DATE APPLICATION NO. DATE STATEMENT NO. DATE APPLICATION NO. DATE STATEMENT NO. DATE DAT	RATERIT NO. KIND DATE APPLICATION NO. DATE

Indole derivs. I [wherein: R1 is lower alkyl, cycloalkyl, or cycloalkyl lower alkyl: R2 is 2''-[H+tetracol-5-yl]biphenyl-4'-ylmethyl; X is hydrogen, lower alkyl, halogen, C(0)CP3, CO2R4, or C(0)NRSR6; Y is hydrogen, lower alkyl, lower alkoxy, hydroxy, halogen, CO2R4; Z is hydrogen, lower alkyl, lower alkoxy, or halogen; wherein R4 is hydrogen

lower alkyl; R5 is hydrogen or lower alkyl; R6 is hydrogen or lower alkyl;

ANSWER 170 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) or R5 and R6 taken together with the nitrogen to which they are attached represent a heterocycle; or a pharmaceutically acceptable salt thereof; exhibit useful pharmacol. properties, and are particularly useful as angiotensin II antagonists (no data). Thus, e.g., sapon. of Me

2-ethyl-1-[2''-(1H-tetrazol-5-y1)biphenyl-4'-ylmethyl]indole-7-carboxylate (prepn. given) in NaOH/MeOH/Water afforded 2-ethyl-1-[2''-(1H-tetrazol-5-y1)biphenyl-4'-ylmethyl]indole-7-carboxylic acid. Pharmaceutical

yilpapnenyi-----yameunyi-yameunyi formulations were given. 154287-04-49, 1-(4-Carboxyphenyi)-2-cyanoindole RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (Indole derivs. as angiotensin II antagonists)
154287-04-4 CAPLUS
Benzoic acid, 4-(2-cyano-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

19940429

ANSWER 171 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1995:330823 CAPLUS 122:105922 Preparation of pyrrolopyrazines as 5-HT3 ligands Lancelot, Jean-Charles: Prunier, Herve; Robba, Max; Delagrange, Philippe; Renard, Pierre; Adam, Gerard Adir et Compagnie, Fr. Eur. Pet. Appl., 32 pp. CODEN: EPXXDW PALENT L9 AN DN TI IN DT Pac LA French FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE A1 EP 623620 EP 623620 19941109 EP 1994-400881 19940425 EP 623620 B1 1
R: AT, BE, CH, DE, DK,
FR 2704547 A1 1
FR 2704547 B1 1
AT 170862 E 1
ES 2123728 T3 1
CA 212220 AA 1 1
AU 9461873 A1 1
AU 9461873 A1 1
AU 9402964 A 1
US 5599812 A 1
JP 06340666 A2 1
FR 1993-5109 A 1 19980909 ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE 19941104 FR 1993-5109 19930430 19950609 AT 1994-400881 ES 1994-400881 CA 1994-2122290 AU 1994-61873 19980915 19940425 19940425 19940427 19940428 19990116 19941031 19941031 19941103 19960815 19950210 19970204 19941213 19930430 ZA 1994-2964 US 1994-235426 JP 1994-127963 19940429

PRAI FR 1993-5109 OS MARPAT 122:105922

Title compds. (I; A = atoms to complete an (un)substituted benzene, -pyridine, -pyrazine, or -pyrimidine ring; R1 = pyrrolidino, piperidino, morpholino, NH(CH2)kNH2, etc.; k = 2-4| were prepared Thus, 2-pyrroloaniline was cyclocondensed with COC12 and the product converted in 2 steps to title compound II (R = H). II (R = Cl) had EDSO of 31.3µJ/Kg i.v. against serotonin-induced bradycardia in rats. 10333-68-3 AB IT

10333-68-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolopyrazines as 5-HT3 ligands)
10333-68-3 CAPIUS
Benzoic acid, 2-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 172 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN
1935:305882 CAPLUS
123:228180
Antihypertensive indole- and benzimidazole-substituted imidazole and benzimidazole derivatives
Poss, Hichael A.
E. R. Squibb and Sons, Inc., USA
U.S., 29 pp. Cont.-in-part of U.S. Ser. No. 739,126, abandoned.
CODEN: USKKAM
Patent
English
CNT 2
PATENT NO. KIND DATE APPLICATION NO. DATE

US 5374615 US 1992-838492 US 1991-739126 US 1990-606631 MARPAT 123:228180 19941220 19920207 19910731 19901031 US 1992-838492 19920207

Novel compds. I $\{X = N; when X = :N, the double bond is always present;$

= H, halo, NO2, haloalkyl, CN; R2 = e.g., H, CN, C1-10-alkyl; or R1 and

taken together with the carbon atoms of the imidazole nucleus to which they are attached form a benzimidazole; with the proviso that when RI =

R2 is other than H; R3 = e.g., C2-10-alkyl, alkenyl or alkynyl of 3-10 C atoms: R4 = e.g., H, halo, haloalkyl: R5 = e.g., H, COR9, NHSO2CF3 (R9 = e.g., H, C16-alkyl)]. I inhibit the action of angiotensin II (no data) and are useful, therefore, for example, as antihypertensive agents.

Thus,
e.g., saponification of
5-[[2-butyl-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-1[2-(choxycarbonyl)phenyl]-1H-indole-2-carboxylic acid, Et ester

[2-(ethoxycarbony1)pneny1,-in-indute 2 colors, given | with aqueous LiOH afforded
5-[(2-buty1-5-(hydroxymethy1)-1H-imidazo1-1yl]methy1]-1-(2-carboxypheny1)-1H-indole-2-carboxylic acid, dilithium salt. Pharmaceutical formulations were given.

If 142999-86-8F 142999-87-9P 143017-74-7P

ANSWER 171 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 172 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: SPN (Synthetic preparation); TMU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USSS (Uses)

(antihypertensive indole- and benzimidazole-substituted imidazole and benzimidazole derivs.)

142999-86-8 CAPLUS HH-Indole-2-carboxylic acid, 5-{(2-butyl-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-1-(2-carboxyphenyl)-, dilithium salt (9CI) (CA INDEX NAME)

●2 Li

142999-87-9 CAPLUS

CH Benzoic acid,
2-[5-[[2-butyl-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-1H-imid-1-yl]-, monolithium salt (9CI) (CA INDEX NAME)

• Li

RN 143017-74-7 CAPLUS
CN Benzoic acid,
2-[4-[2-butyl-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-1H-inidazol-1-yl]methyl]-1H-inidazol-1-yl]methyl]-1H-inidazol-1-yl], monolithium salt {9CI} (CA INDEX NAME)

ANSWER 172 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• Li

ANSWER 173 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds., 7H-pyrrolo[2,3-d]pyrimidines I (B = amino group, alkylthio, etc.; R3, R4 = H, alkyl, halo, etc.; R5 = Ph, naphthyl, heteroaryl, etc.; R6 = H, alkyl, halo, etc.) were disclosed. I are useful in the treatment of stress-related and other diseases. I have ACTH-releasing factor antagonist activity and as such are of use in the treatment of depression and anxiety related, and other disorders.3. A specifically claimed example compound is N-butyl-N-ethyl-2,5-dimethyl-7-{2,4,6-trimethylphenyl}-7H-pyrrolo[2,3-d]pyrimidin-4-amine (II).

IT 15728-55-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, except adverse); BSU (Biological study); PREP (Freparation); USES (Uses) (preparation of, as ACTH-releasing factor antagonist)

RN 15728-55-7 CAPUS

CN Benzoic acid, 4-[4-(butylethylamino)-2,5-dimethyl-TH-pyrrolo[2,3-d]pyrimidin-7-yl}-3,5-dimethyl- (SCI) (CA INDEX NAME)

L9 ANSWER 173 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN AN 1994:534162 CAPLUS DN 121:134162 T Pyrrolopyrimidines as CRF antagonists IN Chen, Yuhpyng L. PA Pfizer Inc., USA 50 PCT Int. Appl., 65 pp. CODEN. PIXKDZ DT Patent LA English FAN.CKT 2 PATENT NO. KIND DATE APPLICATION NO. PATENT NO. KIND DATE APPLICATION NO. DATE WO 9413676
W: AU, BR, CA, RW: AT, BE, CH, CA 2150016
AU 9456664
AU 690090
EP 674641
R: AT, BE, CH, DY 07509726
JP 2095961
AU 177101
ES 2128544
BR 9307646
PL 176526
CZ 26692
IL 119461
IL 119462
IL 107097
HU 70505
HU 221587
AU 9305585
CN 1097758
CN 1038131
US 6765008
NO 9502398
NO 306678
CN 1189339
FI 2000000043
FI 109799
US 1992-991764
WO 1993-US10775
MARPAT 121:134162 CN 1997-119551 FI 2000-343 19970916 20000216 20000216 20021015 19921217

ANSWER 174 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN

CAPLUS

120:270407

120:270407
Preparation of substituted indoles and azaindoles as angiotensin II antagonists
Fisher, Leavrence E.; Clarke, David E.; Jahangir, Alam; Clark, Robin D. Syntex (U.S.A.), Inc., USA
PCT Int. Appl., 113 pp.
CODEN: PIXXO2

DT Patent

	English CNT 3			
	PATENT NO.		APPLICATION NO.	DATE
PI	WO 9323391	A1 19931125	WO 1993-US1533	19930226
	W: AU, CA, FI,	HU, JP, KR, NO,	NZ	
			GB, GR, IE, IT, LU, MC,	NL. PT. SE
	US 5212195	A 19930518	US 1992-882390	19920513
	US 5380739	A 19950110	US 1992-882390 US 1993-4869	19930204
	AU 9337274	A1 19931213	AU 1993-37274	19930226
	AU 672599	B2 19961010		
	EP 640080	A1 19950301	EP 1993-906123	19930226
	EP 640080	B1 19971022	4. 1550 500120	13330220
			GB, GR, IE, IT, LI, LU,	MC MI DE
SE	,,,	,,,,	35, 30, 12, 11, 21, 20,	110, 111, 11,
	JP 07506826	T2 19950727	JP 1993-520179	19930226
	JP 3332234			17730220
			FI 1994-5319	100/1111
	NO 9404311	A 19941114		
	NO 308535			19941111
DRAT	US 1992-882390			
	US 1993-4869	A 19930204		
	WO 1993-US1533			
os	MARPAT 120:270407	A 19930226		
GI	PAREMI 120:2/040/			
GI				

$\begin{array}{cccccccccccccccccccccccccccccccccccc$	11
R3N N R1	

Title compds. I, II, III (R = alkyl when R2 = V, R2 = alkyl when R1 = V wherein V = R, C6H4CH2 wherein R7 = substituted Ph, substituted furanyl, substituted thiophenyl, disubstituted thiophenyl, etc.; R3 = H, alkyl; X

ANSWER 174 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued H, alkyl, halo, F3CCO, R402C wherein R4 = H, alkyl; (substituted) aminocarbonyl; Y = H, alkyl, alkoxy, HO, halo R402C; Z = H, alkyl, L9 (Continued)

aminocarbony; : - u, ---y, ----y, alkoxy, halo) and a sait thereof, are prepd. 1-N-butyl-2-(2-cyanobiphenyl-4-ylmethyl)indole-3-carboxylic acid (prepn. given), xylene and Bu3SuN3 were refluxed for 20 h to give I [Rl = u-Bu, R2 = 2"-(1N-tetrazol-5-yl)biphenyl-4"-ylmethyl; X = HO2C, Y = Z = H] (IV). In an assay for detn. of affinity

4'-ylmethyl; X = NOZC, I = a = a, ...

affinity
for angiotensin II receptors the pK; of IV was 7.7. Antihypertensive
activity and cognitive enhancement assay were demonstrated for the title
compds. Pharmaceutical formulations of I, II and III are given.

13 154287-04-49
(Papertant): SDN (Synthetic preparation); PREP (Preparation); RACT

REL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, in preparation of angiotensin II

receptor

ptor antagonists) 154287-04-4 CAPLUS Benzoic acid, 4-(2-cyano-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 175 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

$$R^{1} \xrightarrow{A} \xrightarrow{D} C \xrightarrow{d} \xrightarrow{N} \xrightarrow{N} \xrightarrow{N} \xrightarrow{N} R$$

$$R^{3}XW \{CH_{2}\}_{D} \xrightarrow{e} CH_{2} \xrightarrow{R^{6}N} \xrightarrow{N} \xrightarrow{N} X$$

Title compds. (I R1 = an optionally substituted hydrocarbon residue which may be attached through a hetero atom; R2 = a group capable of forming an anion or a group convertible thereinto; R3 = an optionally substituted aromatic hydrocarbon or heterocyclic residue which contains at least one hetero atom; X = a direct bond or a spacer having an atomic length of or

less between the R3 group and the ring W group; W = an optionally substituted aromatic hydrocarbon or heterocyclic residue which contains

least one hetero atom: a, c and d are independently selected from the group consisting of one or two optionally substituted carbon atoms and $\frac{1}{2}$

or two optionally substituted hetero atoms; b and e are independently selected from the group consisting of one optionally substituted carbon atom and one optionally substituted nitrogen atom; the dotted line is a bond to form one double bond; n is an integer of 1 or 2 and when a, which is an optionally substituted carbon atom, is taken together with R1,

a may form a ring) were prepared Thus, 3-methyl-4,5-diaminopyridine was cyclocondensed with BuCO2H and the product converted in 3 steps to imidazopyridinecarboxylate II (R = H, R4 = Me) which was condensed with RSbr (R5 = biphenylylmethyl group Q, R6 = CPh3) to glve, after deprotection and saponification, II (R = Q, R4 = R6 = H) which gave 638 hitton

of angiotensin II binding at 10-7M in vitro. 149323-68-2P

IT 149323-69-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of angiotensin II inhibitors)
Inhibitors
N 149323-68-2 CAPLUS
CN Benzoic acid, 4-(2-formyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 175 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1993:517246 CAPLUS 119:117246 on 119:11/246
TI Preparation and formulation of fused heterocyclic compounds as angiotensin angiotensin
II antagonists
IN Naka, Takehiko: Inada, Yoshiyuki
PA Takeda Chemical Industries, Ltd., Japan
Coden, Pat. Appl., 160 pp.
CODEN: CPXXEB
DT Patent
LA English
FATENT NO. KIND DATE ; PI CA 2066094 AA 19921017 CA 1992-2066094 19920415
CA 2066094 C 20030624
JP 05163267 A2 19930629 JP 1992-137485 19920415
JP 3260415 B2 20020225
JP 2001322998 A2 20011127 JP 2001-159745 19920416
EP 518033 A1 19921216 EP 1992-106621 19920416
EP 518033 B1 20030702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, TT, LI, LU, NL, FT, SE
KR 217164 AT 244240 E 20030715 AT 1992-6383 19920416
EP 1327631 A2 20030715 EP 1992-106621 19920416
EP 1327631 A2 20030715 AT 1992-106621 19920416
EP 1327631 A2 20030715 AT 1992-106621 19920416
EP 1327631 A3 20040211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT
US 5389641 A 19930014 US 1993-127356 19930928

PRAI JP 1991-13473 A 19910416
JP 1991-263341 A 19910705
JP 1991-315629 A 19910925
JF 1992-106621 A3 19920415
EF 1992-106621 A3 19920415
GS MARPAT 119:117246
GI

ANSWER 175 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L9	ANSWER 176 OF 185	CAPLUS	COPYRIGHT	2006 ACS on STN	
AN	1990:571868 CAPL	JS			
DN	113:171868				
TI	Preparation of N-anticholesteremics		lkenynylhet	erocyclylbenzyloxybenzy	lamines as
IN				Iwasawa, Yoshikazu; Ho rie, Masahiro; Kamei, T	
PA	Banyu Pharmaceutic				
50	PCT Int. Appl., 1: CODEN: PIXXD2	35 pp.			
DT	Patent				
LA	Japanese				
FAN	CNT 3				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 9005132	Al	19900517	WO 1989-JP522	19890525

FAN.	CNT 3				
	PATENT NO.	KIND D	ATE	APPLICATION NO.	DATE
PI	WO 9005132	Al 19	9900517	WO 1989-JP522	19890525
	W: AU, DK, JP,	KR, US			
	RW: AT, BE, CH,	DE, FR, C	GB, IT, U	J, NL, SE	
	AU 8937328	Al 19	9900528	AU 1989-37328	19890525
	EP 395768	A1 15	9901107	EP 1989-906430	19890525
	R: AT, BE, CH,	DE, FR, C	GB, IT, LI	I, LU, NL, SE	
	ZA 8908464	A 19	9910130	ZA 1989-8464	19891107
	ES 2018420	A6 19	9910401	ES 1989-3833	19891110
	JP 03173865	A2 19	9910729	JP 1989-291008	19891110
	CN 1042910	A 15	9900613	CN 1989-109196	19891111
	DK 9001665	A 15	9900907	DK 1990-1665	19900710
PRAI	JP 1988-285381	A 19	9881111		
	JP 1989-505699	19	9890525		
	WO 1989-JP522	A 19	9890525		
OS	MARPAT 113:171868				

The title compds. I [A1, A2 = methine, N, O, S; Q1, Q2 may contain 1 or 2 heteroatoms and form a 5- or 6-membered aromatic ring together with

adjacent
C atoms and Al or A2; X, Y = O, S, carbonyl, CHRa (Ra = H, alkyl), etc.;
or XY may form a vinylene or ethynylene group; Rl = 5- or 6-membered
heterocyclic ring containing 1-4 heteroatoms; R2 = alkyl, allyl,

propargyl,
 cyclopropyl; R3, R4 = alkyl, or CR3R4 = cycloalkane; R5 = H, alkyl,
 alkoxy; R6, R7 = halo, OH, cyano, alkyl, alkoxy, provided that when one

of

X and Y is O, S, NRb (Rb = H, alkyl), the other is carbonyl or CHRa) were
prepared for treatment of arteriosclerosis. A mixture of

(E)-N-ethyl-N-(6methoxy-6-methyl-2-hepten-4-ynyl)-3-hydroxybenzylamine and NaH in THF was
stirred at room temperature for 10 min. After addition of a solution of

DN TI

107:70649
Preliminary studies on the analgesic activity of some phenylacetic acid derivatives and other structural analogs
Miguelez, J.; Teran, T.; Negro, A.; Serrano, J. M.; Cabanas, L. F.;
Santiago, D.
Fac. Vet., Univ. Cordoba, Cordoba, Spain
Anales de la Facultad de Veterinaria de Leon (1985), 31, 125-32
CODEN: APVIA5; ISSN: 0373-1170
JOURNAL
Spanish

ΑU

CS SO

Journal Spanish analysis activities of α -(N-pyrrolyl)phenylacetic acid, dibenzylamine α -(N-pyrrolyl)-p-hydroxyphenylacetate, 2-(N-pyrrolyl) benzoic acid, 4-(N-pyrrolyl)benzoic acid, 4-(N-pyrrolyl)-p-hydroxyphenylpropionic acid, α -(N-pyrrolyl)-p-fluorophenylpropionic acid, end α -(N-pyrrolyl)-p-fluorophenylpropionic acid were studied in mice. All compds. tested exhibited stronger analysis activity than aspirin, the standard The most acitve compound was dibenzylamine α -(N-pyrrolyl)-p-hydroxyphenylacetate.

RL: BAC (Biological activity or effector, except adverse); BSU

logical study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (analgesic activity of) 10333-68-3 CAPLUS

Benzoic acid, 2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

22106-33-8 CAPLUS
Benzoic acid, 4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



ANSWER 176 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3-(3-thienyl)benzyl methanesulfonate in DMF, the reaction mixt. was
stirred overnight to glve, after workup and treatment with HCl,
(E)-N-ethyl-N-(6-methoxy-6-methyl-2-hepten-4-ynyl)-3-[3-(3-1)-1)-1,
thienyl)benzyloxylbenzylamine hydrochloride (II). II in vitro exhibited
an ICSO of 11 mM against cholesterol biosynthesis in human hepatoma
(Hep-G2) cells.
61471-43-2, 3-(1-Pyrrolyl)benzolc acid
RL: RCT (Reactant): RACT (Reactant or reagent)
(reaction of, in preparation of anticholesteremic)
61471-43-2 CAPLUS
Benzolc acid, 3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 178 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1984:603899 CAPLUS 101:203899 CAPLUS 101:203899 CAPLUS 101:203899 CAPLUS 2012 CAPLUS CAPLUS

DT LA OS GI

AB Twenty N-arylpyrroles were prepared and 3 were extensively tested for pharmacol. activity. All 3 arylpyrroles, I [93078-56-9], II [93078-57-0], and III [93078-58-1] showed antiinflammatory activity, and 2 of them (I and II) also possessed analgesic activity. None showed anxiolytic or anticonvulsant, but all exhibited sedative activity. II appeared to be the most promising of the 3 arylpyrroles tested.

IT 93078-55-8P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of)
RN 93078-55-8 CAPLUS
CN Benzolc acid,
4-(2-(4-cyclohexylphenyl)-5-methyl-1H-pyrrol-1-yl]-2-methoxy(SCI) (CA INDEX NAME)

ANSWER 178 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

$$\mathbb{R}^{2} \longrightarrow \mathbb{R}^{3}$$

Thirty-nine pyrroles (I; R1 = 4-cyclohexylphenyl, tetrahydronaphthyl, di-Ph, etc.; R2 = Cl, F, CF3, Br, CMe, Me, etc.; R3 = Me, Et, p-ClC6H4, Ph) were prepared and tested for pharmacol. activity. All the compds. showed analgesic and antiinflammatory activity, but only at relatively high i.p. doses. For the series of compds. where R1 was 4-cyclohexylphenyl and R3 was Me, the relative order of analgesic vity

Accyclohexylphenyl and R3 was Me, the relative order of analgesic activity

With respect to the R2 substituent was: 3-CO2H > 4-Me > 3,4-C12 = 4-NO2 = 4-Br > 3-C73 > H. The corresponding order for antiinflammatory activity was: 4-Me > 3-CO2H > 4-NO2 > H.

IT \$1306-87-59 \$1306-88-69 \$1306-98-69 RL: BaC (Blological activity or effector, except adverse); BSU (Blological study); PREP (Preparation); THU (Therapeutic use); BIOL (Blological study); PREP (Preparation); USES (Uses) (preparation and analgesic and antiinflammatory activity of)

RN \$1306-87-5 CAPLUS

CB Benzoic acid, 4-(2-(4-cyclohexylphenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 179 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

91306-88-6 CAPLUS Benzoic acid, 3-[2-(4-cyclohexylphenyl)-5-methyl-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)

91306-96-6 CAPLUS Benzoic acid, 3-(2-{1,1'-biphenyl}-4-yl-5-methyl-1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 180 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1979:611822 CAPLUS 91:211822 AN DN TI DN 91:211822
TI Antihypertensive compositions containing an aryl-substituted elanine azo and an arylhydrazinopropionic acid
IN Stone, Clement A.
PA Herck and Co., Inc., USA
SO U.S., 28 pp.
COODEN: USXXAM
DT Patent
LA English
FAN.CRT 2
PATENT NO. PATENT NO. KIND DATE APPLICATION NO. DATE PI US 4156734 US 4160835 US 4170654 PRAI US 1976-657822 US 1976-743369 US 1977-850755 OS MARPAT 91:211822 19790529 19790710 19791009 19760213 19761119 19771111 US 1978-877532 US 1977-850755 US 1978-922460 19780213 A A A2 A1 A3

3-Arylelanines I (R = H, CO2H, CN, NCNH, CSNH2, H2NCH2CH2, guanidino, OH, MeSO2NH, NO2, NH2, MeSO3, H2OCCH2O, formyl, MeO; R1 = substituted or unsubstituted 5-membered heterocyclic ring containing 1 or more N atoms; AB

and R3 = H, C1-4 alkyl) and decarboxylase-inhibiting α -hydrarinodopa analogs II (R4, R5, R6, and R7 = H, C1-4 alkyl) were prepared as antihypertensives. Thus, 4-amino- α -methyl-D1-phenylalanine dihydrochloride was treated with BrCN in H2O containing NaOAc for 30 min

give a mixture which was treated with more BrCN for 16 h at room

give a mixture which was treated with more BrCN for 16 h at room temperature to give 77% DL-I (R = R3 = H, R1 = NCNH, R2 = Me) (III). Sixty-nine other examples are given. III at 0.3 mg/kg exhibited a slightly active antihypertensive rating in rats.

IT 71935-21-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydride reduction of)
RN 71935-21-2 CAPLUS

Benzoic acid, 4-(9H-carbazol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 180 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 71935-16-5P

RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and hydride reduction of) 71935-16-5 CAPLUS

Benzoic acid, 4-(1H-indol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 181 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Benzoic acid, 2-hydroxy-5-(lH-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 181 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1979:16188 CAPLUS 90:16188

90:16188
Synthesis and analgesic-antiinflammatory activity of some 4- and 5-substituted heteroary/salicylic acids
Jones, Howard: Fordice, Michael W.; Greenwald, Ronald B.; Hannah, John; Jacobs, Arlene; Ruyle, William V.; Walford, G. Lyn; Shen, T. Y.
Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA
Journal of Medicinal Chemistry (1978), 21(11), 1100-4
CODEN: JMCMAR; ISSN: 0022-2623
Journal English
CASREACT 90:16188

AU

DT LA OS GI

The title compds. I (R = heterocyclic group), prepared by different

aspirin. The rat carageenan edema model and rat hyperesthesia analgesic assay were used. 5-N-pyrrylsalicylic acid [53242-70-9] prepared by the reaction of 5-minosalicylic acid [89-57-6] with 2,5-dimethoxytetrahydrofuran [696-59-3] was the most active in both

with less gastric toxicity than aspirin.

IT 35580-52-0P 53242-70-9P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study); PREP (Preparation); TRU (Therapeutio use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and analgesic and antiinflammatory activities of)
RN 35580-52-0 CAPLUS
CN Benzoic acid, Z-hydroxy-4-(1H-pyrrol-1-y1)- (9CI) (CA INDEX NAME)

53242-70-9 CAPLUS

DN TI

ANSWER 182 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1978:83720 CAPLUS 88:83720 Use of N-phenyl-substituted condensed pyrroles in the treatment of skin inflammations

Annammetions
Lessman, Howard B.; Novick, William J., Jr.
Hoechst A.-G., Fed. Rep. Ger.
Ger. Offen., 8 pp.
CODEN: GMOXEM

PA SO

DT Patent LA German FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE PI DE 2721085 Al 19771201 DE 1977-2721085 19770511
US 4056624 A 19771101 US 1976-686525 19760514

PRAI US 1976-686525 A 19760514
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; R-R4 refer to a wide variety of substituents; X = various alkylenes on condensed hydrocarbon moieties) are antiinflammatory substances for local application in salves, creams, suspensions, etc., containing 0.05-20% active ingredient. Of special interest are I with R = Ph,

= Ph, R1 = m-CO2H, R2 = p-OH or p-OAc, and R4 = H. Thus, the croton

induced
edema of the mouse ear was reduced 50% by the local application of 0.7 mg
3-(4-acetoxy-3-carboxyphenyl)-4,5-dihydro-2-phenylbenz[e]indole [
54669-70-4].
53597-27-6 54669-65-7 54669-70-4
54670-07-4 54670-22-3 54670-23-4
RL: BAC (Biological activity or effector, except adverse); BSU
locical

IT

(Biological Aug.cas study, unclassified); TRU (Therapeutic use); BIOL (Biological study); USES (Uses) (inflammation inhibition by) 53597-27-6 CAPLUS

Senzoic acid, 5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)-2-hydroxy-(9CI) (CA INDEX NAME)

54669-65-7 CAPLUS

nzoic acid, 2-hydroxy-5-{4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-yl}-CI) (CA INDEX NAME) (9CI)

ANSWER 182 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

54669-70-4 CAPLUS

RN 3-805-70-4 CAPMS
CN Benzoic acid,
2-(acetyloxy)-5-(4,5-dihydro-2-phenyl-3H-benz[e]indol-3-yl)(901) (CA INDEX NAME)

54670-07-4 CAPLUS Benzoic acid, 2-hydroxy-5-(2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX

54670-22-3 CAPLUS

54670-22-3 CAPIUS Benzoic acid, cetyloxy)-5-(4,5,6,7-tetrahydro-2-phenyl-1H-indol-1-y1)-(9CI) (CA INDEX NAME)

ANSWER 183 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1975:86270 CAPLUS 82:86270 N-Substituted pyrrole derivatives Kawamatau, Yutaka; Sugihara, Hirosada; Matsumoto, Norichika; Hamuro, Yutikibio.

Yukihiko
PA Takeda Chemical Industries, Ltd.
S Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
D Paten
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 450240655 B4 19740620 JP 1970-71888 19700817
PRI JP 1970-71888 19700817
GI For diagram(s), see printed CA Issue.
AB c-Amino carboxylic acids (I) or their inorg. salts were treated with 2,5-dialkoxytetrahydrofurans (II) to give N-substituted pyrroles (III; ring A is benzene, pyridine, thiophene or benzothiophene, optionally substituted by lower alkyl, alkoxy, halogen, nitro or carboxyl; R1 and R2 = H, lower alkyl; OR3 and OR4 = etherified hydroxy). When R1 = R2 = H, X = CR5:CR6CR7:CH (R5, R6 and R7 = H, lower alkoxy, Cl, NO2 and at least

of them is not H R5, R6 and R7 are at positions 3, 4, and 5, resp.). III are antidiabetics (LD50 4 g/kg). Thus, 3.1 g Me 6-chloroanthranilate and 2.2 g II (R1 = R2 = H; R3 = R4 = Me) was refluxed in AcOH under N and the product hydrolyzed by refluxing with KOH-MeOH to give 84% 1-(3-chloro-2-carboxyphenyl)pyrcole.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutio
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidiabetic activity of)
54779-76-9 CAPLUS
Benzoic acid, 2-chloro-6-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 182 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

54670-23-4 CAPLUS Benzoic acid, 2-(acetyloxy)-5-(2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 184 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1975:4227 CAPLUS 82:4227

82:4227
Analgesic and antiinflammatory 5-{1,4,6,7-tetrahydro-2phenylthiopyrano[4,3-b]pyrrol-1-yl]ealicylic acids
Allen, Richard C.; Taylor, Chandler R., Jr.
Farbwerke Hoechst A.-G.
Ger. Offen., 18 pp.
CODEN: GWKEMX

so

Patent

DT LA FAN

EAU.	CMI Z				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2407888	A1	19740912	DE 1974-2407888	19740219
	US 3865839	A	19750211	US 1973-336920	19730301
	NL 7402463	A	19740903	NL 1974-2463	19740222
	FR 2219779	A1	19740927	FR 1974-6776	19740228
	AT 7401655	A	19760115	AT 1974-1655	19740228
	AT 332398	В	19760927		
	BE 811786	A1	19740902	BE 1974-141570	19740301
	JP 49134695	A2	19741225	JP 1974-23477	19740301
	GB 1452203	А	19761013	GB 1974-9466	19740301
PRAI	US 1973-336920	А	19730301		

For diagram(s), see printed CA Issue.
Ten acids I (n = 0, 1, or 2; R = H, Br-4, F-4, Cl-4, Ph-4, CF3-3, or

or -4) were prepared by reaction of 5,6-tetrahydro-3-phenacylthiopyran-4one (II) or its derivs. with 2,5-Ho(H2N)C6H3CO2H (III), optionally followed by oxidation I had analgesic or antiinfiammatory activity when tested in the mouse or rat, resp. Thus, tetrahydrothiopyran-4-one and pyrrolidine were refluxed in C6H6 to give 5,6-dihydro-4-(1-pyrrolidinyl)-2H-thiopyran, which was treated with PhOCCH2Br in DMF to give II. II and III were refluxed in AcOH to give 50t I (n = 0, R = H) (IV). Treatment

of

IV with NaIO4 in EtOH at 0° gave I (n = 1, R = H).

IT 54030-13-6F 54030-16-9P
RL: BAC [Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapsutio use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and analgesic and antiinflammatory activity of)

RN 54030-13-6 CAPLUS
CN Benzoic acid, 5-(6,7-dihydro-2-phenylthiopyrano[4,3-b]pyrrol-1(4H)-y1)-2-hydroxy- (9CI) (CA INDEX NAME)

54030-16-9 CAPLUS

(Continued)

ANSWER 184 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Benzoic acid, 5-(6,7-dihydro-2-(4-methoxypheny))thiopyrano[4,3-b]pyrrol-1(4H)-yll-2-hydroxy-(9CI) (CA INDEX NAME)

IT 54030-15-89 54030-19-29
RI: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and antiinflammatory activity of) 54030-15-8 CAPMS Benzoic acid, 5-[2-(4-fluorophenyl)-6,7-dihydrothiopyrano[4,3-b]pyrrol-1(4H)-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

54030-19-2 CAPLUS
Benzoic acid, 5-[6,7-dihydro-2-(3-methoxyphenyl)thiopyrano[4,3-b]pyrrol-1(4H)-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

L9 ANSWER 184 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN Benzoic acid, 5-(2-[1,1'-biphenyl]-4-yl-6,7-dihydrothiopyrano[4,3-b]pyrrol-1(4H-yl)-2-hydroxy- (9CI) (CA INDEX NAME) (Continued)

54030-21-6 CAPLUS
Benzoic acid, 5-16,7-dihydro-5,5-dioxido-2-phenylthiopyrano[4,3-b]pyrrol-1(4H)-yl)-2-hydroxy- (9CI) (CA INDEX NAME)

RN 54030-22-7 CAPLUS
CN Benzoic acid,
5-(6,7-dihydro-5-oxido-2-phenylthiopyrano[4,3-b]pyrrol-1(4H)y1)-2-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 184 OF 185 CAPLUS COPYRIGHT 2006 ACS ON STN 54030-14-7P 54030-17-0P 54030-18-1P 54030-20-5P 54030-21-6P 54030-22-7P

Secsion-20-37 South-22-77 South-22-77 RE: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 54030-14-7 CAPLUS Benzoic acid, 5-[2-(4-bromophenyl)-6,7-dihydrothiopyrano[4,3-b]pyrrol-1(4H)-yl]-2-hydroxy- (9CI) (CA INDEX NAME)

54030-17-0 CAPLUS
Benzoic acid, 5-{2-(4-chlorophenyl)-6,7-dihydrothiopyrano[4,3-b]pyrrol-1(4H)-yl}-2-hydroxy- (9CI) (CA INDEX NAME)

54030-18-1 CAPLUS Benzolc acid, 5-16,7-dihydro-2-[3-(trifluoromethyl)phenyl]thiopyrano[4,3-b)pyrrol-1(44)-yl]-2-hydroxy- (SCI) (CA INDEX NAME)

54030-20-5 CAPLUS

ANSWER 185 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN 1974:463478 CAPLUS 81:63478 5-N-Pyrrylsalicyclic acid Sarett, Lewis H.; Ruyle, William V. Merck and Co., Inc. S. African, 34 pp. CODEN: STXAB Patent Proclish

so

DΤ

LA English FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. PI ZA 7201129 A 19731031 ZA 1972-1129 19720221
PRAI ZA 1972-1129 A 19720221
GI For diagram(s), see printed CA Issue.
AB The title compound (1) was prepared by several methods. Thus, 2,5-HO(END)(GRIGOC2H was treated with 2,5-dimethoxytetrahy-drofuran in presence of p-Mec6044503H to give I. The antiinflammatory ED50 of I was 67

mg/kg. The antiinflammatory activity of I was compared with several heterocyclic salicylic acids. 53242-70-92

RL: BAC (Biological activity or effector, except adverse); BSU

RL: BAC (Blological activity of terrorisms of the logical atudy, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation and antiinflammatory activities of) 53242-70-9 CAPLUS
Benzoic acid, 2-hydroxy-5-(1H-pyrrol-1-y1)- (9CI) (CA INDEX NAME)

53242-68-5P 53242-72-1P

D3242-68-39 33242-72-19
RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
53242-68-3 CAPLUS
Benzoic acid, 2-chloro-5-(1H-pyrrol-1-y1)- (9CI) (CA INDEX NAME)

L9 ANSWER 185 OF 185 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 53242-72-1 CAPLUS
CN Benzoic acid, 2-methoxy-5-(1H-pyrrol-1-y1)- (9CI) (CA INDEX NAME)

10/706,027 Page 159

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L12 4 SEA FILE=REGISTRY ABB=ON PLU=ON (114067-97-9 OR 138907-81-0 OR 138907-82-1 OR 265986-57-0)/RN

L13 8 SEA FILE=CAPLUS ABB=ON PLU=ON L12

=> d 1-8 bib abs hitstr

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L13 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN AN 2005:572591 CAPLUS DN 143:78185
DN
TI
         143:78165
A preparation of (pyridin-4-ylethynyl)imidazole derivatives, useful for the treatment of mGluR5 receptor mediated disorders
Buettelmann, Bernd: Ceccarelli, Simona Maria; Jaeschke, Georg;
Kolczewski,
         Sabine: Philip, Porter Richard Hugh; Vieira, Eric; Ford, Anthony P. D.
W.;
         Zhong, Yu
Roche Palo Alto Llc, Germany
U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 858,969.
CODEN: USEXXCO
PA
SO
         Patent
LA English
FAN.CNT 3
                                                                                     APPLICATION NO.
                                                                                                                                   DATE
         PATENT NO.
                                                KIND DATE
         US 2005143375
AU 2004245208
CA 2527315
EP 1636206
                                                                                                                                   20041222
20040601
20040601
20040601
                                                             20050630
                                                                                     US 2004-20451
AU 2004-245208
CA 2004-2527315
EP 2004-739484
                                                  A1
A1
                                                              20041216
20041216
                                                              20060322
                1636206 Al 20060322 EP 2004-739484 20040601

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR

2004248888 Al 20041209 US 2004-858959 2004602

2005005465 A 20051118 NO 2005-5465 20051118

2003-12200 A 20030605
         US 2004248888
NO 200505465
PRAI EP 2003-12200
US 2004-858969
WO 2004-EP5881
         MARPAT 143:78185
. STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT .
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The invention relates to a preparation of (pyridin-4-ylethynyl)imidazole derivs. of formula I (wherein: RI = halo, CN: R2 = alkyl; R3 = (un)substituted (hetero)aryl; R4 = H, CHO, CH2OH, etc.], useful as mGluR5 receptor antagoniats. These compds. can be used in the treatment or prevention of mGluR5 receptor mediated disorders. These compds. are useful in the treatment of urinary tract disease such as, but not limited to, reduced bladder capacity, urge incontinence and streas incontinence. For instance, (pyridin-4-ylethynyl)imidazole derivative II (Ki = 23 nM) prepared from 2-fluoro-4-iodopyridine and 4-ethynyl-1-(4-fluorophenyl)-2-methyl-1H-imidazole. Pharmaceutical compns. comprising I are disclosed.

IT 114067-97-99
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of (pyridinylethynyl)imidazole derivs. useful for the treatment .ment of mGluR5 receptor mediated disorders) 114067-97-9 CAPLUS 1H-Imidazole-4-carboxylic acid, 1-(4-fluorophenyl)- (9CI) (CA INDEX

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L13 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN AN 2004:1060777 CAPLUS DN 142:23285
           142:23285
A preparation of (pyridin-4-ylethynyl)imidazole derivatives, useful for the treatment of mGluR5 receptor mediated disorders
Buettelmann, Bernd: Ceccarelli, Simona Maria; Jaeschke, Georg:
            ewski,
Sabine; Porter, Richard Hugh Philip; Vieira, Eric
           Germany
U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3
PATENT NO.
                                                          KIND
                                                                          DATE
                                                                                                      APPLICATION NO.
                                                                                                                                                             DATE
           US 2004248888
AU 2004245208
CA 2527315
EP 1636206
                                                                         20041209
20041216
20041216
20060322
                                                                                                      US 2004-858969
AU 2004-245208
CA 2004-2527315
EP 2004-739484
                                                                                                                                                             20040602
                                                            A1
A1
                                                                                                                                                             20040601
                                                                                                                                                             20040601
           EP 1636206 A1 20060322 EP 2004-739484 20040601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
US 2005143375 A1 20050630 US 2004-20451 20041222
NO 200505465 A 20051118 NO 2005-5465 20051118
EP 2003-12200 A 20030605
NO 2005005465
PRAI EP 2003-12200
WO 2004-EP5881
US 2004-858969
                                                            A2
                                                                         20040602
           MARPAT 142:23285
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to a preparation of (pyridin-4-ylethynyl)imidazole derivs. of formula I [wherein: R1 is halo or CN; R2 is alkyl; R3 is (un)substituted (heterolaryl; R4 is H, CHO, CH2OH, or Me, etc.], useful

mGluRS receptor antagonists. These compds. can be used in the treatment or prevention of mGluRS receptor mediated disorders. These compds. are useful in the treatment or prevention of acute and/or chronic neurol. disorders such as psychosis, epilepsy, schizophrenia, Altheimer disease, and cognitive disorders, etc. For instance, (pyridin-4-ylethynyl) midszole derivative II (Ki = 23 nM) was prepared from 2-fluoro-4-lodopyridine and 4-ethynyl-1-(4-fluorophenyl)-2-methyl-1H-imidszole.

2-fluoro-4-lodopyridine and 4-ethynyl-1-(4-fluorophenyl)-2-methyl-1H-imidazole.

IT 114067-97-97, 1-(4-fluorophenyl)-1H-imidazole-4-carboxylic acid RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation); RACT (Reactant or reagent) (preparation of (pyridinylethynyl)imidazole derivs. useful for treatment of

tment or mGlu85 receptor mediated disorders) 114067-97-9 CAPLUS 1H-Imidazole-4-carboxylic acid, 1-{4-fluorophenyl}- (9CI) (CA INDEX

L13 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L13 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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L13 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:817667 CAPLUS
DN 141:327646
                                        141:327646
Inhibitors of cathepsin S for use in pharmaceuticals
Liu, Hong: Alper, Phil: Chatterjee, Arnab: Tully, David: Bursulaya,
                                          ,
Woodmansee, David; Epple, Robert; Harris, Jennifer Leslie; Li, Jun
                                       IRM LLC, Bermuda
PCT Int. Appl., 166 pp.
CODEN: PIXXD2
      DT Patent
LA English
FAN.CNT 1
                                       PATENT NO.
                                                                                                                                                                               KIND
                                                                                                                                                                                                                 DATE
                                                                                                                                                                                                                                                                                                                 APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                DATE
                                                                                                                                                                                                                          20041007
                                     WO 2004084843
WO 2004084843
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A3
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                                                                                                                                                                                                                                                                                                                                                                                                                                                                                20040324
PI WO 2004084843 A2 20041007 WO 2004-US9414 20040324 WO 2004084843 A3 20050929 WI: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IM, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MK, KN, MR, NR, KR, NR, NR, NR, NR, CR, NR, NR, CR, NR, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, BW, GH, GH, KE, LS, MM, NZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EZ, ES, FI, FF, GB, GR, HU, IE, TT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004248887 A1 20041209 US 2004-807613 20040323 PARAPAT 141:327646

AB The present invention provides compds.

RI-Y-X-NH-C(R2)(R3)-(CK))r(R4)-O-NH-C(R5)(R6)-C(R7)(R8)-N(R9)-Ar (R1 = H, (substituted)C6-10-aryl, 5-6-membered monocyclic, 8-10-membered bicyclic heteroaryl, C3-6-Cycloalkyl, C2-6-alkynyl, C2-6
                                  C1-6-alkyl; R5 = H, C3-7-cycloalkyl, C2-6-alkenyl, C2-6-alkynyl, (substituted)phenyl, 5-6-membered heteroaryl, C1-6-alkyl; Y = bond, (CR20R21)mi(CR22R23)p; m = 0,1; p = 1,2; W = bond, 0, S, S0, S02, NR12; X = C0,CC0,NR24C0, S02; R6-9 = H, C1-4-alkyl; Ar = substituted Ph or 5-6-membered heteroaryl; R20-23 = bond, H, F, OH, C1-4-alkyl, C1-3-alkylhydroxy; R12 = H, C1-4-alkyl, and methods for the selective inhibition of cathepsin S. In a preferred aspect, cathepsin S is selectively inhibited in the presence of at least one other cathepsin isoenzyme. The present invention also provides methods for treating a disease state in a subject by selectively inhibiting cathepsin S. Thus, (S)-3-cyclohexyl-N-(2-(5-fluoro-2,3-dihydroindol-1-yl)ethyl)-2-[5-(2-methyl-3-trifluoromethyl-2H-pyrazol-3-yl)thiophene-2-sulfonylaminolpropionamide was synthesized. This compound displayed a Ki for cathepsin S of <0.1 µM and Ki's for cathepsin S, K, and L of > 10 µM.
                                   µм.
138907-82-1
RL: RCT (Reactant); RACT (Reactant or reagent)
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L13 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN AN 2004:780691 CAPLUS DN 141:296020
             141:296020 Preparation of (IH-imidazol-4-yl)ethynylpyridines as metabotropic glutamate 5 receptor antagonists for treating neurodegenerative diseases,
             in particular anxiety
Buettelmann, Bernd: Ceccarelli, Simona Maria; Jaeschke, Georg:
IN Buettelmann, Bernd; Ceccarelli, Simona Maria; Jaes
Kolczewski,
Sabine; Porter, Richard Hugh Philip; Vieira, Eric
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
      English
FAN. CNT
                    TD, TG
2004220382 A1 20040923 AU 2004-220382 20040305
2516682 AA 20040923 CA 2004-2516682 20040305
1606277 A1 20051221 EP 2004-717578 20040305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
2004008093 A 20060214 BR 2004-8093 20040305
1759111 A 20060412 CN 2004-8006572 20040308
2004229917 A1 20041118 US 2004-795619 20040308
200429917 A1 20041118 US 2004-795619 20040308
2003-4952 A 20030310
2004-EP2276 A 20040305
            BR 2004008093
CN 1759111
CN 1759111
US 2004229917
NO 2005004136
PRAI EP 2003-4952
WO 2004-EP2276
            MARPAT 141:296020
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

4-(1-Aryl-1H-imidazol-4-ylethynyl)-2-alkylpyridine and 4-(1-heteroaryl-1H-imidazol-4-ylethynyl)-2-alkylpyridine derivs. of formula I [wherein R] = alkyl; R2 = cyclo/alkyl; R3 = (un)substituted hetero/aryl; R4 = H, C(:0)H, CHZB5; R5 = H, OH, cyclo/alkyl; and thei pharmaceutically acceptable salts] were prepared as metabotropic mmate glutamate

receptor 5 (mGluR 5), especially mGluR 5a, antagonists for treating neurodegenerative diseases, in particular anxiety. For example, II was prepared, in 2 steps, by reacting 4-iodo-2-methyl-IH-imidazole with 4-flucophenylboronic acid in THF in the presence of Cu(QAC)2/TEA, followed by Sonogashira reaction of the iodide with 2-methyl-4-[(trimethylsilanyl)ethynyl]pyridine (preparation given). I displayed Ki

L13 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (inhibitors of cathepsin 5 for use in pharmaceuticals)
RN 138907-82-1 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
nM in a radioligand binding assay. I are useful for treating acute,
traumatic and chronic depenrative processes of the nervous system, such
as Alzheimer's disease, senile dementia, Parkinson's disease,

chorea, amyotrophic lateral sclerosis and multiple sclerosis, psychiatric diseases such as schizophrenia and anxiety, depression, pain and drug

diseases such as schizophrenia and anxiety, depression, pain and drug dependency.

11467-97-99, 1-(4-Fluorophenyl)-1H-imidazole-4-carboxylic acid RL: RCT (Reactant); FRM (synthetic preparation); FREF (Preparation); RACT (Reactant or reagent) (intermediate; preparation of (imidazol-4-yl)ethynylpyridines as metabotropic glutamate 5 receptor antagonists for treating neurodegenerative diseases, in particular anxiety)

114067-97-9 CAPLUS

1H-Imidazole-4-carboxylic acid, 1-(4-fluorophenyl)- (9CI) (CA INDEX



THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 2

(Continued)

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L13 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS ON STN
AN 2000:573775 CAPLUS
DN 133:177164
         Preparation of pyrazolecarboxamides and pyrrolecarboxamides as inhibitors of the proliferation of activated lymphocytes and as remedies for
        autoimmune diaease. Ushio, Hiroyuki: Ishibuchi, Seigo; Naito, Youichiro; Sugiyama, Naoki; Kawaguchi, Takafumi; Chiba, Kenji; Ohtsuki, Makio; Naka, Yoichi Yoshitomi Pharmaceutical Industries, Ltd., Japan PCT Int. Appl., 315 pp.
CODEN: PIXXD2
          utoimmune disease
 IN
 PA
SO
 DT
         Patent
         Japanese
 LA Japa:
FAN.CNT 1
         PATENT NO.
DATE
20000210
                                                                    APPLICATION NO.
                                       KIND DATE
        MARPAT 133:177164
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L13 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AB The title compds. I [R1 represents substituted aryl, heteroaryl, etc.; R2 and R3 represent each hydrogen, alkyl, halogeno, hydroxy, etc.; Q represents N, CH, etc.; W represents hydrogen, alkyl, hydroxycarbonylalkyl, etc.; X represents helgeno, cyano, nitro, amino, etc.; X' represents hydrogen, halogeno, cyano or nitro; and Y represents alkyl, hydroxy, alkoxy, etc.] are prepared For example, pyrazolecarboxamide derivative II was prepared The title compds. are said to show significant inhibiting activity against the proliferation of activated lymphocytes in in vitro tests. A formulation is given.

IT 18967-81-09
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolecarboxamides and pyrrolecarboxamides as inhibitors

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

L13 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS ON STN ALL CITATIONS AVAILABLE IN THE RE FORMAT (Continued) L13 ANSWER 6 OF 8 CAPLUS COFFRIGHT 2006 ACS on STN
AN 2000:176977 CAPLUS
D1 132:308284
TI Fluorine-sacrificial cyclizations as an access to 5-fluoropyrazoles
AU volle, Jean-Noel; Schlosser, Manfred
CS Section de Chimie (BCh), Universite of Lausanne, Lausanne, CH-1015, Switz. European Journal of Organic Chemistry (2000), (5), 823-828 CODEN: EJOCFK: ISSN: 1434-193X Wiley-VCH Verlag GmbH Journal English CASREACT 132:308284 50 PB DT LA OS AB OS CASREACT 132:306284

Me 3-methoxy-2-trifluoromethylacrylate, readily prepared by Wittig reaction from Me 3,3,3-trifluoropyruvate, has been treated with a number of aryl(or heteroaryl)hydrazines. Under mild base catalysis, the resulting 3-hydrazinoacrylates undergo consecutive hydrogen fluoride elimination and

intramol. nucleophilic addition to afford Me sterolaryl-5-fluoropyrazole-4-carboxylates. 5-Aminopyrazoles have been obtained by direct reaction

Me 5-fluoro-1-phenylpyrazole-4-carboxylate with lithium amides, whereas 5-fluoro-1-phenylpyrazole-4-carboxamides have been formed by condensation of 5-fluoro-1-phenylpyrazole-4-carboxylic acid with amines. 265986-57-08

285986-57-OP REL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (fluorine-sacrificial cyclizations as access to 5-fluoropyrazoles) 285986-57-O CAPLUS 1H-Pyrazole-4-carboxylic acid, 5-fluoro-1-phenyl- (9CI) (CA INDEX NAME)

RE.CNT 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN 1992:83669 CAPLUS 116:83669 Preparation of 3-(1-substituted-pyrazoyl)-2-oxindole derivatives as therapeutics Goddard, Carl J.; Schulte, Gary R. Pfizer Inc., USA
L13
AN
DN
TI
 PA
SO
           U.S., 14 pp.
CODEN: USXXAM
           Patent
English
FAN CNT 1
PATENT NO.
                                                                 DATE
                                                     KIND
                                                                                            APPLICATION NO.
                                                                                                                                              DATE
          US 5064851
WO 9201684
                                                                   19911112
19920206
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A1
                                                                                             US 1990-557265
WO 1991-US4043
                                                                                                                                              19900724
           W: CA, FI, JP
RW: AT, BE, CH, DE, DK, ES, FR, GB,
EP 540614 A1 19930512 E
EP 540614 B1 19960821
                                                                                            , GR, IT, LU, NL, SE
EP 1991-913692
                                                                                                                                              19910612
          R: AT, BE, CH, DE, DK, JP 05504773 T2 JP 2504659 B2 AT 141601 E ES 2090345 T3 J
                                                                   ES, FR, GB, GR, IT, LI, LU, NL, SE
19930722 JP 1991-513041
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19960915
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ES 1991-913692
CA 1991-2086432
FI 1993-263
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                                                                    19961016
19971216
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CA 2086432
FI 107609
PRAI US 1990-557265
WO 1991-US4043
OS MARPAT 116:83669
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                                                                   19900724
19910612
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L13 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Title compds. I (R1 = H, C2-10 alkanoyl, C5-7 cycloalkylcarbonyl, C7-10 phenylalkanoyl, chlorobenzoyl, thenoyl, etc.; R2 = R13CO, R15R14NCO, C1-6 alkyl, wherein R13 = C1-6 alkyl, and R14, R15 = H, C1-6 alkyl; X1 = H,

Cl, F, F3C, O2N, etc.; Y1 = X1, etc; X2 = H, Br, Cl, F, Cl-4 alkyl, O2N, CHO, Cl-6 alkyl, etc.; Y2 = H, H2NCO, F3C, etc.) useful as analgesics and for treatment of rheumatoid arthritis, osteoporosis, etc. (no data), are prepared 1-Phenyl-4-pyrazolecarboxylic acid was combined with 1,1'-carbonyldiimidazole in DMF and stirred at room temperature under Ar

1,1'-carbonyldimidazole in DMF and stirred at room temperature under for 2 h after which it was added to a mixture of 5-chloro-2-oxindole-1-carboxamide and 4-(dimethylamino)pyridine in DMF at room temperature to give the title

title
compound II.
II 138907-81-0P 138907-82-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in preparation of pyrazoyloxindole therapeutics)
RN 138907-81-0 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

138907-82-1 CAPLUS
1H-Pyrazole-4-carboxylic acid, 1-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN AN 1988:186744 CAPLUS DN 108:186744 108:186744
Preparation of arylimidazolecarboxylates as CNS agents
Biere, Helmut; Huth, Andreas; Rahtz, Dieter; Schmiechen, Ralph;
Seidelmann, Dieter; Schneider, Herbert Hans; Stephens, David Norman
Schering A.-G., Fed. Rep. Ger.
Ger. Offen., 8 pp.
CODEN: GMXXBX DT LA FAN Patent German .CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE DE 3627155 WO 8801268 19880218 A1 A1 DE 1986-3627155 WO 1987-DE342

19860811 3801268 ... W: DK, JP, US RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE Al 19880921 EP 1987-904844 EP 282502 EP 282502 19870730 R: AT, BE, CH, DE, FR, JP 01500521 T2 AT 63547 E 19910313 , GB, IT, LI, LU, NL, SE 19890223 JP 1987-504627 19910615 AT 1987-904844 19880314 DK 1988-1384 19870730 AT 63547

DK 8801384

DK 165951

US 4952698

PRAI DE 1986-3627155

EP 1987-904844

WO 1987-DE342 19880314 19930215 19930705 19900828 US 1988-189511 19880411 19860811 CASREACT 108:186744; MARPAT 108:186744

The title compds. [I; R1 = H, halo; R2 = H, C1-6 alkyl; R3 = (modified) carboxylate] were prepared as CNS agents (no data). Et 1,4-bis(dimethylamino)-2-aza-1,3-butadiene-3-acraboxylate and 3-chloroaniline were stirred in HOAc at room temperature and then at 80° for 5 h to give 81% Et 1-(3-chlorophenyl)imidazole-4-carboxylate.

IT RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as CNS agent) 11467-97-9 CAPLUS

1H-Imidazole-4-carboxylic acid, 1-(4-fluorophenyl)- (9CI) (CA INDEX

L13 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

HO₂C N

10/706,027 Page 165

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L16 2 SEA FILE=REGISTRY ABB=ON PLU=ON (19749-51-0 OR 19749-55-4)/RN

L17 3 SEA FILE=CAPLUS ABB=ON PLU=ON L16

=> d 1-3 bib abs hitstr

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN 1968:443835 CAPLUS 69:43835 Benzonitrile oxide and nitrocinnamic acid derivatives Nonforte, Francesco: Lo Vecchio, Giacomo Univ. Messina, Messina, Italy Atti della Accademia Peloritana dei Pericolanti, Classe di Scienze Fisiche, Matematiche e Naturali (1966), 49, 169-81 CODEN: AAPFAO: ISSN: 0365-0359 Journal Italian For diagram(s), see printed CA Issue. Compds. of the general formula I are prepared from the title oxide (II) and
nitrocinnamic acids. III is prepared from Et
3-phenyl-5-(o-nitrophenyl)-2isoxacoline-4-carboxylate (IV). Thus, a solution of II (prepared from
PhCC1:NOH) and NaOH in ether is added to o-O2NC6H4CH:CHCO2Et and the ure
kept in the dark 24-48 hrs. to give IV, m. 112°; a mixture of larger
amts. of II, NaOH, and o-O2NC6H4CH:CHCO2Et is kept > 48 hrs. to give a
mixture of IV, m. 112°, and a compound (V), m. 143-4°. It is
suggested that V is the 4-(o-nitrophenyl)-5-carboxylate isomer of IV.
solution of IV in EtOH is exposed to sunlight 1 month at 45-55° to
give III, m. 195-6°. IV is hydrolyzed (10% NaOH) to give I (R =
CO2H, Ar = o-O2NC6H4) (VI), m. 165°. A solution of VI in EtOH is
treated with a stream of HCl gas to give IV, m. 112°. A solution of
II in ether is treated with o-O2NC6H2CH:CHCO2H in a small amount of Me2
and the mixture heated 1.5 hrs. to give VI, m. 165°. VI is slowly
heated to 165° to give I (R = H, Ar = o-O2NC6H4), m. 118°.
Similarly prepared are the following I (Ar = p-O2NC6H4) (R and m.p.
n): given): 1): CO2Et, 94-5°; CO2H, 146°; H, 132-3°. 19749-51-0P 19749-55-4P IT RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
19749-51-0 CAPLUS
2-Isoxazoline-4-carboxylic acid, 5-(p-nitrophenyl)-3-phenyl- (8CI) (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN 1959:77767 CAPLUS 53:77767 53:14088d-f Relation between ethylene bond length and reactivity with benzonitrile oxide. II. Inductive effects of substituents in unsaturated carbonyl commonwealth.

19749-55-4 CAPLUS 2-Isoxazoline-4-carboxylic acid, 5-{o-nitrophenyl}-3-phenyl- (8CI) (CA

oxide. II. Inductive effects of substituents in unsaturated carbonya compounds vecchio, Giacomo Lo Univ. Messina, Italy Annali di Chimica (Rome, Italy) (1958), 48, 960-8 CODEN: ANCRAI: ISSN: 0003-4552 Journal Unavailable cf. C.A. 52, 14569e. Results of attempted reaction of XCH:CHCOZ with PhNCO to give isoxazolines are tabulated, and discussed in terms of the influence of substituents on the conjugation of the C:C and C:O bonds.

An increase in conjugation increases the length and decreases the reactivity of the C:C bond. The polarizing power of the substituents is calculated following Price (C.A. 35, 73799), or, for substituted aryl groups, by calcn. of the charge distribution in the corresponding styrenes. The reactivity is highest if X is electropes, and Z electroneg. The anomalous behavior of the nitrocinnamic acids, which may give 2- or 3-nitrophenyl-3- or 2-isoxazolinecarboxylic acids, is explained in terms of the direction of polarization of the C:C bond to which 2 electron-attracting groups are attached.

attached. 19749-51-0, 2-Isoxazoline-4-carboxylic acid, 5-(p-nitrophenyl)-3-

phenyl(and derivs., and related compds.)

19749-51-0 CAPLUS

2-Taoxazoline-4-carboxylic acid, 5-(p-nitrophenyl)-3-phenyl- (8CI) (CA
INDEX NAME)

L17 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L17 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN AN 1955:1291 CAPLUS DN 49:1291 OREF 49:296h-i,297a-i,298a-c A91290h-1,297a-1,298a-C Benzonitrile oxide and nitrocinnamic derivatives Monforte, Francesco; Vecchio, Glacomo Lo Univ. Messina, Italy Gazzetta Chimica Italiana (1953), 83, 416-30 CODEN: GCITA9; ISSN: 0016-5603 DT LA AB Journal Unavailable Application of the Quilico reaction by M. to the synthesis of reclines (C.A. 47, 4876h) was extended to nitrocinnamic derivs. for 2 reasons: (1) because the existence of 3 isomeric forms of the starting compound the possibility of a still greater number of isomeric products, and (2) because the strongly electroneg. NO2 group would be expected to influence the course of the reaction. These predictions were confirmed by riment PhCN+O (I) and o-O2NC6H4CH:CHCO2Et (II) (equimolar amts.) in Et2C allowed to stand 24 hrs. in darkness, evaporated, the yellowish o wed to crystallize (24-48 hrs.), and the solid purified by hot EtoH, give Et3-phenyl-5-(o-nitrophenyl)-2-isoxazoline-4-carboxylate, o-02Nc6H4CH.O.N:CPh.CHCO2Et (III), m. 116°. Addition of a few drops of N NaOH (diluted with Me2CO) to III in Me2CO gives a yellow solution Condensation of I and II, storage of the reaction mixture for a much longer
time than 48 hrs., and purification of the precipitate by £toH yield Et
3-phenyl-4-(o-nitrophenyl)-2-isoxazoline-5-carboxylate (IV). m.
143-4*, giving in Me2CO with NaOH a violet-red solution The Et2O
mother liquor of IV concentrated, the oil allowed to stand, and the
crystalline compound purified by EtOH gives III. When exposed to light, I successively yellow, dark red, and tobacco-brown. Microscopic showed products of different colors, but attempts at separation by crystallization were Allization were fruitless. However, saturated alc. III, exposed many days at 45-55° to sunlight, turned increasingly intense yellow, red, and ruby-red, with separation of an intensely ruby-red crystalline compound (V), which, fied by FrOH. separation of an intensely rusy-real crystallian separation of purified by EtOH,
gave the azo compound, C36H3ZO6N4, probably
[O.N:CPh.CH(COZEL).CHC6H4N:12,
m. 195-6°. The exposed solution after separation of V contained AcH and,
when evaporated, yielded only an intensely colored pitch. Aqueous NaOH

dropwise to III in Me2CO, the mixture allowed to stand, acidified with

in anhydrous

EtoH, the mixture allowed to stand, and the precipitate washed with aqueous NaZCO3 and

H2SO4, and the precipitate purified by EtOH gives free acid (VI), m. 165° (evolution of CO2). Dry HCl passed through a saturated solution of VI

ous Na2CO3 and water and purified by EtOH, yields III. Heated cautiously, VI fuses to a water and purified by EtOH, yields III. Heated cautiously, VI fuses to a yellowish liquid which evolves CO2; the cooled and solidified residue washed free of undecompd. VI and purified by EtOH, gives 3-phenyl-5-o-nitrophenyl-2-isoxacoline, m. 118°. Prepared like III, the Me ester (VII), m. 149-50°, turns yellow under the same conditions as does III, and by saponification gives VI. A secondary uct.

dilute

- L17 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) isolated by evapn. of the Et2O soln. from which VII was recovered and purified by MeOH, is Me 3-phenyl-4-(o-nitrophenyl)-2-isoxazoline-5-carboxylate (VIII), m. 115-16*, little affected by exposure to light, and giving with NaOH and Me2CO violet-red solns. Sapon. of VIII
- difficult, but by cautious operation at relatively low temps. it is possible to obtain, after purification by hot EtOH, the free acid,
- difficult, but by cautious operation at reservery and temps. 12 a possible to obtain, after purification by hot EtOH, the free acid, decomp.

 above 250° (evolution of CO2). Condensation of I in Et2O with a suspension of 0-O2NC6H4CH:CHCO2H in Me2CO by heating on a steam bath 90 min., evapg., allowing the yellow oil to crystallize, washing it free of diphenylfuroxan with aq. Na2CO3, neutralizing the alk. soln. with H2SO4, removing the viscous red-yellow solid mechanically, acidifying the filtered liquid, and purifying the ppt. by EtOH, gives VI. Under the conditions used in preps III, a notable proportion of p-O2NC6H4CH: CHCO2Et (IX) does not react with I, but removal of the unaltered IX, evapn., and purification by EtOH, gives Et 3-phenyl-5-(p-nitrophenyl)-2-isoxazoline-4-carboxylate (X), m. 94-5', turns NaOH in Me2CO yellow exposure to light turns it vellow. The alc. mother liquor, allowed to stand several days, ppts. Et 3-phenyl-4-(p-nitrophenyl)-2-isoxazoline-5-carboxylate, m. 90°, stable in light, turns NaOH in Me2CO an intense blue changing slowly to red. Attempts to saponify it were fruitless. Aq. NaOH in(9) added dropwise to the calcd. amt. of X in very cold Me2CO (the least excess of NaOH turns the soln. red because of secondary products, which are even more readily formed in alc. medium and at temps. above 0°), the yellow soln. neutralized with dil. H2SO4, the viscous yellow-red product sepd. mechanically and by filtration, the filtrate allowed to stand, and the ppt. purified by aq. Me2CO (1:1) yields

 the free acid (XI), m. 146° (decompn.), giving with SOC12 the acid
 - ds
 the free acid (XI), m. 146* (decompn.), giving with SOC12 the acid
 chloride (XII), m. 101*. In anhyd. Et20 with dry NH3, XII gives,
 after purification by Me2CO, the amide (XIII), m. 236-7*, turns
 NaOH in Ne2CO yellow. Condensation of I with p-OXNCGHGHCHCCON12 (XIV)
 was studied under various conditions because of the insoly. of XIV in
 Et2O. XIV added to I in Et2O, the mixt. treated successively with anhyd.
 EtOH and Me2CO with agitation, refluxed 90 min., decanted, the clear
 liquid again heated, and the ppt. purified by Me2CO gives XIII. On
 prolonged standing, the mother liquor ppts. a substance which, purified
- Me2CO, yields 3-phenyl-4-(p-nitrophenyl)-2-isoxazoline-5-carboxamide, m. 147°, turns NaOH in Me2CO blue, changing to red. Under the conditions used for prepg. X, I, and p-O2NC6H4CH:CHCO2Me give, from MeOH, Me 3-phenyl-5-(p-nitrophenyl)-2-isoxazoline-4-carboxylate (XV), m. 115-17°, turns NaOH in Me2CO yellow; sapond. like X, XV gives XI. The mother liquor of XV does not, either on long standing or by concn., yield the isomeric compd. p-O2NC6H4CH:CHCO2H, added in small portions to
- in Me2CO, the mixt. refluxed 2 hrs., filtered, the filtrate evapd.
- in Me2CO, the mixt. reriuxed 2 hrs., littered, and littered, the silvely, the oil allowed to solidify, the product washed with aq. Na2CO3, the alk. soln. neutralized with M2SO4, the viscous reddish yellow substance removed, the soln. acidified, and the ppt. purified by aq. Me2CO, yields XI. Attempts to condense I with m-O2NCGH4CH:CHCOZET (XVI) at different temps, and connens. left in all cases the XVI entirely unaltered. The exptl. results, in conjunction with the earlier expts. on compds. contg. no NO2 group (loc. cit.), show that the condensation compds. can be

- L17 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) rationally classified in 2 groups: (1) those with the carboxyl group in the 4-position, and (2) those with this group in the 5-position. Group 1 represents the primary and chief products of the condensation, the esters of which can be sapond, with relative ease, the acids of which can easily be decarboxylated, and which turn even an extremely dil. soln. of NaOH in MEZCO yellow. Group 2 represent essentially secondary products of the condensation reaction, which are formed in relatively low yields, are difficult to saponify, do not yield the corresponding isoxazolines, and turn NaOH in MEZCO red.

 IT 19749-51-0, 2-Isoxazoline-4-carboxylic acid, 5-[p-nitrophenyl]-3-phenyl-19749-55-4, 2-Isoxazoline-4-carboxylic acid, 5-[o-nitrophenyl]-3-phenyl-(and esters)

 RN 19749-51-0 CAPLUS

 CN 2-Isoxazoline-4-carboxylic acid, 5-(p-nitrophenyl)-3-phenyl- (8CI) (CA INDEX NAME)

- 19749-55-4 CAPLUS
- 2-Isoxazoline-4-carboxylic acid, 5-(o-nitrophenyl)-3-phenyl- (8CI) (CA INDEX NAME)

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L19	112	SEA FILE=CAPLUS ABB=ON PLU=ON	"JIANG SHIBO"/AU
L20	67	SEA FILE=CAPLUS ABB=ON PLU=ON	("DEBNATH ASIM K"/AU OR
		"DEBNATH ASIM KUMAR"/AU)	
L21	151	SEA FILE=CAPLUS ABB=ON PLU=ON	L19 OR L20
L22	92	SEA FILE=CAPLUS ABB=ON PLU=ON	L21 AND HIV
L24	2	SEA FILE=CAPLUS ABB=ON PLU=ON	L22 AND (PYRROL?)

=> d 1-2 bib abs

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L24 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:988741 CAPLUS
N 12:83563
TI N-substituted pyrrole derivatives as novel human
immunodeficiency virus type 1 entry inhibitors that interfere with the
gp41 six-helix bundle formation and block virus fusion
Aphash, Asim R.
Bodge H. Hong; Liu, Shuwen; Zhao, Qlan; He, Yuxian;
Debash, Asim R.
CS Lindsley F. Kimball Research Institute, New York Blood Center, New York,
NY, USA
Antimicrobial Agents and Chemotherapy (2004), 48(11), 4349-4359
CODEN: AMACCQ; ISSN: 0066-4804
BB American Society for Microbiology
T Journal
LA English
AB a recently approved peptidic human immunodeficiency virus type 1 (
BITV-1) fusion inhibitor, T-20 (Fuzeon: Trimeris Inc.), has shown
significant promise in clin. application for treating BIV
-1-infected individuals who have failed to respond to the currently
available antiertroviral drugs. However, T-20 must be injected twice
daily and is too expensive. Therefore, it is essential to develop orally
available amil mol. HTV-1 fusion inhibitors. By screening a
chemical library consisting of "drug-like" compds., the authors
identified

two N-substituted pyrroles, designated NB-2 and NB-64, that
inhibited BIV-1 replication at a low micromolar range. The
absence of the COOM group in NB-2 and NB-64 resulted in a loss of anti-
HIV-1 activity, suggesting that this acid group plays an important
role in mediating the antiviral activity. NB-2 and NB-64 inhibited
HIV-1 fusion and entry by interfering with the gp41 six-helix
bundle formation and disrupting the \alpha-belical conformation. They
blocked a D-peptide binding to the hydrophobic pocket on surface of the
gp41 internal trimeric coiled-coil domain. Computer-aided mol. docking
anal. has shown that they fit inside the hydrophobic pocket on surface of the
gp41 internal trimeric coiled-coil domain. Computer-aided mol. docking
and has shown that they fit inside the hydrophobic pocket on surface of the
gp41 internal trimeric coiled-coil domain. Computer-aided mol. docking
and has shown that they fit
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- L24 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 HIV replication only when they were added to the cells less than
 one hour after virus addn.; (3) they did not block the gp120-CD4 binding;
 (4) they did not interact with the co-receptor CXCR4 since they failed to
 block anti-CXCR4 antibody binding to CXCR4-expressing cells; (5) they
 blocked the formation of the gp41 core that is detected by sandwich
- enzyme linked immunosorbent assay (ELISA) using a conformation-specific Mab NC-1:
 - (6) they inhibited the formation of the gp41 six-helix bundle revealed by fluorescence native-polyacrylamide gel electrophoresis (FN-PAGE); and (7) they blocked binding of D-peptide to the hydrophobic cavity within gp41 coiled coil domain, modeled by peptide [QN17. These results suggested that NB-2 and NB-64 may interact with the hydrophobic cavity and block
 - formation of the fusion-active gp41 coiled coil domain, resulting in inhibition of HIV-1 mediated membrane fusion and virus entry.

L24	4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN																		
AN	2004:467690 CAPLUS																		
DN	141:17579																		
TI	Substituted N-phenylpyrrole compounds for inhibition of MIV																		
••	infection by blocking HIV entry																		
IN	Jiang, Shibo; Debnath, Asim Rumar																		
PA	New York Blood Center, USA																		
SO		T Int					-												
	CODEN: PIXXD2																		
DT	Patent																		
LA	English																		
FAN. CNT 1																			
	PATENT NO. KIND DATE APPLICATION NO													NO.	DATE				
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	WO 2004047730																		
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	EP 1567491				A2 20050831				EP 2003-789757										
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PRAI	US 2002-428055P				P 20021121														
	WO 2003-US36359					W 20031112													
os	MAI	RPAT	141:	1757	9														
GI																			

AB A group of compds. that inhibit HIV replication by blocking
HIV entry was identified. Two representative compds., designated
NP-2 (I) and NR-64 (II), inhibited HIV replication (p24 production)
with ICSO values < 0.5 µg/mL. It was proved that NR-2 and NR-64 are
HIV entry inhibitors by targeting the HIV gp41 since:
(1) they inhibited HIV-mediated cell fusion: (2) they inhibited

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